Inventor search

MAIER 09/806,650

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=> d his

     (FILE 'HOME' ENTERED AT 09:36:18 ON 28 APR 2003)

     FILE 'HCAPLUS' ENTERED AT 09:36:31 ON 28 APR 2003
L1          371 S NAGAOKA M?/AU
L2         2111 S SHIBATA H?/AU
L3          307 S TAKAGI I?/AU
L4           22 S HASIMOTO S?/AU
L5         2786 S L1-4
L6           27 S L5 AND ANTIBACTERIAL
L7            2 S L6 AND ?SACCHARID?
                SELECT RN L7 1-2

     FILE 'REGISTRY' ENTERED AT 09:37:57 ON 28 APR 2003
L8           15 S E1-15

     FILE 'HCAPLUS' ENTERED AT 09:38:38 ON 28 APR 2003
L9            2 S L7 AND L8
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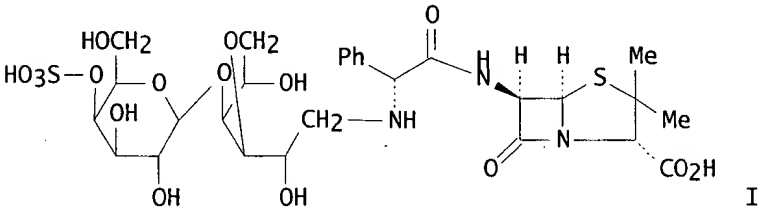
2 cites w/ 15 rpds displayed

=> d ibib abs hitstr ind 1

L9 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:240962 HCAPLUS
DOCUMENT NUMBER: 132:265440
TITLE: Preparation of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivatives as **antibacterial** agents against Helicobacter pylori
INVENTOR(S): **Shibata, Hideyuki**; **Nagaoka, Masato**; **Takagi, Itsuko**; Hashimoto, Shusuke
PATENT ASSIGNEE(S): Kabushiki Kaisha Yakult Honsha, Japan
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020009	A1	20000413	WO 1999-JP5448	19991004
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2346132	AA	20000413	CA 1999-2346132	19991004
AU 9960019	A1	20000426	AU 1999-60019	19991004
EP 1120100	A1	20010801	EP 1999-970024	19991004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: JP 1998-282143 A 19981005
WO 1999-JP5448 W 19991004
OTHER SOURCE(S): MARPAT 132:265440
GI



I

AB **Antibacterial** agents showing a high affinity for Helicobacter pylori and having a chem. structure, wherein an **antibacterial** substance is bonded to a sulfated **polysaccharide** or an **oligosaccharide** prepd. by partly degrading a sulfated **polysaccharide** having an **antibacterial** effect specific to H. pylori, are prepd. Preferable embodiments are those having the following chem. structures: Y-OCH(AH2NHR)n or Y-BH2NHR (wherein Y represents a sulfated **polysaccharide** or an **oligosaccharide** prepd. by partly degrading a sulfated **polysaccharide**; A represents a carbon atom originating in an aldehyde group formed by reducing the terminal reducing sugar of Y and then oxidizing with an oxidizing agent; B represents a carbon atom

originating in an aldehyde group of the terminal reducing sugar of Y; R represents an **antibacterial** substance having a primary amino group or an amino group having been introduced thereinto, or an **antibacterial** agent deriv. bonded to the above-described carbon atom A or B via a spacer; and n is 1 or 2). These compds. are useful for the prevention and/or treatment of digestive tract ulcers. Thus, 4'-sulfocarrabiose underwent reductive amination with ampicillin using borane-dimethylamine complex in 1M acetate buffer (pH 4.6) to give carrabiose-ampicillin deriv. (I) which at 1 mg/mL completely inhibited the proliferation of H. pylori.

IT **9072-19-9P**, Fucoidan
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); RACT (Reactant or reagent)
(isolation from Cladosiphon okamuranus Tokida (Okinawa, Japan); prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)

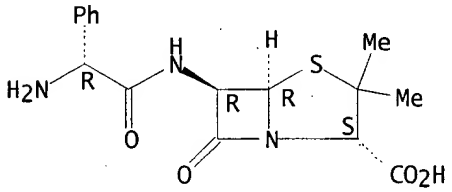
RN 9072-19-9 HCAPLUS
CN Fucoidan (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **69-52-3DP**, Ampicillin sodium salt, reaction products with oligofucose and 12-aminolauric acid **69-53-4DP**, Ampicillin, reductive alkylation products with periodate oxidn. products of fucoidan **693-57-2DP**, 12-Aminolauric acid, reaction products with oligofucose and ampicillin **63527-52-6DP**, Cefotaxime, reductive alkylation products with periodate oxidn. products of fucoidan **263394-03-2P 263394-05-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)

RN 69-52-3 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(2R)-aminophenylacetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, (2S,5R,6R)- (9CI) (CA INDEX NAME)

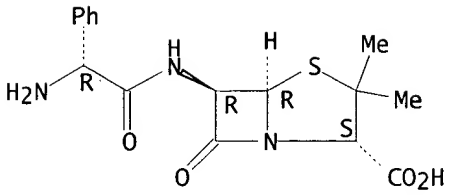
Absolute stereochemistry.



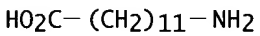
● Na

RN 69-53-4 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(2R)-aminophenylacetyl]amino]-3,3-dimethyl-7-oxo-, (2S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

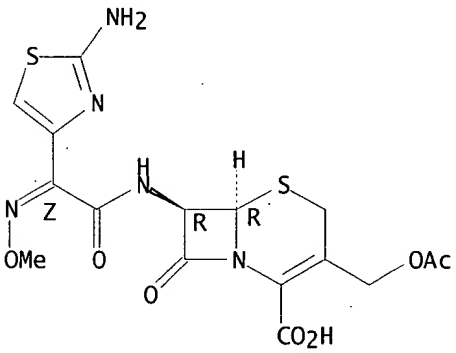


RN 693-57-2 HCAPLUS
CN Dodecanoic acid, 12-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



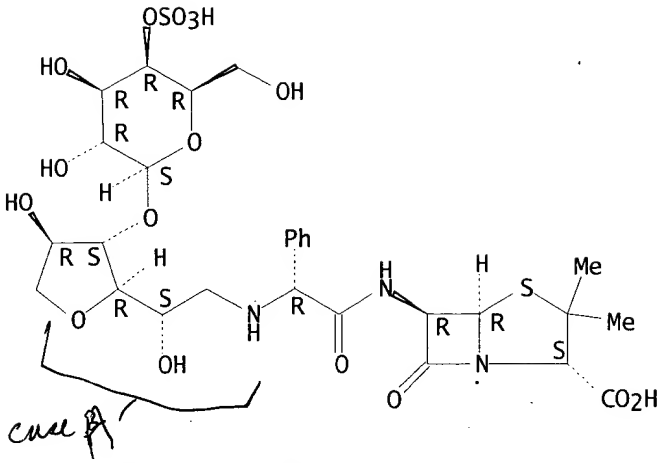
RN 63527-52-6 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



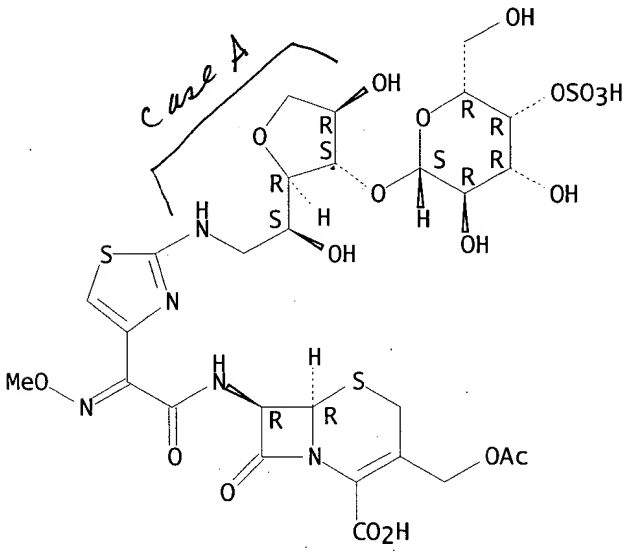
RN 263394-03-2 HCAPLUS
CN D-Galactitol, 3,6-anhydro-1-[[(1R)-2-[[(2S,5R,6R)-2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl]amino]-2-oxo-1-phenylethyl]amino]-1-deoxy-4-O-(4-O-sulfo-.beta.-D-galactopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 263394-05-4 HCAPLUS
CN D-Galactitol, 1-[[4-[2-[[(6R,7R)-3-[(acetyloxy)methyl]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl]amino]-1-(methoxyimino)-2-oxoethyl]-2-thiazolyl]amino]-3,6-anhydro-1-deoxy-4-O-(4-O-sulfo-.beta.-D-galactopyranosyl)- (9CI) (CA INDEX NAME)

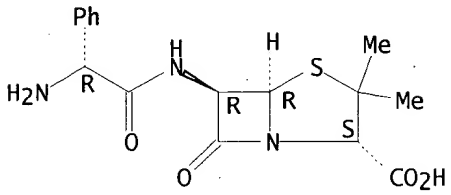
Absolute stereochemistry.
Double bond geometry unknown.



IT **69-52-3**, Ampicillin sodium salt **69-53-4**, Ampicillin **693-57-2**, 12-Aminolauric acid **63527-52-6**, Cefotaxime
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)
RN 69-52-3 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(2R)-aminophenylacetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, (2S,5R,6R)-

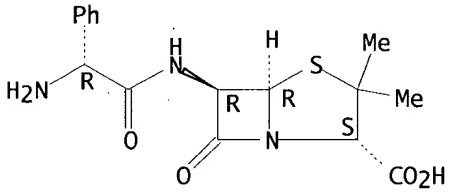
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

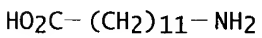


RN 69-53-4 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(2R)-aminophenylacetyl]amino]-3,3-dimethyl-7-oxo-, (2S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

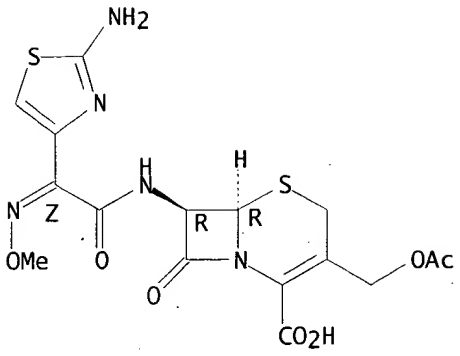


RN 693-57-2 HCAPLUS
CN Dodecanoic acid, 12-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



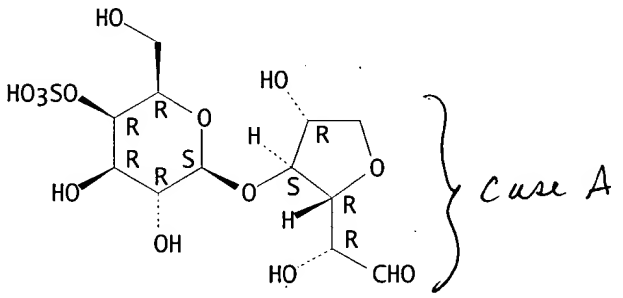
RN 63527-52-6 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **143537-91-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)
RN 143537-91-1 HCAPLUS
CN D-Galactose, 3,6-anhydro-4-O-(4-O-sulfo-.beta.-D-galactopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9000-07-1**, Carrageenin
RL: RCT (Reactant); RACT (Reactant or reagent)
(.kappa.-; prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)
RN 9000-07-1 HCAPLUS
CN Carrageenan (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IC ICM A61K031-725
CC 33-4 (Carbohydrates)
Section cross-reference(s): 1, 26
ST sulfated **polysaccharide** linked beta lactam prepn **antibacterial**; beta lactam linked sulfated **oligosaccharide** prepn **antibacterial**; digestive tract ulcer treatment carrabiose ampicillin
IT **Oligosaccharides**, preparation
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(fucose-contg., periodate oxidn. products (aldehydes) of fucoidan;

prepn. of sulfated poly- or **olig saccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)

IT **Antibacterial** agents
Antiulcer agents
Helicobacter pylori
(prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)

IT **Oligosaccharides**, preparation
Polysaccharides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)

IT Lactams
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(.beta.-; prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)

IT **9072-19-9P**, Fucoidan
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); RACT (Reactant or reagent)
(isolation from Cladosiphon okamuranus Tokida (Okinawa, Japan); prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)

IT **69-52-3DP**, Ampicillin sodium salt, reaction products with oligofucose and 12-aminolauric acid **69-53-4DP**, Ampicillin, reductive alkylation products with periodate oxidn. products of fucoidan **693-57-2DP**, 12-Aminolauric acid, reaction products with oligofucose and ampicillin **63527-52-6DP**, Cefotaxime, reductive alkylation products with periodate oxidn. products of fucoidan **263394-03-2P 263394-05-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)

IT **69-52-3**, Ampicillin sodium salt **69-53-4**, Ampicillin **693-57-2**, 12-Aminolauric acid **63527-52-6**, Cefotaxime
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)

IT **143537-91-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against Helicobacter pylori)

IT **9000-07-1**, Carrageenin
RL: RCT (Reactant); RACT (Reactant or reagent)
(.kappa.-; prepn. of sulfated poly- or **oligosaccharide**-linked .beta.-lactam derivs. as **antibacterial** agents against

Helicobacter pylori)
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr ind 2

L9 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:142387 HCAPLUS
DOCUMENT NUMBER: 130:209922
TITLE: Preparation of oligofucose derivatives or oligorhamnose derivatives, and their use as antiulcer agents and inhibitors of Helicobacter pylori
INVENTOR(S): **Nagaoka, Masato**; **Shibata, Hideyuki**; Kimura, Itsuko; Hashimoto, Shusuke
PATENT ASSIGNEE(S): Yakult Honsha Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

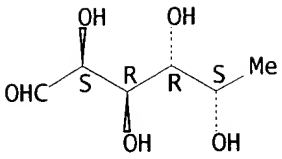
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11060590	A2	19990302	JP 1997-240298	19970822
CA 2301893	AA	19990304	CA 1998-2301893	19980821
WO 9910360	A1	19990304	WO 1998-JP3703	19980821
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9887482	A1	19990316	AU 1998-87482	19980821
AU 728628	B2	20010111		
EP 1020474	A1	20000719	EP 1998-938923	19980821
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6518249	B1	20030211	US 2000-485978	20000218

PRIORITY APPLN. INFO.: JP 1997-240298 A 19970822
WO 1998-JP3703 W 19980821

AB YOCH(CH2NHR)2 [Y = (partially sulfated) oligofucose or oligorhamnose residue with d.p. 2-20; R = Ph, higher alkylphenyl, higher alkyl, (CH2)nNHX; n = 1-10; X = higher alkanoyl, (un)substituted alkylamino] are prepd. by oxidative decompn. of reducing terminal of oligofucose or oligorhamnose, condensation of the resulting aldehydes with amines, and redn. of the obtained Schiff bases. Oligofucose was treated with NaIO4, dodecylaniline, and borane-dimethylamine complex to give dodecylaniline-modified oligofucose, which inhibited growth of H. pylori and its adhesion to Leb-type sugar chain.

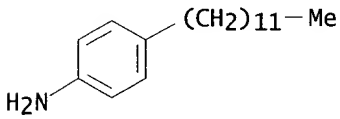
IT **2438-80-4DP**, Fucose, amine-modified **37271-08-2DP**, Rhamnan, acid hydrolysis, redn., periodate oxidn., and reaction products with amines
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amine-modified **oligosaccharides** as antiulcer agents)
RN 2438-80-4 HCAPLUS
CN L-Galactose, 6-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

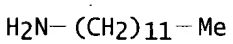


RN 37271-08-2 HCAPLUS
CN .alpha.-L-Mannan, 6-deoxy (9CI) (CA INDEX NAME)

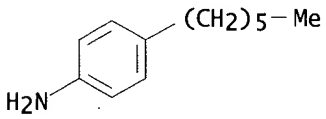
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **104-42-7D**, 4-Dodecylaniline, reaction product with modified oligofucose **124-22-1D**, Laurylamine, reaction product with modified oligofucose **33228-45-4D**, 4-Hexylaniline, reaction product with modified oligofucose
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of amine-modified **oligosaccharides** as antiulcer agents)
RN 104-42-7 HCAPLUS
CN Benzenamine, 4-dodecyl- (9CI) (CA INDEX NAME)



RN 124-22-1 HCAPLUS
CN 1-Dodecanamine (9CI) (CA INDEX NAME)



RN 33228-45-4 HCAPLUS
CN Benzenamine, 4-hexyl- (9CI) (CA INDEX NAME)

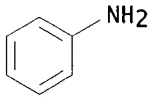


IT **9072-19-9P**, Fucoidan **37271-08-2DP**, Rhamnan, hydrogen sulfate deriv.
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amine-modified **oligosaccharides** as antiulcer agents)
RN 9072-19-9 HCAPLUS
CN Fucoidan (9CI) (CA INDEX NAME)

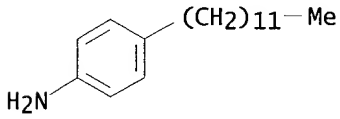
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 37271-08-2 HCAPLUS

CN .alpha.-L-Mannan, 6-deoxy (9CI) (CA INDEX NAME)

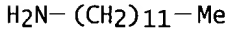
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **62-53-3**, Aniline, reactions **104-42-7**, 4-Dodecylaniline
124-22-1, Laurylamine **33228-45-4**, 4-Hexylaniline
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of amine-modified **oligosaccharides** as antiulcer agents)
RN 62-53-3 HCAPLUS
CN Benzenamine (9CI) (CA INDEX NAME)



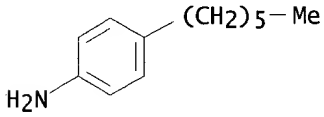
RN 104-42-7 HCAPLUS
CN Benzenamine, 4-dodecyl- (9CI) (CA INDEX NAME)



RN 124-22-1 HCAPLUS
CN 1-Dodecanamine (9CI) (CA INDEX NAME)

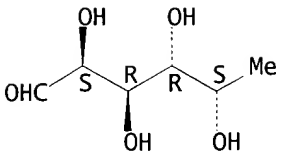


RN 33228-45-4 HCAPLUS
CN Benzenamine, 4-hexyl- (9CI) (CA INDEX NAME)



IT **2438-80-4P**, Fucose **37271-08-2P**, Rhamnan
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amine-modified **oligosaccharides** as antiulcer agents)
RN 2438-80-4 HCAPLUS
CN L-Galactose, 6-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 37271-08-2 HCAPLUS
CN .alpha.-L-Mannan, 6-deoxy (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IC ICM C07H015-04
ICS A61K031-70; A61K031-725; C08B037-00
CC 33-4 (Carbohydrates)
Section cross-reference(s): 1
ST amine modified oligofucose oligorhamnose prepn antiulcer; oligofucose oligorhamnose prepn antiulcer **antibacterial** Helicobacter
IT **Antibacterial** agents
(against Helicobacter pylori; prepn. of amine-modified **oligosaccharides** as antiulcer agents)
IT **Oligosaccharides**, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fucose or rhamnose-contg., redn., periodate oxidn., and reaction products with amines; prepn. of amine-modified **oligosaccharides** as antiulcer agents)
IT Helicobacter pylori
(inhibitors; prepn. of amine-modified **oligosaccharides** as antiulcer agents)
IT Antiulcer agents
(prepn. of amine-modified **oligosaccharides** as antiulcer agents)
IT **2438-80-4DP**, Fucose, amine-modified **37271-08-2DP**, Rhamnan, acid hydrolysis, redn., periodate oxidn., and reaction products with amines
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amine-modified **oligosaccharides** as antiulcer agents)
IT **104-42-7D**, 4-Dodecylaniline, reaction product with modified oligofucose **124-22-1D**, Laurylamine, reaction product with modified oligofucose **33228-45-4D**, 4-Hexylaniline, reaction product with modified oligofucose
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of amine-modified **oligosaccharides** as antiulcer agents)
IT **9072-19-9P**, Fucoidan **37271-08-2DP**, Rhamnan, hydrogen sulfate deriv.
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amine-modified **oligosaccharides** as antiulcer agents)

IT **62-53-3**, Aniline, reactions **104-42-7**, 4-Dodecylaniline
124-22-1, Laurylamine **33228-45-4**, 4-Hexylaniline
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of amine-modified **oligosaccharides** as antiulcer agents)

IT **2438-80-4P**, Fucose **37271-08-2P**, Rhamnan
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amine-modified **oligosaccharides** as antiulcer agents)

STR Search I - sulfated cpds

MAIER 09/806,650

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=> d que l25
L7          535560 SEA FILE=REGISTRY ABB=ON  PLU=ON  OC5/ES
L17                STR
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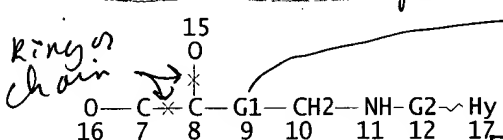
parent STR



G1, when n= ∅ this is the B case

when n=1, this is the A case

chain to antibiotic ring

```
REP G1=(0-1) 13
REP G2=(0-10) A
NODE ATTRIBUTES:
CONNECT IS E3  RC AT   8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  11

STEREO ATTRIBUTES: NONE
L19          456 SEA FILE=REGISTRY SUB=L7 SSS FUL L17
L22                STR
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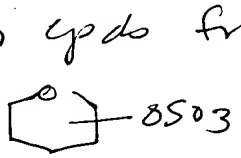
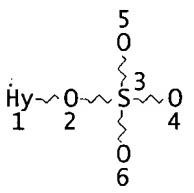
456 cpds

subset search - looking for cpds from parent set w/



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NODE ATTRIBUTES:
CONNECT IS E1  RC AT   5
CONNECT IS E1  RC AT   6
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  SAT  AT   1
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E5 C  E1 O  AT   1

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   6

STEREO ATTRIBUTES: NONE
L24           13 SEA FILE=REGISTRY SUB=L19 SSS FUL L22
L25            4 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L24
```

13 cpds

4 citations

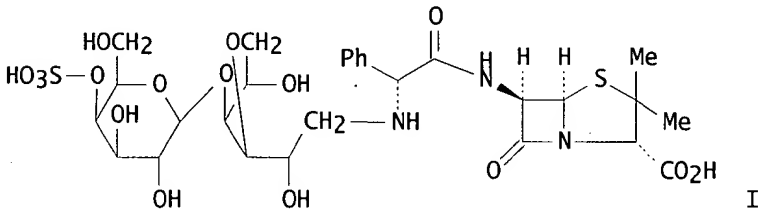
* only the 1st cite has to do w/ antibiotics (it's applicant),

=> d ibib abs hitstr ind 1-4 l25

L25 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:240962 HCAPLUS
DOCUMENT NUMBER: 132:265440
TITLE: Preparation of sulfated poly- or oligosaccharide-linked .beta.-lactam derivatives as antibacterial agents against Helicobacter pylori
INVENTOR(S): Shibata, Hideyuki; Nagaoka, Masato; Takagi, Itsuko; Hashimoto, Shusuke
PATENT ASSIGNEE(S): Kabushiki Kaisha Yakult Honsha, Japan
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020009	A1	20000413	WO 1999-JP5448	19991004
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2346132	AA	20000413	CA 1999-2346132	19991004
AU 9960019	A1	20000426	AU 1999-60019	19991004
EP 1120100	A1	20010801	EP 1999-970024	19991004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: JP 1998-282143 A 19981005
WO 1999-JP5448 W 19991004
OTHER SOURCE(S): MARPAT 132:265440
GI



I

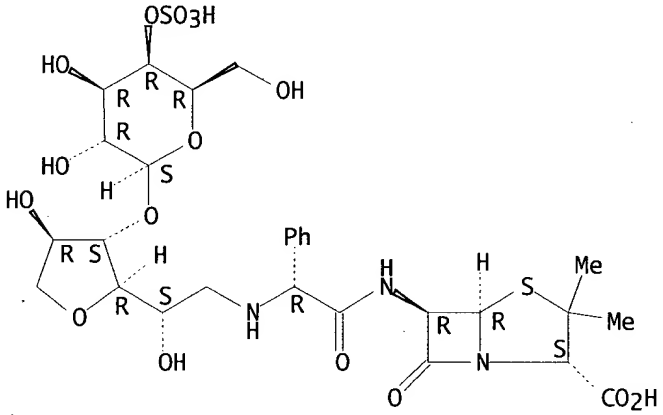
AB Antibacterial agents showing a high affinity for Helicobacter pylori and having a chem. structure, wherein an antibacterial substance is bonded to a sulfated polysaccharide or an oligosaccharide prepd. by partly degrading a sulfated polysaccharide having an antibacterial effect specific to H. pylori, are prepd. Preferable embodiments are those having the following chem. structures: Y-OCH(AH2NHR)n or Y-BH2NHR (wherein Y represents a sulfated polysaccharide or an oligosaccharide prepd. by partly degrading a sulfated polysaccharide; A represents a carbon atom originating in an aldehyde group formed by reducing the terminal reducing sugar of Y and then oxidizing with an oxidizing agent; B represents a carbon atom originating in an aldehyde group of the terminal reducing sugar of Y; R represents an antibacterial substance having a primary amino group or an amino group having been introduced thereinto, or an antibacterial agent

deriv. bonded to the above-described carbon atom A or B via a spacer; and n is 1 or 2). These compds. are useful for the prevention and/or treatment of digestive tract ulcers. Thus, 4'-sulfocarrabiose underwent reductive amination with ampicillin using borane-dimethylamine complex in 1M acetate buffer (pH 4.6) to give carrabiose-ampicillin deriv. (I) which at 1 mg/mL completely inhibited the proliferation of H. pylori.

IT **263394-03-2P 263394-05-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

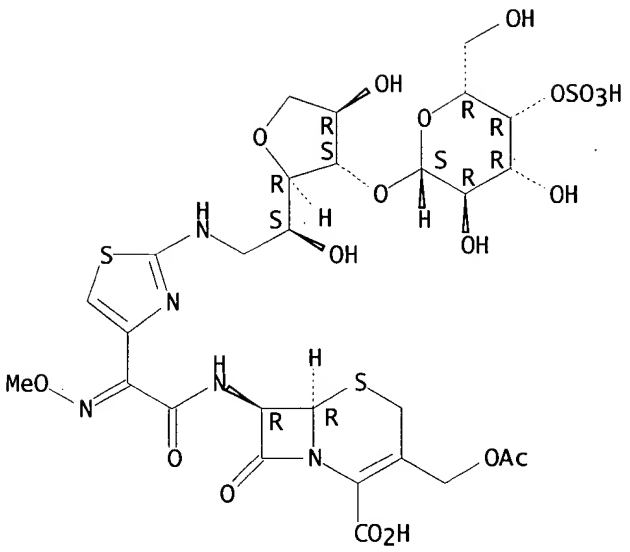
RN 263394-03-2 HCAPLUS
CN D-Galactitol, 3,6-anhydro-1-[[(1R)-2-[[(2S,5R,6R)-2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl]amino]-2-oxo-1-phenylethyl]amino]-1-deoxy-4-O-(4-O-sulfo-.beta.-D-galactopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 263394-05-4 HCAPLUS
CN D-Galactitol, 1-[[4-[2-[[(6R,7R)-3-[(acetyloxy)methyl]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl]amino]-1-(methoxyimino)-2-oxoethyl]-2-thiazolyl]amino]-3,6-anhydro-1-deoxy-4-O-(4-O-sulfo-.beta.-D-galactopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



IC ICM A61K031-725
CC 33-4 (Carbohydrates)
Section cross-reference(s): 1, 26
ST sulfated polysaccharide linked beta lactam prepn antibacterial; beta lactam linked sulfated oligosaccharide prepn antibacterial; digestive tract ulcer treatment carrabiose ampicillin
IT Oligosaccharides, preparation
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(fucose-contg., periodate oxidn. products (aldehydes) of fucoidan; prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)
IT Antibacterial agents
Antiulcer agents
Helicobacter pylori
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)
IT Oligosaccharides, preparation
Polysaccharides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)
IT Lactams
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(.beta.-; prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)
IT 9072-19-9P, Fucoidan
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); RACT (Reactant or reagent)
(isolation from Cladosiphon okamuranus Tokida (Okinawa, Japan); prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as

antibacterial agents against Helicobacter pylori)

IT 69-52-3DP, Ampicillin sodium salt, reaction products with oligofucose and 12-aminolauric acid 69-53-4DP, Ampicillin, reductive alkylation products with periodate oxidn. products of fucoidan 693-57-2DP, 12-Aminolauric acid, reaction products with oligofucose and ampicillin 63527-52-6DP, Cefotaxime, reductive alkylation products with periodate oxidn. products of fucoidan **263394-03-2P 263394-05-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

IT 69-52-3, Ampicillin sodium salt 69-53-4, Ampicillin 693-57-2, 12-Aminolauric acid 63527-52-6, Cefotaxime
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

IT 143537-91-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

IT 9000-07-1, Carrageenin
RL: RCT (Reactant); RACT (Reactant or reagent)
(.kappa.-; prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:484068 HCAPLUS
DOCUMENT NUMBER: 131:298440
TITLE: Influence of oligosaccharide presentation on the interactions of carbohydrate sequence-specific antibodies and the selectins. Observations with biotinylated oligosaccharides
AUTHOR(S): Leteux, Christine; Stoll, Mark S.; Childs, Robert A.; Chai, Wengang; Feizi, Ten
CORPORATE SOURCE: Imperial College School of Medicine, The Glycosciences Laboratory, Northwick Park Hospital, Middlesex, HA1 3UJ, UK
SOURCE: Journal of Immunological Methods (1999), 227(1-2), 109-119
CODEN: JIMMBG; ISSN: 0022-1759
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This study was aimed at investigating the efficacy of presentation of biotinylated oligosaccharides on streptavidin-coated microwells for interactions with (a) three monoclonal antibodies directed at sialyl-Lewisa (Lea) or sulfo-Lea-related sequences, and (b) the endothelium-leukocyte adhesion mols., the E-, L- and P-selectins which recognize both the sulfo- and sialyl-Lea series. With the antibodies it was obsd. that if the biotinylated oligosaccharide incorporated the entire antigenic determinant, and addnl. saccharide length was not included, the biotinyl tag spacer length was a crit. factor in the strength of the binding signal. If oligosaccharide chain beyond the determinant was included, the biotinyl tag spacer length was less important. The E-selectin binding data with the biotinylated sialyl- and

sulfo-oligosaccharides were in overall accord with previous knowledge. With the L- and P-selectins, however, unexpectedly low binding signals were elicited by biotinyl sulfo-Lea sequences relative to those with the sialyl-analogs. This suppression was more pronounced with the rodent than the human L-selectin. Such differential availabilities of oligosaccharides displayed on streptavidin may relate to biol. situations, such as the differential reactivities of the three selectins with a given oligosaccharide ligand presented on different carrier proteins, or on different O-glycan cores on mucin-type glycoproteins.

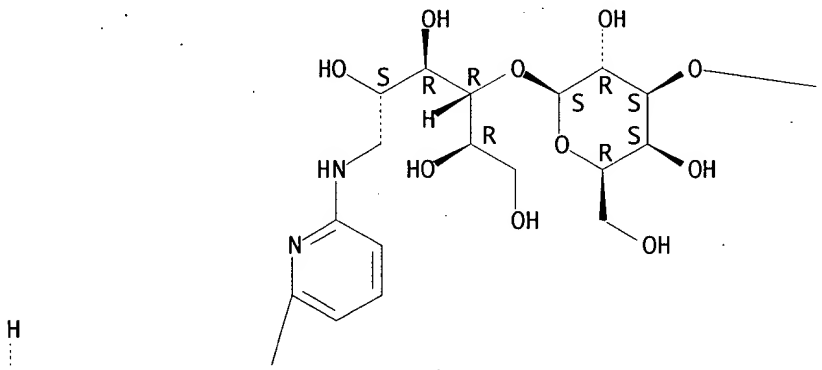
IT **247060-88-4**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(oligosaccharide ligand anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)

RN 247060-88-4 HCAPLUS

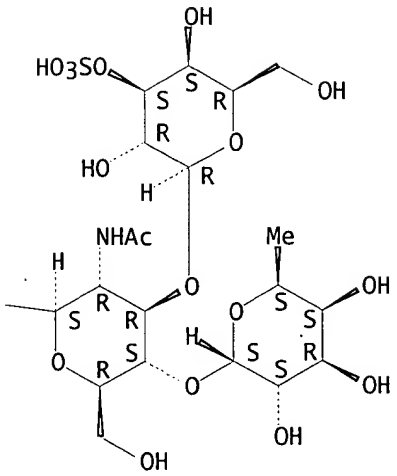
CN D-Glucitol, O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.4)-O-[3-O-sulfo-.beta.-D-galactopyranosyl-(1.fwdarw.3)]-O-2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl-(1.fwdarw.3)-O-.beta.-D-galactopyranosyl-(1.fwdarw.4)-1-deoxy-1-[[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

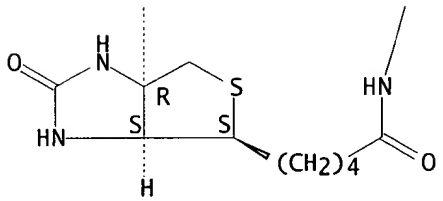
PAGE 1-A



PAGE 1-B



PAGE 2-A



CC 15-3 (Immunochemistry)
Section cross-reference(s): 6, 13
ST biotinylated oligosaccharide ligand antibody selectin
IT Selectins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(E-; oligosaccharide ligand anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)
IT Selectins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(L-; oligosaccharide ligand anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)
IT Selectins
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(P-; oligosaccharide ligand anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)
IT Oligosaccharides, biological studies
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(biotinylated; oligosaccharide ligand anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)
IT Selectins
Selectins
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(ligands; oligosaccharide ligand anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)

IT Antibodies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(monoclonal; oligosaccharide ligand anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)

IT Epitopes
(oligosaccharide ligand anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)

IT Ligands
Ligands
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(selectin; oligosaccharide ligand anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)

IT 9013-20-1, Streptavidin
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(for capture of biotinylated oligosaccharide ligands in anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)

IT 56570-03-7D, Lewis A, oligosaccharides-contg. 71208-06-5D, Lewis X, oligosaccharides-contg. 92448-22-1D, Sialyl Lewis A, oligosaccharides-contg. 98603-84-0D, Sialyl Lewis X, oligosaccharides-contg. 153088-71-2D, oligosaccharides-contg. 153153-62-9D, 3' Sulfatyl Lewis x, oligosaccharides-contg. 247060-87-3 **247060-88-4** 247060-89-5 247060-90-8 247060-91-9 247060-92-0 247060-93-1 247060-94-2 247060-95-3 247060-96-4 247060-97-5 247060-98-6 247060-99-7 247061-00-3 247061-01-4 247061-02-5 247061-03-6 247061-04-7
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(oligosaccharide ligand anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)

IT 58-85-5D, Biotin, oligosaccharide conjugates
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(oligosaccharide ligand anal. of binding of carbohydrate sequence-specific antibodies and sol. selectins)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:340235 HCAPLUS
DOCUMENT NUMBER: 125:5079
TITLE: Preparation of pyridiyl-2-amino derivatives of fucoidan for fucoidanase analysis
INVENTOR(S): Sakai, Takeshi; Nakayama, Shinji; Kojima, Kaoru; Nakanishi, Yoshikuni; Kato, Ikunoshin; Igai, Katsushige
PATENT ASSIGNEE(S): Tosa Kogaku Kenkyusho Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 48 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 08073433	A2	19960319	JP 1995-191094	19950703

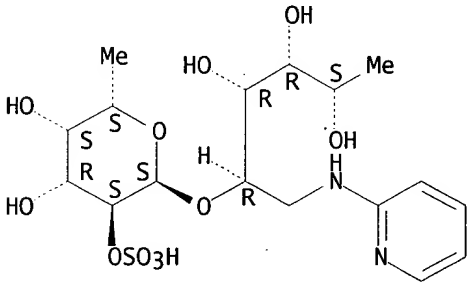
PRIORITY APPLN. INFO.: JP 1994-179486 19940706
OTHER SOURCE(S): MARPAT 125:5079

AB Seventeen pyridiyl-2-amino- derivs. of fucoidan mono- and oligo-saccharides are prepd. and used for analyzing structure and function of fucoidan, substrate specificity, and fucoidanase. Fucoidans have many medical uses, e.g. anticoagulation, antitumor, anti-AIDS virus, etc.

IT **175842-03-2P 177343-99-6P 177344-01-3P**
177344-02-4P 177344-04-6P 177344-06-8P
177344-07-9P 177344-08-0P 177344-09-1P
177344-10-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyridiyl-2-amino- derivs. of fucoidan mono- and oligo-saccharides for analyzing structure and function of fucoidan, substrate specificity, and fucoidanase)

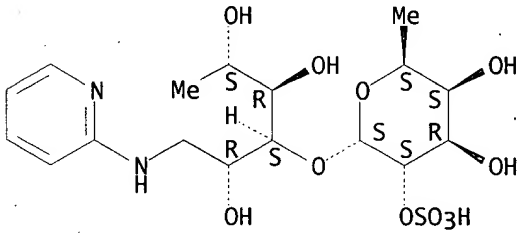
RN 175842-03-2 HCAPLUS
CN D-Galactitol, 1,6-dideoxy-5-O-(6-deoxy-2-O-sulfo-.alpha.-L-galactopyranosyl)-6-(2-pyridinylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



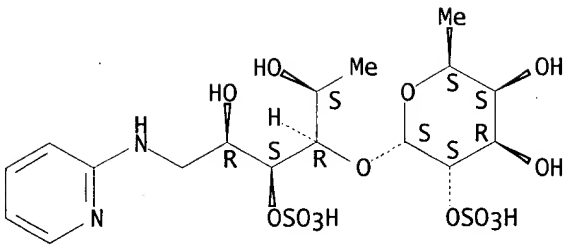
RN 177343-99-6 HCAPLUS
CN D-Galactitol, 1,6-dideoxy-4-O-(6-deoxy-2-O-sulfo-.alpha.-L-galactopyranosyl)-6-(2-pyridinylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



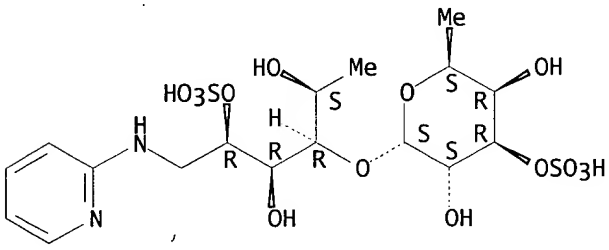
RN 177344-01-3 HCAPLUS
CN D-Galactitol, 1,6-dideoxy-3-O-(6-deoxy-2-O-sulfo-.alpha.-L-galactopyranosyl)-6-(2-pyridinylamino)-, 4-(hydrogen sulfate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



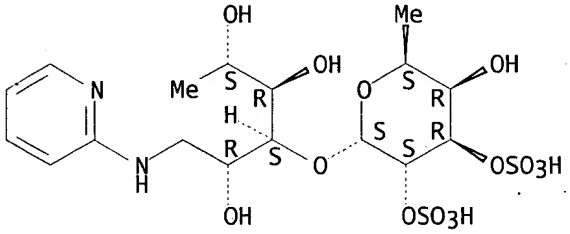
RN 177344-02-4 HCAPLUS
CN D-Galactitol, 1,6-dideoxy-3-O-(6-deoxy-3-O-sulfo-.alpha.-L-galactopyranosyl)-6-(2-pyridinylamino)-, 5-(hydrogen sulfate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



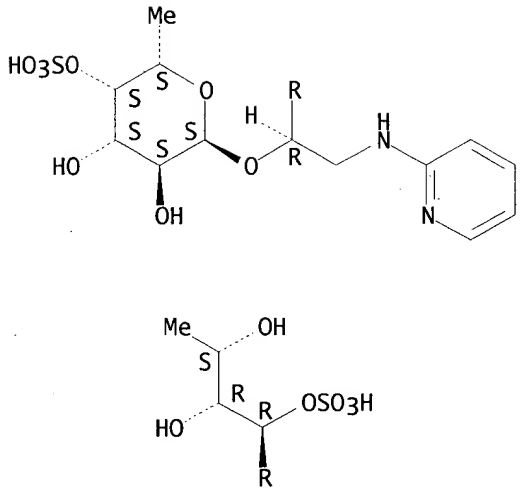
RN 177344-04-6 HCAPLUS
CN D-Galactitol, 1,6-dideoxy-4-O-(6-deoxy-2,3-di-O-sulfo-.alpha.-L-galactopyranosyl)-6-(2-pyridinylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



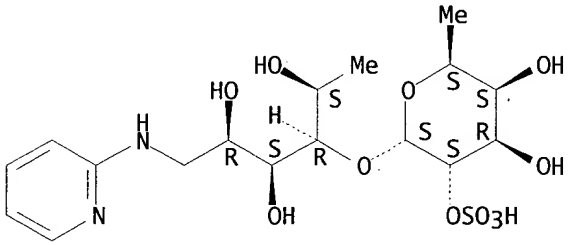
RN 177344-06-8 HCAPLUS
CN D-Galactitol, 1,6-dideoxy-5-O-(6-deoxy-4-O-sulfo-.alpha.-L-galactopyranosyl)-6-(2-pyridinylamino)-, 4-(hydrogen sulfate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



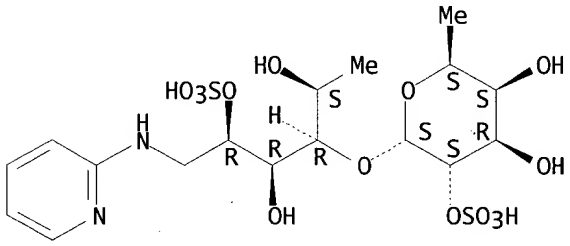
RN 177344-07-9 HCAPLUS
CN D-Galactitol, 1,6-dideoxy-3-O-(6-deoxy-2-O-sulfo-.alpha.-L-galactopyranosyl)-6-(2-pyridinylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177344-08-0 HCAPLUS
CN D-Galactitol, 1,6-dideoxy-3-O-(6-deoxy-2-O-sulfo-.alpha.-L-galactopyranosyl)-6-(2-pyridinylamino)-, 5-(hydrogen sulfate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 177344-09-1 HCAPLUS
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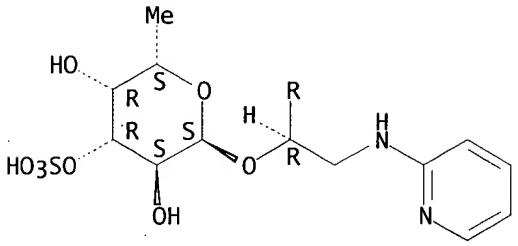
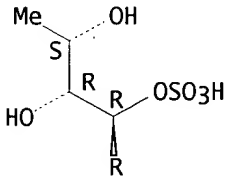
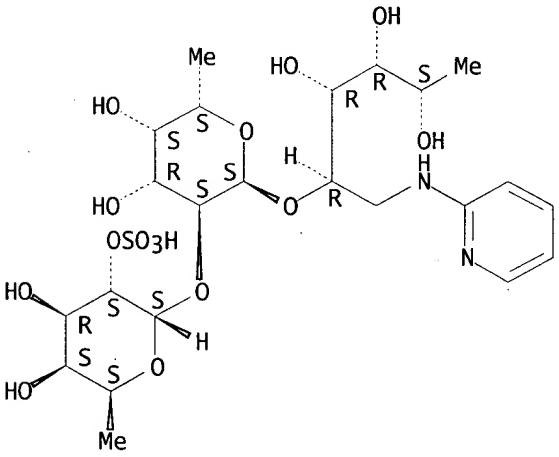
Absolute stereochemistry.





RN 177344-10-4 HCAPLUS
CN D-Galactitol, O-6-deoxy-2-O-sulfo-.alpha.-L-galactopyranosyl-(1.fwdarw.2)-O-6-deoxy-.alpha.-L-galactopyranosyl-(1.fwdarw.5)-1,6-dideoxy-6-(2-pyridinylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07D213-74
ICS C07H015-04
CC 9-15 (Biochemical Methods)
Section cross-reference(s): 7
ST fucoidan pyridylamino deriv fucoidanase substrate analysis
IT Molecular structure-biological activity relationship
(prepn. of pyridiyl-2-amino- derivs. of fucoidan mono- and oligo-saccharides for analyzing structure and function of fucoidan, substrate specificity, and fucoidanase)
IT 37288-38-3, Fucoidanase
RL: ANT (Analyte); ANST (Analytical study)
(prepn. of pyridiyl-2-amino- derivs. of fucoidan mono- and

oligo-saccharides for analyzing structure and function of fucoidan, substrate specificity, and fucoidanase)

IT 9072-19-9DP, Fucoidan, 2-aminopyridyl derivs. 175842-02-1P
175842-03-2P 177343-96-3P 177343-97-4P 177343-98-5P
177343-99-6P 177344-00-2P **177344-01-3P**
177344-02-4P 177344-03-5P **177344-04-6P** 177344-05-7P
177344-06-8P **177344-07-9P** **177344-08-0P**
177344-09-1P **177344-10-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyridiyl-2-amino- derivs. of fucoidan mono- and oligo-saccharides for analyzing structure and function of fucoidan, substrate specificity, and fucoidanase)

L25 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:231651 HCAPLUS
DOCUMENT NUMBER: 124:283155
TITLE: Fucose sulfate-releasing enzyme for structural analysis of fucoidan and preparation of the enzyme
INVENTOR(S): Sasaki, Takeshi; Sakai, Takeshi; Nakanishi, Yoshikuni; Kato, Ikunoshin
PATENT ASSIGNEE(S): Tosa Kogaku Kenkyusho Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08000266	A2	19960109	JP 1994-155455	19940615

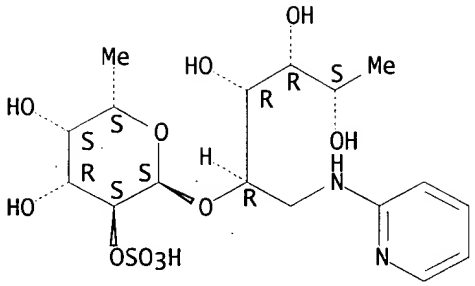
PRIORITY APPLN. INFO.: JP 1994-155455 19940615

AB An enzyme, which releases L-fucose 2-sulfate from .alpha.-L-fucosyl-2-pyridylamino-L-fucose 2-sulfate and has optimal pH .apprx.3.0, optimal temp. .apprx.45.degree., and mol. wt. .apprx.130,000 (by gel filtration method by using Sephacryl S 200), is prepd. by extn. from Echinoidea, followed by purifn. Digestive tract of Strongylocentrotus nudus and its content were suspended in acetate buffer, centrifuged, the supernatant treated with (NH4)2SO4, and the ppt. was purified to give fucose sulfate-releasing enzyme.

IT **175842-03-2P**
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PNU (Preparation, unclassified); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(substrate; purifn. and characterization of fucose sulfate-releasing enzyme from Strongylocentrotus for structural anal. of fucoidan)

RN 175842-03-2 HCAPLUS
CN D-Galactitol, 1,6-dideoxy-5-O-(6-deoxy-2-O-sulfo-.alpha.-L-galactopyranosyl)-6-(2-pyridinylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C12N009-24
CC 7-2 (Enzymes)
ST fucose sulfate releasing enzyme Strongylocentrotus; fucoidan structure analysis enzyme Echinoidea
IT Enzymes
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
(fucose sulfate-releasing; purifn. and characterization of fucose sulfate-releasing enzyme from Strongylocentrotus for structural anal. of fucoidan)
IT Sea urchin
Strongylocentrotus nudus
(purifn. and characterization of fucose sulfate-releasing enzyme from Strongylocentrotus for structural anal. of fucoidan)
IT 175842-02-1P
RL: BUU (Biological use, unclassified); PNU (Preparation, unclassified); BIOL (Biological study); PREP (Preparation); USES (Uses)
(purifn. and characterization of fucose sulfate-releasing enzyme from Strongylocentrotus for structural anal. of fucoidan)
IT 9072-19-9, Fucoidan
RL: MSC (Miscellaneous); RCT (Reactant); RACT (Reactant or reagent)
(purifn. and characterization of fucose sulfate-releasing enzyme from Strongylocentrotus for structural anal. of fucoidan)
IT 504-29-0, 2-Aminopyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of fucoidan hydrolyzates with aminopyridine in prepn. of substrate for fucose sulfate-releasing enzyme)
IT **175842-03-2P**
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PNU (Preparation, unclassified); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(substrate; purifn. and characterization of fucose sulfate-releasing enzyme from Strongylocentrotus for structural anal. of fucoidan)

Text Search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=> file medline
FILE 'MEDLINE' ENTERED AT 14:09:36 ON 28 APR 2003

 FILE LAST UPDATED: 26 APR 2003 (20030426/UP).  FILE COVERS 1958 TO DATE.

 On April 13, 2003, MEDLINE was reloaded.  See HELP RLOAD for details.

 MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the
 MeSH 2003 vocabulary.  See http://www.nlm.nih.gov/mesh/changes2003.html
 for a description on changes.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d que l175

L100      364804 SEA FILE=MEDLINE ABB=ON  PLU=ON  ANTIBIOTICS+NT/CT
L101      262996 SEA FILE=MEDLINE ABB=ON  PLU=ON  POLYSACCHARIDES+NT/CT
L105           4 SEA FILE=MEDLINE ABB=ON  PLU=ON  L100 AND L101 AND REDUCTIVE
                 AMINAT?
L106           2 SEA FILE=MEDLINE ABB=ON  PLU=ON  L105 AND STAPH?
L175           1 SEA FILE=MEDLINE ABB=ON  PLU=ON  L106 AND PSEUDO?

=> file hcaplus

FILE 'HCAPLUS' ENTERED AT 14:09:38 ON 28 APR 2003
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 FILE COVERS 1907 - 28 Apr 2003  VOL 138 ISS 18
 FILE LAST UPDATED: 27 Apr 2003  (20030427/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d que l48

L10       407323 SEA FILE=HCAPLUS ABB=ON  PLU=ON  POLYSACCHARIDES+PFT,NT/CT
L11       145637 SEA FILE=HCAPLUS ABB=ON  PLU=ON  OLIGOSACCHARIDES+PFT,NT/CT
L23        19421 SEA FILE=HCAPLUS ABB=ON  PLU=ON  SCHIFF?/OBI
L34        20297 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L10 OR L11)(L)(?SULFAT?)
L44            8 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L34 AND L23
L48            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L44 AND (MULTICOMPONENT OR
                 POLYANIONIC)/TI
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CT = controlled terminology

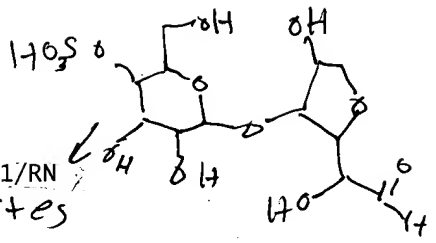
NT = narrower term

1 cite

PFT = old, new & used for terms

OBI = all fields except the abstract

2 cites



=> d que 159

L59 2 SEA FILE=HCAPLUS ABB=ON PLU=ON 143537-91-1/RN
2 cites

=> d que 186

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L11 145637 SEA FILE=HCAPLUS ABB=ON PLU=ON OLIGOSACCHARIDES+PFT,NT/CT
L12 43515 SEA FILE=HCAPLUS ABB=ON PLU=ON ("1,2-BENZISOTHIAZOLIN-3-ONE"/CT OR 2-METHYL-4-ISOTHIAZOLIN-3-ONE/CT OR "4-CHLORO-3,5-DIMETHYLPHENOL"/CT OR 5-CHLORO-2-METHYL-4-ISOTHIAZOLIN-3-ONE/CT OR AMOXICILLIN/CT OR BACITRACIN/CT OR "BENZETHONIUM CHLORIDE"/CT OR CEFAZOLIN/CT OR CEFOPERAZONE/CT OR CEPHALOSPORIN/CT OR CHLORHEXIDINE/CT OR "CHLORHEXIDINE ACETATE"/CT OR "CHLORHEXIDINE GLUCONATE"/CT OR CIPROFLOXACIN/CT OR CLARITHROMYCIN/CT OR "DIDECYLDIMETHYLAMMONIUM CHLORIDE"/CT OR ENOXACIN/CT OR ETHAMBUTOL/CT OR FLEROXACIN/CT OR FURAZOLIDONE/CT OR LEVOFLOXACIN/CT OR LINEZOLID/CT OR LOMEFLOXACIN/CT OR METHICILLIN/CT OR MONOLAURIN/CT OR "OXOLINIC ACID"/CT OR PEFLOXACIN/CT OR POVIDONE-IODINE/CT OR SPARFLOXACIN/CT OR SULBACTAM/CT OR TICARCILLIN/CT OR TINIDAZOLE/CT OR TRICLOSAN/CT OR TROVAFLOXACIN/CT OR VIDARABINE/CT OR "ZINC PYRITHIONE"/CT OR "ZIRCONIUM PHOSPHATE"/CT) } antibiotics
L13 94585 SEA FILE=HCAPLUS ABB=ON PLU=ON ANTIBACTERIAL AGENTS+PFT,NT/CT

L14 136141 SEA FILE=HCAPLUS ABB=ON PLU=ON LACTAMS+PFT,NT/CT
L15 145901 SEA FILE=HCAPLUS ABB=ON PLU=ON ANTIBIOTICS+PFT,NT/CT
L37 42446 SEA FILE=HCAPLUS ABB=ON PLU=ON POLYSACCHARIDES/CT
L38 25409 SEA FILE=HCAPLUS ABB=ON PLU=ON OLIGOSACCHARIDES/CT
L60 4190 SEA FILE=HCAPLUS ABB=ON PLU=ON 9000-07-1/RN ← carrageenins
L61 23 SEA FILE=HCAPLUS ABB=ON PLU=ON 9000-07-1DP/RN ← derivatives of
L69 2144 SEA FILE=HCAPLUS ABB=ON PLU=ON (L37 OR L38)(L)?SULFAT?
L70 2144 SEA FILE=HCAPLUS ABB=ON PLU=ON (L10 OR L11) AND L69
L75 4929 SEA FILE=HCAPLUS ABB=ON PLU=ON REDUCTIV?(5A)AMINAT?
L76 454 SEA FILE=HCAPLUS ABB=ON PLU=ON (L10 OR L11) AND L75
L77 16 SEA FILE=HCAPLUS ABB=ON PLU=ON (L12 OR L13 OR L14 OR L15) AND L76
L83 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L70 AND L77
L85 1 SEA FILE=HCAPLUS ABB=ON PLU=ON (L60 OR L61) AND L77
L86 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L85 OR L83 ; 1 cite

SC, - section codes
SX - cross refs
63-6 - pharmaceuticals

=> d que 196

L10 407323 SEA FILE=HCAPLUS ABB=ON PLU=ON POLYSACCHARIDES+PFT,NT/CT
L11 145637 SEA FILE=HCAPLUS ABB=ON PLU=ON OLIGOSACCHARIDES+PFT,NT/CT
L34 20297 SEA FILE=HCAPLUS ABB=ON PLU=ON (L10 OR L11)(L)(?SULFAT?)
L93 434 SEA FILE=HCAPLUS ABB=ON PLU=ON L34 AND CONJUGAT?
L94 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L93 AND (ANTIBIOTIC OR ANTIBACTER? OR LACTAM OR CEPHALO? OR PENICIL?)
L95 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L94 AND 63-6/SC,SX
L96 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L95 AND (COMPLEX? OR ORAL)/TI ; 2 cites

=> d que 199

L10 407323 SEA FILE=HCAPLUS ABB=ON PLU=ON POLYSACCHARIDES+PFT,NT/CT
L11 145637 SEA FILE=HCAPLUS ABB=ON PLU=ON OLIGOSACCHARIDES+PFT,NT/CT

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L34       20297 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L10 OR L11)(L)(?SULFAT?)
L97         789 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L34(L)(LINK? OR JOIN? OR
                BOND? OR COVALENT?)
L98          10 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L97(L)(ANTIBIOTIC OR ANTIBACTE
                R? OR LACTAM OR CEPHALO? OR PENICIL?)
L99           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L98 AND SUTURE/TI
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1 cite

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=> d que l171

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L11      145637 SEA FILE=HCAPLUS ABB=ON  PLU=ON  OLIGOSACCHARIDES+PFT,NT/CT
L12       43515 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("1,2-BENZISOTHIAZOLIN-3-ONE"/
                CT OR 2-METHYL-4-ISOTHIAZOLIN-3-ONE/CT OR "4-CHLORO-3,5-DIMETHY
                LPHENOL"/CT OR 5-CHLORO-2-METHYL-4-ISOTHIAZOLIN-3-ONE/CT OR
                AMOXICILLIN/CT OR BACITRACIN/CT OR "BENZETHONIUM CHLORIDE"/CT
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                IN/CT OR LINEZOLID/CT OR LOMEFLOXACIN/CT OR METHICILLIN/CT OR
                MONOLAURIN/CT OR "OXOLINIC ACID"/CT OR PEFLOXACIN/CT OR
                POVIDONE-IODINE/CT OR SPARFLOXACIN/CT OR SULBACTAM/CT OR
                TICARCILLIN/CT OR TINIDAZOLE/CT OR TRICLOSAN/CT OR TROVAFLOXACI
                N/CT OR VIDARABINE/CT OR "ZINC PYRITHIONE"/CT OR "ZIRCONIUM
                PHOSPHATE"/CT)
L13       94585 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ANTIBACTERIAL AGENTS+PFT,NT/CT

L14      136141 SEA FILE=HCAPLUS ABB=ON  PLU=ON  LACTAMS+PFT,NT/CT
L15      145901 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ANTIBIOTICS+PFT,NT/CT
L166       2027 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L10 OR L11) AND (SCHIFF? OR
                IMINE OR HEMIAMIN? OR REDUCTIVE ALKYL?)
L167        342 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L166 AND (LINK? OR JOIN? OR
                COVALENT? OR BOND? OR CONJUGAT?)
L168        119 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L167 AND ?ALDEHYD?
L169         14 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L168 AND (L12 OR L13 OR L14
                OR L15)
L171          4 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L169 AND (NYSTATIN OR CHITIN
                OR (POLYENE OR ORIGIN)/TI)
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4 cites

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=> s l48 or l59 or l86 or l96 or l99 or l171

L176         11 L48 OR L59 OR L86 OR L96 OR L99 OR L171
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11 cites for HCAPLUS total

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=> file wpix

FILE 'WPIX' ENTERED AT 14:09:43 ON 28 APR 2003
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FILE LAST UPDATED:          16 APR 2003      <20030416/UP>
MOST RECENT DERWENT UPDATE:     200325        <200325/DW>
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
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Due to data production problems in updates 24 and 25
the WPI file had to be reset to update 200323 on April 24
and the corrected updates were reloaded.
SDIs for update 24 were rerun. The previous SDI run for 24 has
been credited.

We also recommend to recreate answer sets dated between April 10 and 24. Charges incurred to accomplish this will be credited of course.

>>> NEW WEEKLY SDI FREQUENCY AVAILABLE --> see NEWS <<<

>>> SLART (Simultaneous Left and Right Truncation) is now available in the /ABEX field. An additional search field /BIX is also provided which comprises both /BI and /ABEX <<<

>>> PATENT IMAGES AVAILABLE FOR PRINT AND DISPLAY <<<

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE http://www.derwent.com/dwpi/updates/dwpicov/index.html <<<

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE, PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf <<<

>>> FOR INFORMATION ON ALL DERWENT WORLD PATENTS INDEX USER GUIDES, PLEASE VISIT:
http://www.derwent.com/userguides/dwpi_guide.html <<<

=> d que l122

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L113      32304 SEA FILE=WPIX ABB=ON  PLU=ON  ?CARRAGEENAN? OR ?FUCOIC? OR
                ?CARRABAS? OR ?FUCOS? OR ?SACCHARID?
L119       2097 SEA FILE=WPIX ABB=ON  PLU=ON  L113 AND (ANTIBIOTIC OR ANTIBACTE
                R? OR LACTAM OR CEPHALO? OR PENICIL?)
L120        641 SEA FILE=WPIX ABB=ON  PLU=ON  L119 AND (LINK? OR JOIN? OR
                BOND? OR REDUCTION OR SCHIFF)
L121         28 SEA FILE=WPIX ABB=ON  PLU=ON  L120 AND PYLORI
L122          1 SEA FILE=WPIX ABB=ON  PLU=ON  L121 AND ?ALDEHYD?
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1 cite

=> d que l127

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L113      32304 SEA FILE=WPIX ABB=ON  PLU=ON  ?CARRAGEENAN? OR ?FUCOIC? OR
                ?CARRABAS? OR ?FUCOS? OR ?SACCHARID?
L119       2097 SEA FILE=WPIX ABB=ON  PLU=ON  L113 AND (ANTIBIOTIC OR ANTIBACTE
                R? OR LACTAM OR CEPHALO? OR PENICIL?)
L120        641 SEA FILE=WPIX ABB=ON  PLU=ON  L119 AND (LINK? OR JOIN? OR
                BOND? OR REDUCTION OR SCHIFF)
L121         28 SEA FILE=WPIX ABB=ON  PLU=ON  L120 AND PYLORI
L123        613 SEA FILE=WPIX ABB=ON  PLU=ON  L120 NOT L121
L124         63 SEA FILE=WPIX ABB=ON  PLU=ON  L123 AND ?ALDEHYD?
L125         43 SEA FILE=WPIX ABB=ON  PLU=ON  L124 AND (AMINE OR AMINO)
L127          5 SEA FILE=WPIX ABB=ON  PLU=ON  (ALKYLATION OR POLYENE OR
                CATHETERS OR BIOSTATIC)/TI AND L125
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5 cites

=> s l122 or l127

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L177          6 L122 OR L127
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6 cites for WPIX, total

=> dup rem l175 l176 l177

removing duplicate citations

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FILE 'MEDLINE' ENTERED AT 14:10:13 ON 28 APR 2003

FILE 'HCAPLUS' ENTERED AT 14:10:13 ON 28 APR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE 'WPIX' ENTERED AT 14:10:13 ON 28 APR 2003
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PROCESSING COMPLETED FOR L175
PROCESSING COMPLETED FOR L176
PROCESSING COMPLETED FOR L177
L178 16 DUP REM L175 L176 L177 (2 DUPLICATES REMOVED) 16 citations total
ANSWER '1' FROM FILE MEDLINE
ANSWERS '2-12' FROM FILE HCAPLUS
ANSWERS '13-16' FROM FILE WPIX

=> d ibib abs 1

L178 ANSWER 1 OF 16 MEDLINE
ACCESSION NUMBER: 84161642 MEDLINE
DOCUMENT NUMBER: 84161642 PubMed ID: 6546750
TITLE: Synthesis of sisamine and of **pseudodisaccharide** analogues.
AUTHOR: Girodeau J M; Pineau R; Masson M; Le Goffic F
SOURCE: JOURNAL OF ANTIBIOTICS, (1984 Feb) 37 (2) 143-9.
Journal code: 0151115. ISSN: 0021-8820.
PUB. COUNTRY: Japan
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 198405
ENTRY DATE: Entered STN: 19900319
Last Updated on STN: 19900319
Entered Medline: 19840510

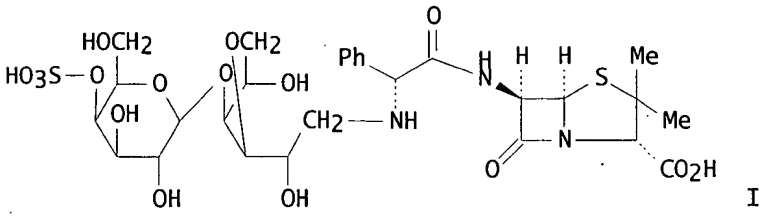
AB Lividamine and paromamine were converted into two key intermediate ethylenic aldehydes 10a and 10b. **Reductive amination** of the two aldehydes yielded the protected sisamine 11a and the three analogs 11b, 12a and 12b. These four derivatives were deprotected to yield the four **pseudodisaccharides** 1a, 1b, 2a and 2b which were less active in vitro than neamine against Escherichia coli ATCC 9637 and **Staphylococcus** aureus 209P.

=> d ibib abs hitstr ind 2-12

L178 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2003 ACS DUPLICATE 1
ACCESSION NUMBER: 2000:240962 HCAPLUS
DOCUMENT NUMBER: 132:265440
TITLE: Preparation of sulfated poly- or oligosaccharide-linked .beta.-lactam derivatives as antibacterial agents against Helicobacter pylori
INVENTOR(S): Shibata, Hideyuki; Nagaoka, Masato; Takagi, Itsuko; Hashimoto, Shusuke
PATENT ASSIGNEE(S): Kabushiki Kaisha Yakult Honsha, Japan
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000020009 A1 20000413 WO 1999-JP5448 19991004
W: AU, CA, CN, JP, KR, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
CA 2346132 AA 20000413 CA 1999-2346132 19991004
AU 9960019 A1 20000426 AU 1999-60019 19991004
EP 1120100 A1 20010801 EP 1999-970024 19991004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
PRIORITY APPLN. INFO.: JP 1998-282143 A 19981005
WO 1999-JP5448 W 19991004
OTHER SOURCE(S): MARPAT 132:265440
GI



I

AB Antibacterial agents showing a high affinity for Helicobacter pylori and having a chem. structure, wherein an antibacterial substance is bonded to a sulfated polysaccharide or an oligosaccharide prepd. by partly degrading a sulfated polysaccharide having an antibacterial effect specific to H. pylori, are prepd. Preferable embodiments are those having the following chem. structures: Y-OCH(AH2NHR)n or Y-BH2NHR (wherein Y represents a sulfated polysaccharide or an oligosaccharide prepd. by partly degrading a sulfated polysaccharide; A represents a carbon atom originating in an aldehyde group formed by reducing the terminal reducing sugar of Y and then oxidizing with an oxidizing agent; B represents a carbon atom originating in an aldehyde group of the terminal reducing sugar of Y; R represents an antibacterial substance having a primary amino group or an amino group having been introduced thereinto, or an antibacterial agent deriv. bonded to the above-described carbon atom A or B via a spacer; and n is 1 or 2). These compds. are useful for the prevention and/or treatment of digestive tract ulcers. Thus, 4'-sulfocarrabiose underwent **reductive amination** with ampicillin using borane-dimethylamine complex in 1M acetate buffer (pH 4.6) to give carrabiose-ampicillin deriv. (I) which at 1 mg/mL completely inhibited the proliferation of H. pylori.

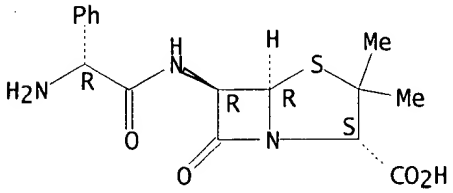
IT **9072-19-9P**, Fucoidan
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); RACT (Reactant or reagent)
(isolation from Cladosiphon okamuranus Tokida (Okinawa, Japan); prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)
RN 9072-19-9 HCAPLUS
CN Fucoidan (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **69-52-3DP**, Ampicillin sodium salt, reaction products with oligofucose and 12-aminolauric acid **69-53-4DP**, Ampicillin, reductive alkylation products with periodate oxidn. products of fucoidan

63527-52-6DP, Cefotaxime, reductive alkylation products with periodate oxidn. products of fucoidan
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

RN 69-52-3 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(2R)-aminophenylacetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, (2S,5R,6R)-(9CI) (CA INDEX NAME)

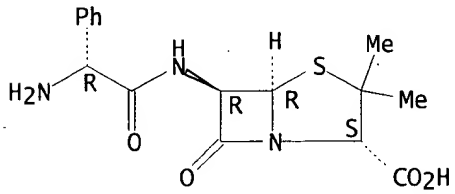
Absolute stereochemistry.



O Na

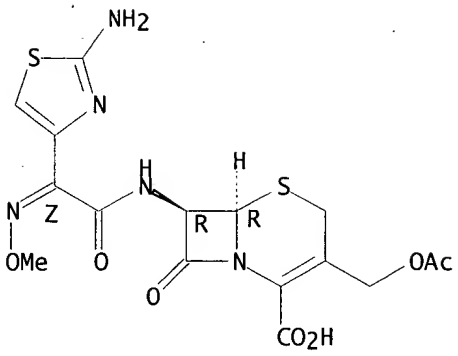
RN 69-53-4 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(2R)-aminophenylacetyl]amino]-3,3-dimethyl-7-oxo-, (2S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



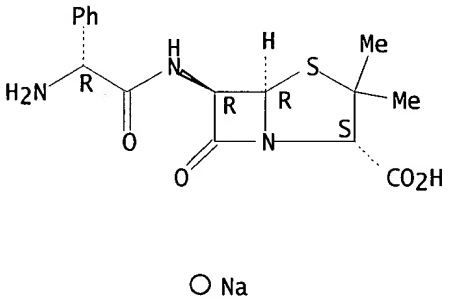
RN 63527-52-6 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



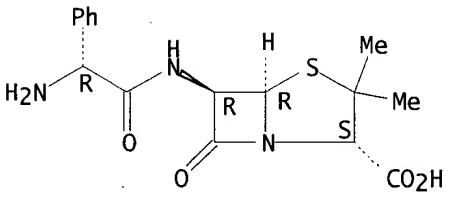
IT **69-52-3**, Ampicillin sodium salt **69-53-4**, Ampicillin
63527-52-6, Cefotaxime
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)
RN 69-52-3 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(2R)-aminophenylacetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, (2S,5R,6R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 69-53-4 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(2R)-aminophenylacetyl]amino]-3,3-dimethyl-7-oxo-, (2S,5R,6R)- (9CI) (CA INDEX NAME)

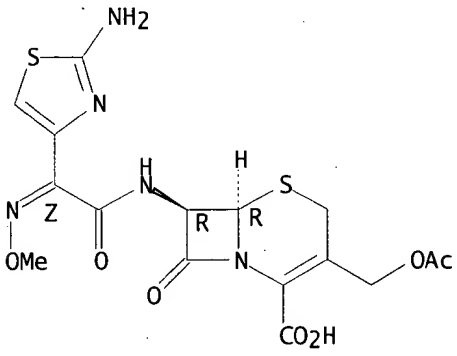
Absolute stereochemistry.



RN 63527-52-6 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]a

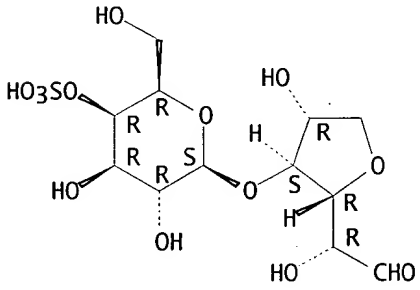
mino]-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **143537-91-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)
RN 143537-91-1 HCAPLUS
CN D-Galactose, 3,6-anhydro-4-O-(4-O-sulfo-.beta.-D-galactopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **9000-07-1**, Carrageenin
RL: RCT (Reactant); RACT (Reactant or reagent)
(.kappa.-; prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)
RN 9000-07-1 HCAPLUS
CN Carrageenan (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IC ICM A61K031-725
CC 33-4 (Carbohydrates)
Section cross-reference(s): 1, 26
ST sulfated polysaccharide linked beta lactam prepn antibacterial; beta lactam linked sulfated oligosaccharide prepn antibacterial; digestive tract ulcer treatment carrabiose ampicillin
IT **Oligosaccharides, preparation**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(fucose-contg., periodate oxidn. products (aldehydes) of fucoidan; prepn. of **sulfated** poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

IT **Antibacterial agents**
Antiulcer agents
Helicobacter pylori
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

IT **Oligosaccharides, preparation**
Polysaccharides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **sulfated** poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

IT **Lactams**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(.beta.-; prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

IT **9072-19-9P**, Fucoidan
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); RACT (Reactant or reagent)
(isolation from Cladosiphon okamuranus Tokida (Okinawa, Japan); prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

IT **69-52-3DP**, Ampicillin sodium salt, reaction products with oligofucose and 12-aminolauric acid **69-53-4DP**, Ampicillin, reductive alkylation products with periodate oxidn. products of fucoidan 693-57-2DP, 12-Aminolauric acid, reaction products with oligofucose and ampicillin **63527-52-6DP**, Cefotaxime, reductive alkylation products with periodate oxidn. products of fucoidan 263394-03-2P 263394-05-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

IT **69-52-3**, Ampicillin sodium salt **69-53-4**, Ampicillin 693-57-2, 12-Aminolauric acid **63527-52-6**, Cefotaxime
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

IT **143537-91-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

IT **9000-07-1**, Carrageenin
RL: RCT (Reactant); RACT (Reactant or reagent)
(.kappa.-; prepn. of sulfated poly- or oligosaccharide-linked .beta.-lactam derivs. as antibacterial agents against Helicobacter pylori)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L178 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2003 ACS DUPLICATE 2
ACCESSION NUMBER: 2000:10613 HCAPLUS
DOCUMENT NUMBER: 132:69331
TITLE: Drug **conjugates** with oxidized arabinogalactan or dextran
INVENTOR(S): Domb, Abraham J.; Benita, Shimon; Polacheck, Itzhack; Linden, Galina
PATENT ASSIGNEE(S): Yissum Research Developement Company of the Hebrew University of Jerusalem, Israel
SOURCE: U.S., 10 pp., Cont. of U.S. Ser. No. 780,677, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6011008	A	20000104	US 1998-90587	19980604
PRIORITY APPLN. INFO.:			US 1997-780677	19970108

AB A method for producing a water-sol. polysaccharide **conjugate** of an oxidn.-sensitive substance is described. The method comprises the following steps: (a) activating the polysaccharide to a **dialdehyde** by periodate oxidn.; (b) purifying the **dialdehyde** from interfering anions and byproducts; and (c) coupling the substance to the purified **dialdehyde** by **Schiff** base formation to form the **conjugate**. Optionally, the **conjugate** of step (c) is reduced to an amine **conjugate** by a reducing substance. The product **conjugate** may then be further purified from various reaction byproducts. The disclosed method results in the substance substantially retaining its biol. activity. Also described are **imine** and amine polysaccharide **conjugates** of various drugs and polypeptides. E.g., doxorubicin was **conjugated** with oxidized dextran and oxidized arabinogalactan.

IT **1404-26-8DP**, Polymyxin b, **conjugates** with oxidized arabinogalactan
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug **conjugates** with oxidized arabinogalactan or dextran)
RN 1404-26-8 HCAPLUS
CN Polymyxin B (7CI, 8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **9004-54-0DP**, Dextran, oxidized, **conjugates** with drugs, biological studies **9036-66-2DP**, Arabinogalactan, oxidized, **conjugates** with drugs
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug **conjugates** with oxidized arabinogalactan or dextran)
RN 9004-54-0 HCAPLUS
CN Dextran (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 9036-66-2 HCAPLUS

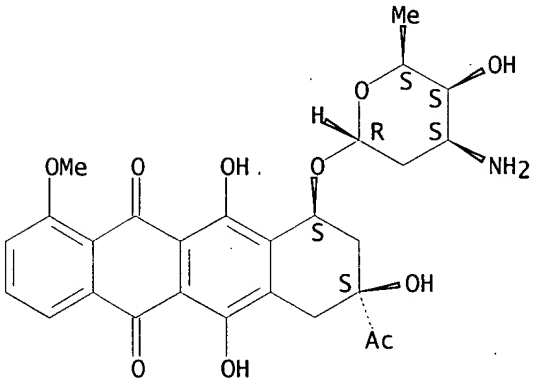
CN D-Galacto-L-arabinan (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **1400-61-9DP, Nystatin, conjugates** with dextran
1403-66-3DP, Gentamicin, **conjugates** with oxidized arabinogalactan
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug **conjugates** with oxidized arabinogalactan or dextran)
RN 1400-61-9 HCAPLUS
CN Nystatin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 1403-66-3 HCAPLUS
CN Gentamicin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **20830-81-3**, Daunorubicin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug **conjugates** with oxidized arabinogalactan or dextran)
RN 20830-81-3 HCAPLUS
CN 5,12-Naphthacenedione, 8-acetyl-10-[(3-amino-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K037-02
ICS A61K037-36; C07K013-00
NCL 514008000
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 33, 34
ST drug **conjugate** oxidized dextran arabinogalactan
IT Peptides, biological studies
Polysaccharides, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**conjugates**; drug **conjugates** with oxidized arabinogalactan or dextran)
IT Anti-inflammatory agents
Antimicrobial agents
Antitumor agents
(drug **conjugates** with oxidized arabinogalactan or dextran)
IT 50-07-7DP, Mitomycin c, **conjugates** with oxidized arabinogalactan
1404-26-8DP, Polymyxin b, **conjugates** with oxidized

arabinogalactan 23214-92-8DP, Doxorubicin, **conjugates** with oxidized arabinogalactan or dextran
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug **conjugates** with oxidized arabinogalactan or dextran)

IT **9004-54-0DP**, Dextran, oxidized, **conjugates** with drugs, biological studies **9036-66-2DP**, Arabinogalactan, oxidized, **conjugates** with drugs 37317-99-0DP, Dextran **dialdehyde**, **conjugates** with drugs
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug **conjugates** with oxidized arabinogalactan or dextran)

IT 56-40-6, Glycine, reactions 33069-62-4, Taxol
RL: RCT (Reactant); RACT (Reactant or reagent)
(drug **conjugates** with oxidized arabinogalactan or dextran)

IT 117527-59-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(drug **conjugates** with oxidized arabinogalactan or dextran)

IT 50-02-2DP, Dexamethasone, **conjugates** with oxidized arabinogalactan 89-57-6DP, 5-Aminosalicylic acid, **conjugates** with oxidized arabinogalactan **1400-61-9DP**, **Nystatin**, **conjugates** with dextran **1403-66-3DP**, Gentamicin, **conjugates** with oxidized arabinogalactan 9004-10-8DP, Insulin, **conjugates** with oxidized arabinogalactan, biological studies 32986-56-4DP, Tobramicin, **conjugates** with oxidized arabinogalactan 51110-01-1DP, Somatostatin, **conjugates** with oxidized arabinogalactan 117527-59-0DP, **conjugates** with oxidized arabinogalactan
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug **conjugates** with oxidized arabinogalactan or dextran)

IT 50-56-6, Oxytocin, biological studies 58-14-0, Pyrimethamine 58-82-2, Bradykinin 59-05-2, Methotrexate 68-35-9, Sulfadiazine 80-08-0, Dapsone 738-70-5, Trimethoprim 2022-85-7, Flucytosine 9007-12-9, Calcitonin 9034-40-6, LHRH 11000-17-2, Vasopressin **20830-81-3**, Daunorubicin 24305-27-9, Trf
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug **conjugates** with oxidized arabinogalactan or dextran)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L178 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:964223 HCAPLUS
DOCUMENT NUMBER: 138:44756
TITLE: **Conjugates** of polysaccharide polymers of natural **origin**
INVENTOR(S): Volpato, Ivo; Bizzini, Bernard Emile; Abreu, Roberto Carlos; Lippmann, Marco
PATENT ASSIGNEE(S): Bartholdy-Consultadoria e Servicos Ltd., Port.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100440	A1	20021219	WO 2002-EP6371	20020611

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IT 2001-MI1238 A 20010612

AB The present invention relates to the use of fibers of polysaccharide polymers of natural origin, preferably of vegetal origin, such as, for instance, cellulose or cotton, or the use of yarns, non-woven fabrics (or felts), or fabrics obtained from those fibers in order to obtain pharmaceutical, cosmetic or hygienic products, or products to be used in the household or in the food industry. In particular, the polysaccharide polymers according to the invention can be used to obtain plasters, gauzes, sanitary cotton wool, vaginal and surgical tampons, bandages, gloves, stockings, masks, curtains, carpets and the like, or to obtain filters or wrappings for food. For example, procaine hydrochloride was directly **conjugated** to cotton fibers through **Schiff** base; 76.3% procaine was released after 18 h by hydrolysis of the **conjugates**.

IT **1405-87-4DP**, Bacitracin, **conjugates** with oxidized cotton fibers and polylysine **1405-97-6DP**, Gramicidin, **conjugates** with oxidized cotton fibers and polylysine **9004-61-9DP**, Hyaluronic acid, **conjugates** with cotton fibers **9005-49-6DP**, Heparin, **conjugates** with cotton fibers
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

RN 1405-87-4 HCAPLUS
CN Bacitracin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 1405-97-6 HCAPLUS
CN Gramicidin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9004-61-9 HCAPLUS
CN Hyaluronic acid (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9005-49-6 HCAPLUS
CN Heparin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IC ICM A61K047-48
CC 63-7 (Pharmaceuticals)
Section cross-reference(s): 1, 17, 40, 62
ST polysaccharide fiber biol active compd **conjugate**
IT Immunoglobulins
RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(G, **conjugates** with cotton fibers; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT Cosmetics
(antiaging; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT Fibers
RL: COS (Cosmetic use); FFD (Food or feed use); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cellulosic; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT Wound healing promoters
(cicatrizants, **conjugates** with cotton fibers; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT Food packaging materials
(**conjugates** of polysaccharides with biol. active substances for food industry)

IT Anti-inflammatory agents
Antibacterial agents
Cotton fibers
Fungicides
Medical goods
Nonwoven fabrics
Textiles
Yarns
(**conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT **Schiff** bases
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT Disinfectants
Immunostimulants
(**conjugates** with cotton fibers; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT Corticosteroids, biological studies
Elastins
Fibrinogens
Glycoproteins
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**conjugates** with cotton fibers; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT Fibronectins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**conjugates** with cotton fibers; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT Acaricides
(cotton fabric-**conjugated**; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT Medical goods
(dressings; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT Food
(filters or wrappings; **conjugates** of polysaccharides with biol. active substances for food industry)
IT Medical goods
(gauzes; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)
IT Medical goods
(gloves, antiallergic; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)
IT Anesthetics
(local, **conjugates** with cotton fibers; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)
IT Gloves
(medical, antiallergic; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)
IT Synthetic polymeric fibers, biological studies
RL: COS (Cosmetic use); FFD (Food or feed use); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polysaccharides; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)
IT Medical goods
(sanitary napkins; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)
IT Amines, biological studies
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(secondary; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)
IT Medical goods
(tampons; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)
IT Cosmetics
(wrinkle-preventing; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)
IT 98-59-9, Tosyl chloride 111-30-8, **Glutaraldehyde** 1892-57-5, EDAC 10387-40-3, Potassium thioacetate
RL: RCT (Reactant); RACT (Reactant or reagent)
(**conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)
IT 51-05-8DP, Procaine hydrochloride, **conjugates** with oxidized cotton fibers 52-90-4DP, L-Cysteine, **conjugates** with cotton fibers and biol. active compds. 56-87-1DP, L-Lysine, **conjugates** with cotton fibers and biol. active compds. 120-51-4DP, Benzyl benzoate, azo derivs., **conjugates** with cotton fibers and lysine or polylysine 122-11-2DP, Sulfadimethoxine, **conjugates** with cotton fibers and polylysine 123-08-0DP, 4-**Hydroxybenzaldehyde**, **conjugates** with derivatized cotton fibers 488-69-7DP, FDP, **conjugates** with cotton fibers and lysine or polylysine 547-32-0DP, Sulfadiazine sodium, **conjugates** with oxidized cotton fibers 1071-93-8DP, Adipic acid dihydrazide, reaction products with Factor VIII, **conjugates** with cotton fibers **1405-87-4DP**, Bacitracin, **conjugates** with oxidized cotton fibers and polylysine **1405-97-6DP**, Gramicidin, **conjugates** with oxidized cotton fibers and polylysine 9001-12-1DP, Collagenase, **conjugates** with cotton fibers 9001-26-7DP, Prothrombin, **conjugates** with cotton fibers and lysine or polylysine 9001-62-1DP, Lipase, **conjugates** with cotton fibers **9004-61-9DP**, Hyaluronic acid, **conjugates** with cotton

fibers **9005-49-6DP**, Heparin, **conjugates** with cotton fibers 22204-53-1DP, Naproxen, **conjugates** with cotton fibers 25104-18-1DP, Poly(L-lysine), **conjugates** with cotton fibers and biol. active compds. 38000-06-5DP, Poly(L-lysine), **conjugates** with cotton fibers and biol. active compds. 113189-02-9DP, Blood coagulation factor VIII, reaction products with adipic acid dihydrazide, **conjugates** with cotton fibers and cysteine 478256-48-3DP, **conjugates** with cysteine and cotton fibers
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT 52-90-4, L-Cysteine, reactions 56-84-8, L-Aspartic acid, reactions 56-86-0, L-Glutamic acid, reactions 56-87-1, L-Lysine, reactions 302-01-2, Hydrazine, reactions 7783-06-4, Hydrogen sulfide, reactions 29768-80-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(**linker**; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

IT 17333-88-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**linker**; **conjugates** of polysaccharides with biol. active substances for medicinal, cosmetic and hygienic uses)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L178 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:935443 HCAPLUS
DOCUMENT NUMBER: 136:58849
TITLE: Compositions and methods to improve the **oral** absorption of antimicrobial agents
INVENTOR(S): Choi, Seung-Ho; Lee, Jeoung-Soo; Keith, Dennis
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA; International Health Management Associates, Inc.; University of Utah Research Foundation
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001097851	A2	20011227	WO 2001-US19625	20010618
WO 2001097851	A3	20020516		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6248360	B1	20010619	US 2000-598089	20000621
EP 1294361	A2	20030326	EP 2001-944619	20010618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

US 2003039956 A1 20030227 US 2001-888114 20010622
PRIORITY APPLN. INFO.: US 2000-598089 A 20000621
US 2001-829405 A 20010409
US 2001-283976P P 20010416
WO 2001-US19625 W 20010618

AB The present invention provides compns. and methods for increasing absorption of **antibacterial** agents, particularly third generation **cephalosporin antibacterial** agents, in oral dosage solid and/or suspension forms. Specifically, the compn. is comprised of a biopolymer that is preferably swellable and/or mucoadhesive, an antimicrobial agent, and a cationic binding agent contained within the biopolymer such that the binding agent is ionically bound or complexed to at least one member selected from the group consisting of the biopolymer and the antimicrobial agent. A soln. of 44.5 mg calcium chloride in 10 mL water and 1.0 g of ceftriaxone in 10 mL water was added gradually to a soln. of 400 mg carrageenan and the dispersion was centrifuged and the supernatant was lyophilized. The resulting compn. comprized carrageenan 27.7, ceftriaxone 1, and calcium chloride 3.1%. Plasma concn. of different antimicrobial-biopolymer complexes after oral administration to rats was measured.

IT **9007-28-7DP**, Chondroitin **sulfate, conjugates**
with antimicrobials and cationic binding agent
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(compns. and methods to improve oral absorption of antimicrobial agents)

RN 9007-28-7 HCAPLUS
CN Chondroitin, hydrogen sulfate (9CI) (CA INDEX NAME)

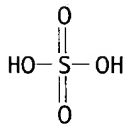
CM 1

CRN 9007-27-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 7664-93-9
CMF H2 O4 S



IC ICM A61K047-00
CC **63-6** (Pharmaceuticals)
ST oral absorption antimicrobial biopolymer **conjugate** pharmaceutical
IT Fatty acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C12-18; compns. and methods to improve oral absorption of antimicrobial agents)
IT Quaternary ammonium compounds, biological studies

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(alkylbenzyldimethyl, chlorides, **conjugates** with antimicrobial agents and biopolymers; compns. and methods to improve oral absorption of antimicrobial agents)

IT Glycosides
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino, **conjugates** with biopolymers and cationic binding agents; compns. and methods to improve oral absorption of antimicrobial agents)

IT Amino acids, biological studies
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(basic, **conjugates** with antimicrobial agents and biopolymers; compns. and methods to improve oral absorption of antimicrobial agents)

IT Drug delivery systems
(capsules; compns. and methods to improve oral absorption of antimicrobial agents)

IT Polyelectrolytes
(cationic, **conjugates** with antimicrobial agents and biopolymers; compns. and methods to improve oral absorption of antimicrobial agents)

IT Absorption
Antimicrobial agents
(compns. and methods to improve oral absorption of antimicrobial agents)

IT Biopolymers
Glycerides, biological studies
Lipids, biological studies
Monoglycerides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods to improve oral absorption of antimicrobial agents)

IT Cations
(**conjugates** with antimicrobial agents and biopolymers; compns. and methods to improve oral absorption of antimicrobial agents)

IT Acrylic polymers, biological studies
Clathrates
Fatty acids, biological studies
Polyoxyalkylenes, biological studies
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**conjugates** with antimicrobials and cationic binding agent; compns. and methods to improve oral absorption of antimicrobial agents)

IT Quaternary ammonium compounds, biological studies
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**conjugates** with biopolymers and antimicrobial agents; compns. and methods to improve oral absorption of antimicrobial agents)

IT Glycopeptides
Lipopeptides
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(**conjugates** with biopolymers and cationic binding agents; compns. and methods to improve oral absorption of antimicrobial agents)

IT Polysaccharides, biological studies
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**conjugates**, with antimicrobials and cationic binding agent; compns. and methods to improve oral absorption of antimicrobial agents)

IT Drug delivery systems
(liposomes; compns. and methods to improve oral absorption of antimicrobial agents)

IT Adhesives
(muco-; compns. and methods to improve oral absorption of antimicrobial agents)

IT Drug delivery systems
(oral; compns. and methods to improve oral absorption of antimicrobial agents)

IT Drug delivery systems
(tablets; compns. and methods to improve oral absorption of antimicrobial agents)

IT **Lactams**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(.beta.-, monocyclic, **conjugates** with biopolymers and cationic binding agents; compns. and methods to improve oral absorption of antimicrobial agents)

IT 56-87-1DP, Lysine, **conjugates** with antimicrobial agents and biopolymers 57-55-6DP, Propylene glycol, **conjugates** with antimicrobials and cationic binding agent 57-92-1DP, Streptomycin, **conjugates** with biopolymers and cationic binding agents 71-00-1DP, Histidine, **conjugates** with antimicrobial agents and biopolymers 74-79-3DP, Arginine, **conjugates** with antimicrobial agents and biopolymers 112-00-5DP, Dodecyl trimethyl ammonium chloride, **conjugates** with antimicrobial agents and biopolymers 112-02-7DP, Cetyl trimethyl ammonium chloride, **conjugates** with antimicrobial agents and biopolymers 123-03-5DP, Cetyl pyridinium chloride, **conjugates** with antimicrobial agents and biopolymers 1119-94-4DP, Dodecyl trimethyl ammonium bromide, **conjugates** with antimicrobial agents and biopolymers 1398-61-4DP, Chitin, **conjugates** with antimicrobials and cationic binding agent 1403-66-3DP, Gentamycin, **conjugates** with biopolymers and cationic binding agents 1404-26-8DP, Polymyxin B, **conjugates** with biopolymers and cationic binding agents 1404-90-6DP, Vancomycin, **conjugates** with biopolymers and cationic binding agents 1406-05-9DP, **Penicillin**, **conjugates** with biopolymers and cationic binding agents 7429-90-5DP, Aluminum, **conjugates** with biopolymers and antimicrobial agents 7439-89-6DP, Iron, **conjugates** with biopolymers and antimicrobial agents 7439-93-2DP, Lithium, **conjugates** with biopolymers and antimicrobial agents 7439-95-4DP, Magnesium, **conjugates** with biopolymers and antimicrobial agents 7439-96-5DP, Manganese, **conjugates** with biopolymers and antimicrobial agents 7440-02-0DP, Nickel, **conjugates** with biopolymers and antimicrobial agents 7440-47-3DP, Chromium, **conjugates** with biopolymers and antimicrobial agents 7440-48-4DP, Cobalt, **conjugates** with biopolymers and antimicrobial agents 7440-50-8DP, Copper, **conjugates** with biopolymers and antimicrobial agents 7440-66-6DP, Zinc, **conjugates** with biopolymers and antimicrobial agents 7440-70-2DP, Calcium,

conjugates with biopolymers and antimicrobial agents 9000-07-1DP, Carrageenan, **conjugates** with antimicrobials and cationic binding agent 9002-98-6DP, **conjugates** with antimicrobial agents and biopolymers 9004-32-4DP, Carboxymethyl cellulose, **conjugates** with antimicrobials and cationic binding agent 9005-38-3DP, Sodium alginate, **conjugates** with antimicrobials and cationic binding agent **9007-28-7DP**, Chondroitin **sulfate**, **conjugates** with antimicrobials and cationic binding agent 9012-76-4DP, Chitosan, **conjugates** with antimicrobials and cationic binding agent 9014-63-5DP, Xylan, **conjugates** with antimicrobials and cationic binding agent 9073-60-3DP, .beta.-Lactamase, **conjugates** with biopolymers and cationic binding agents 10043-52-4DP, Calcium chloride, **conjugates** with antimicrobials and biopolymers 11111-12-9DP, **Cephalosporin**, **conjugates** with biopolymers and cationic binding agents 12619-70-4DP, Cyclodextrin, **conjugates** with antimicrobials and cationic binding agent 24937-47-1DP, Poly L-arginine, **conjugates** with antimicrobial agents and biopolymers 25104-18-1DP, Poly L-lysine, **conjugates** with antimicrobial agents and biopolymers 25212-18-4DP, Poly L-arginine, **conjugates** with antimicrobial agents and biopolymers 25322-68-3DP, Polyethylene glycol, **conjugates** with antimicrobials and cationic binding agent 25702-75-4DP, **conjugates** with antimicrobials and cationic binding agent 26023-30-3DP, Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)], **conjugates** with antimicrobials and cationic binding agent 26100-51-6DP, Polylactic acid, **conjugates** with antimicrobials and cationic binding agent 26787-78-0DP, Amoxicillin, **conjugates** with biopolymers and cationic binding agents 26913-06-4DP, Poly[imino(1,2-ethanediyl)], **conjugates** with antimicrobial agents and biopolymers 30551-89-4DP, Polyallylamine, **conjugates** with antimicrobial agents and biopolymers 32986-56-4DP, Tobramycin, **conjugates** with biopolymers and cationic binding agents 37517-28-5DP, Amikacin, **conjugates** with biopolymers and cationic binding agents 38000-06-5DP, Poly L-lysine, **conjugates** with antimicrobial agents and biopolymers 51667-26-6DP, Oxazolidinone, **conjugates** with biopolymers and cationic binding agents 61477-96-1DP, Piperacillin, **conjugates** with biopolymers and cationic binding agents 62893-19-0DP, Cefoperazone, **conjugates** with biopolymers and cationic binding agents 63527-52-6DP, Cefotaxime, **conjugates** with biopolymers and cationic binding agents 64221-86-9DP, Imipenem, **conjugates** with biopolymers and cationic binding agents 65085-01-0DP, Cefmenoxime, **conjugates** with biopolymers and cationic binding agents 68401-81-0DP, Ceftizoxime, **conjugates** with biopolymers and cationic binding agents 72558-82-8DP, Ceftazidime, **conjugates** with biopolymers and cationic binding agents 73384-59-5DP, Ceftriaxone, **conjugates** with biopolymers and cationic binding agents 78110-38-0DP, Aztreonam, **conjugates** with biopolymers and cationic binding agents 79350-37-1DP, Cefixime, **conjugates** with biopolymers and cationic binding agents 80210-62-4DP, Cefpodoxime, **conjugates** with biopolymers and cationic binding agents 80370-57-6DP, Ceftiofur, **conjugates** with biopolymers and cationic binding agents 83200-96-8DP, Carbapenem, **conjugates** with biopolymers and cationic binding agents 84957-29-9DP, Cefpirome, **conjugates** with biopolymers and cationic binding agents. 87638-04-8DP, Carumonam, **conjugates** with biopolymers and cationic binding agents 88040-23-7DP, Cefepime, **conjugates** with biopolymers and cationic binding agents 96036-03-2DP, Meropenem, **conjugates** with biopolymers and cationic binding agents 103060-53-3DP, Daptomycin, **conjugates** with biopolymers and

cationic binding agents 105239-91-6DP, Cefclidin, **conjugates** with biopolymers and cationic binding agents 113359-04-9DP, Cefozopran, **conjugates** with biopolymers and cationic binding agents 153773-82-1DP, Mk0826, **conjugates** with biopolymers and cationic binding agents 171099-57-3DP, Oritavancin, **conjugates** with biopolymers and cationic binding agents 171500-79-1DP, Dalbavancin, **conjugates** with biopolymers and cationic binding agents 222400-20-6DP, R 115685, **conjugates** with biopolymers and cationic binding agents 228267-11-6DP, J 114870, **conjugates** with biopolymers and cationic binding agents 352305-79-4DP, CP 5068, **conjugates** with biopolymers and cationic binding agents
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(compns. and methods to improve oral absorption of antimicrobial agents)

IT 57-10-3, Palmitic acid, biological studies 57-11-4, Stearic acid, biological studies 112-80-1, Oleic acid, biological studies 124-07-2, Caprylic acid, biological studies 334-48-5, Capric acid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods to improve oral absorption of antimicrobial agents)

IT 9000-69-5P, Pectin
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**conjugates** with antimicrobial and cationic binding agents; compns. and methods to improve oral absorption of antimicrobial agents)

L178 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:489214 HCAPLUS
DOCUMENT NUMBER: 135:82005
TITLE: Drug delivery system based on **multicomponent** water-soluble polymers exhibiting permeability control
INVENTOR(S): Prokop, Ales
PATENT ASSIGNEE(S): Nanodelivery, Inc., USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047501	A1	20010705	WO 2000-US35587	20001229
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002034552	A1	20020321	US 2000-752056	20001229
US 6482439	B2	20021119		
US 2003035838	A1	20030220	US 2002-256508	20020927

PRIORITY APPLN. INFO.: US 1999-173503P P 19991229

US 2000-752056 A3 20001229

AB Microparticles and nanoparticles prepd. from oppositely charged polymers are provided in which a drug is incorporated into the core and is conjugated to one polymer by a Schiff-base crosslink. The particles are suitable for use in injectable formulations in which the rate of release of the drug through the particle shell is slowed as compared to non-crosslinked drugs. Enzymically degradable polymers can be incorporated in otherwise hydrolytically stable particles to provide drug release at particular sites within the body where the enzyme of interest is present. For example, crosslinked protein-loaded nanoparticles were prepd. from (i) a droplet-forming polyanionic soln. composed of high-viscosity sodium alginate, cellulose sulfate, a protein (ovalbumin), and dextran polyaldehyde (PDA), and (ii) a corona-forming polycationic soln. composed of spermine hydrochloride, poly(methylene-co-guanidine) hydrochloride, CaCl2, and Pluronic F 68. The Schiff-base product between the anionic groups of ovalbumin and aldehyde group of PDA allowed an adjustment of release via ion exchange as opposed to no release for permanently bound ovalbumin.

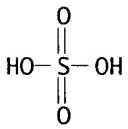
IT **1405-41-0**, Gentamycin **sulfate**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)

RN 1405-41-0 HCAPLUS

CN Gentamicin, sulfate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 7664-93-9
CMF H2 O4 S



CM 2

CRN 1403-66-3
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IC ICM A61K009-51
ICS A61K009-70; A61K047-48

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 3

ST antigen gene peptide protein permeability polyelectrolyte particle

IT Polymers, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**Schiff** base-contg.; drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)

IT Polyelectrolytes
(anionic; drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)

IT Polyelectrolytes
(cationic; drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT Antimicrobial agents
Crosslinking
Encapsulation
Gene therapy
Particle size
Permeability
Plasmid vectors
(drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT Antigens
DNA
Gene, animal
Ovalbumin
Peptides, biological studies
Proteins, general, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT Polymer degradation
(enzymic; drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT Drug delivery systems
(films; drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT Drug delivery systems
(injections; drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT Drug delivery systems
(microcapsules; drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT Drug delivery systems
(microparticles; drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT Drug delivery systems
(nanoparticles; drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT Polyesters, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(unsatd.; drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT Polymers, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(water-sol.; drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT **1405-41-0**, Gentamycin **sulfate** 9056-51-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT 9001-63-2, Lysozyme
RL: PEP (Physical, engineering or chemical process); PROC (Process)
(drug delivery system based on multicomponent water-sol. polymers exhibiting permeability control)
IT 306-67-2, Spermine hydrochloride 7758-29-4, Pentasodium tripolyphosphate 9004-54-0D, Dextran, polyaldehydes, biological studies 9005-22-5, Sodium cellulose sulfate 9005-38-3, Sodium alginate 11114-20-8,

.kappa.-Carrageenan 24991-23-9 25513-46-6, Polyglutamic acid
33069-62-4, Taxol 84563-76-8, Chitosan glutamate 189389-01-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug delivery system based on multicomponent water-sol. polymers
exhibiting permeability control)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L178 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:529503 HCAPLUS
DOCUMENT NUMBER: 125:177401
TITLE: **Complexes** of dermatan sulfate and drugs with improved pharmacokinetics
INVENTOR(S): Ranney, David F.
PATENT ASSIGNEE(S): Access Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 227 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619242	A1	19960627	WO 1994-US14776	19941222
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2208566	AA	19960627	CA 1994-2208566	19941222
AU 9515537	A1	19960710	AU 1995-15537	19941222
AU 709008	B2	19990819		
EP 794796	A1	19970917	EP 1995-907242	19941222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10510831	T2	19981020	JP 1994-519745	19941222

PRIORITY APPLN. INFO.: WO 1994-US14776 19941222

AB A drug carrier compn. comprising a drug complexed with dermatan sulfate (I), with a sulfur content of up to 9 %, is disclosed. The compns. are administered in a fashion that allows efficient vascular access and induced the following in vivo effects (1) rapid partial or total endothelial envelopment of the drug (diagnostic) carrier: (2) sequestration of the carrier and protection of the entrapped agent or blood vascular clearance at an early time (2 min) when the endothelial pocket which envelops the carrier still invaginates into the vascular compartment; (3) acceleration of the carrier's transport across and/or through the vascular endothelium or subendothelial structures into the tissue compartment (intestitium); and (4) improvement of the efficiency with which the drug migrates across the endothelium of epi-endothelial or subendothelial barriers, such that a lower total drug dose is required to obtain the desired effect relative to that required for std. agents. Analogous tissue uptake is described for transepithelial migration into the lungs, bladder and bowel. A soln. of 10 mg I/mL was stirred with a soln. of 4 mg doxorubicin (II)/mL and homogenized to obtain I:II complex. The soln. was filtered , followed by addn. of 3 mL of 500 mg/mL saccharose and 1.5 mL of 10 mg/mL PEG, the resulting soln. was then filtered and lyophilized. The MIC50 of the complex against II-resistant human breast carcinoma cell was 0.81-0.89 as compared to 22.28 .mu.M for II alone.

IT **1403-66-3DP**, Gentamycin, **conjugates** with saccharides

9005-49-6DP, Heparin, **conjugates** with **antibiotics 9007-28-7DP**, Chondroitin **sulfate**, metal ion chelate **conjugates 9050-30-0DP**, Heparan **sulfate**, metal ion chelate **conjugates** **24967-94-0DP**, **Dermatansulfate**, metal ion chelate **conjugates**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(complexes of dermatan **sulfate** and drugs with improved pharmacokinetics)

RN 1403-66-3 HCAPLUS
CN Gentamicin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9005-49-6 HCAPLUS
CN Heparin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9007-28-7 HCAPLUS
CN Chondroitin, hydrogen sulfate (9CI) (CA INDEX NAME)

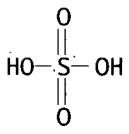
CM 1

CRN 9007-27-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 7664-93-9
CMF H2 O4 S



RN 9050-30-0 HCAPLUS
CN Heparan, sulfate (9CI) (CA INDEX NAME)

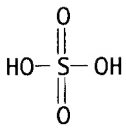
CM 1

CRN 70226-44-7
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 7664-93-9
CMF H2 O4 S



RN 24967-94-0 HCAPLUS
CN Dermatan, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

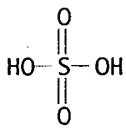
CM 1

CRN 75634-40-1
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 7664-93-9
CMF H2 O4 S



IT **1403-66-3**, Gentamycin
RL: RCT (Reactant); RACT (Reactant or reagent)
(complexes of dermatan **sulfate** and drugs with improved pharmacokinetics)
RN 1403-66-3 HCAPLUS
CN Gentamicin (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IC ICM A61K047-48
CC **63-6** (Pharmaceuticals)
Section cross-reference(s): 1, 33
ST dermatan sulfate drug complex pharmacokinetic; doxorubicin dermatan sulfate drug complex pharmacokinetic
IT Bactericides, Disinfectants, and Antiseptics
Peptides, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(complexes of dermatan sulfate and drugs with improved pharmacokinetics)
IT Neoplasm inhibitors
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(complexes of dermatan sulfate and drugs with improved pharmacokinetics)
IT 56-87-1DP, L-Lysine, reaction products with metal ion chelate **conjugates** 57-22-7DP, Vincristine, **conjugates** with acidic saccharides 57-22-7DP, Vincristine, reaction products with

glycosaminoglycans 58-82-2DP, Bradykinin, reaction products with glycosaminoglycans 59-05-2DP, Methotrexate, reaction products with glycosaminoglycans 320-67-2DP, Azacytidine, reaction products with glycosaminoglycans 801-52-5DP, Porfiromycin, reaction products with glycosaminoglycans 865-21-4DP, Vinblastine, reaction products with glycosaminoglycans **1403-66-3DP**, Gentamycin, **conjugates** with saccharides **9005-49-6DP**, Heparin, **conjugates** with **antibiotics 9005-49-6DP**, Heparin, metal ion chelate **conjugates 9007-28-7DP**, Chondroitin **sulfate**, metal ion chelate **conjugates 9050-30-0DP**, Heparan **sulfate**, metal ion chelate **conjugates** 11056-06-7DP, Bleomycin, reaction products with glycosaminoglycans 13551-87-6DP, Misonidazole, reaction products with glycosaminoglycans 14836-73-8DP, **conjugates** with acidic saccharides 15411-54-8DP, Terephthalamidine, reaction products with glycosaminoglycans 20074-52-6DP, complex with heparin and triethylenetetraamine, biological studies 20537-88-6DP, Ethiofos, reaction products with glycosaminoglycans 20830-81-3DP, Daunorubicin, reaction products with glycosaminoglycans 22668-01-5DP, Etanidazole, reaction products with glycosaminoglycans 23214-92-8DP, Doxorubicin, **conjugates** with saccharides **24967-94-0DP**, **Dermatansulfate**, metal ion chelate **conjugates** 25104-18-1DP, Poly-L-lysine, reaction products with glycosaminoglycans 33069-62-4DP, Taxol, reaction products with glycosaminoglycans 37300-21-3DP, metal ion chelate **conjugates** 37517-28-5DP, Amikacin, **conjugates** with saccharides 38000-06-5DP, Poly-L-lysine, reaction products with glycosaminoglycans 41575-94-4DP, Carboplatin, reaction products with glycosaminoglycans 51264-14-3DP, Amsacrine, reaction products with glycosaminoglycans 52128-35-5DP, Trimetrexate, reaction products with glycosaminoglycans 56420-45-2DP, Epirubicin, reaction products with glycosaminoglycans 58957-92-9DP, Idarubicin, reaction products with glycosaminoglycans 62488-57-7DP, reaction products with glycosaminoglycans 67247-11-4DP, reaction products with glycosaminoglycans 69655-05-6DP, Dideoxyinosine, reaction products with glycosaminoglycans 114977-28-5DP, Taxotere, reaction products with glycosaminoglycans 123948-87-8DP, Topotecan, reaction products with glycosaminoglycans 180477-09-2DP, reaction products with glycosaminoglycans
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(complexes of dermatan **sulfate** and drugs with improved pharmacokinetics)

IT 33069-62-4, Taxol 57680-56-5D, **conjugates** with triethylenetetraamine and iron
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(complexes of dermatan sulfate and drugs with improved pharmacokinetics)

IT 56-40-6, Glycine, reactions 56-40-6D, Glycine, **conjugates** with heparin 56-87-1, L-Lysine, reactions 57-22-7, Vincristine 58-82-2, Bradykinin 67-43-6 138-14-7, Deferoxamine mesylate 144-55-8, Sodium hydrogen carbonate, reactions 530-62-1 1309-33-7, Ferric hydroxide **1403-66-3**, Gentamycin 1892-57-5 6291-84-5, N-Methyl-1,3-propanediamine 7758-94-3, Ferrous chloride 10138-52-0, Gadolinium chloride 16357-59-8 23214-92-8, Doxorubicin 23911-26-4, Diethylenetriaminepentaacetic dianhydride 25104-18-1, Poly-L-lysine 32986-56-4, Tobramycin 32986-56-4D, Tobramycin, **conjugates** with saccharides 36951-72-1 37517-28-5, Amikacin 38000-06-5,

Poly-L-lysine 38260-01-4 57680-56-5, Sucrose octasulfate 180477-09-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(complexes of dermatan **sulfate** and drugs with improved pharmacokinetics)

IT 67-43-6DP, DTPA, gadolinium and polylysine complexes 70-51-9P, Deferoxamine 112-24-3DP, Triethylenetetramine, complex with iron III 6291-84-5DP, **conjugates** with DTPA 7440-54-2DP, Gadolinium, complexes with DTPA and polylysine 180628-47-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(complexes of dermatan sulfate and drugs with improved pharmacokinetics)

IT 22541-19-1DP, Gadolinium 3+, complexes with acidic saccharides, biological studies
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(complexes of dermatan sulfate and drugs with improved pharmacokinetics)

IT 14836-73-8P 71794-64-4DP, complex with heparin
RL: SPN (Synthetic preparation); PREP (Preparation)
(complexes of dermatan sulfate and drugs with improved pharmacokinetics)

L178 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:391632 HCAPLUS
DOCUMENT NUMBER: 125:58986
TITLE: Preparation of water-soluble **polyene** antibiotic-polysaccharide **conjugates** as antifungals.
INVENTOR(S): Linden, Galina; Domb, Abraham J.; Polacheck, Itzhack; Benita, Shimon
PATENT ASSIGNEE(S): Helfgott and Karas, P. C., USA; Yissum Research Development Company of the Hebrew University
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605212	A1	19960222	WO 1995-US10522	19950816
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5567685	A	19961022	US 1994-291292	19940816
IL 114796	A1	20000217	IL 1995-114796	19950801
AU 9533673	A1	19960307	AU 1995-33673	19950816
EP 776329	A1	19970604	EP 1995-930205	19950816
EP 776329	B1	20030102		
R: DE, FR, GB, IT				
JP 10504347	T2	19980428	JP 1995-507622	19950816

PRIORITY APPLN. INFO.: US 1994-291292 A 19940816
WO 1995-US10522 W 19950816

AB A substantially stable H2O-sol. **conjugate** of a polysaccharide and an unoxidized, biol. active polyene antibiotic, **conjugated** to the polysaccharide by an **imine** or amine **bond**, is claimed. Thus, dextran-40 was oxidized with KIO4 in H2O for 2 h to give **dialdehyde** dextran (DAD), which was purified on Dowex-1. The DAD soln. was stirred with **nystatin** in borate buffer at pH 8.9 for 16 h to give the H2O-sol. (100 mg/mL) **imine conjugate** in .gtoreq.95% yield. The **conjugate** had >2 times the activity of **nystatin** itself against various fungi.

IT **1400-61-9DP, Nystatin, conjugates** with polysaccharides **9004-54-0DP**, Dextran, **conjugates** with antibiotics **9036-66-2DP**, Arabinogalactan, **conjugates** with **nystatin** and amphotericin B
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of water-sol. polyene antibiotic-polysaccharide **conjugates**)

RN 1400-61-9 HCAPLUS
CN Nystatin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9004-54-0 HCAPLUS
CN Dextran (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9036-66-2 HCAPLUS
CN D-Galacto-L-arabinan (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IC ICM C07H017-08
ICS C08B037-00; C08B037-02; A61K031-70; A61K031-715; A61K039-395; A61K039-44

CC 33-7 (Carbohydrates)
Section cross-reference(s): 1

ST **nystatin** polysaccharide **conjugate** prepn antifungal; polyene antibiotic polysaccharide **conjugate** prepn antifungal

IT Fungicides and Fungistats
(**nystatin** and amphotericin B **conjugates**; prepn. of water-sol. polyene antibiotic-polysaccharide **conjugates**)

IT **Antibiotics**
(polyene; prepn. of water-sol. polyene antibiotic-polysaccharide **conjugates**)

IT **Polysaccharides, preparation**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of water-sol. polyene antibiotic-polysaccharide **conjugates**)

IT 1397-89-3DP, Amphotericin B, **conjugates** with polysaccharides **1400-61-9DP, Nystatin, conjugates** with polysaccharides **9004-54-0DP**, Dextran, **conjugates** with antibiotics **9036-66-2DP**, Arabinogalactan, **conjugates** with **nystatin** and amphotericin B 37317-99-0DP, Dextran **dialdehyde, conjugate** with **nystatin**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of water-sol. polyene antibiotic-polysaccharide **conjugates**)

L178 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:246191 HCAPLUS
DOCUMENT NUMBER: 124:306647
TITLE: **Nystatin**-dextran **conjugates**: synthesis and characterization
AUTHOR(S): Domb, Abraham J.; Linden, Galina; Polacheck, Itzhack; Benita, Simon
CORPORATE SOURCE: Department Pharmaceutical Chemistry, Hebrew University Jerusalem, Jerusalem, 91220, Israel
SOURCE: Journal of Polymer Science, Part A: Polymer Chemistry (1996), 34(7), 1229-36
CODEN: JPACEC; ISSN: 0887-624X
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The coupling of **nystatin** (Nys), a water-insol. antifungal agent, to dextran via an **imine** or amine **bond** was systematically investigated. Dextran was first oxidized to **dialdehyde** dextran using potassium periodate, purified from the oxidizing agent, and reacted with Nys to form the **Schiff** base. The **Schiff** base was reduced to the amine using borohydride. All reactions took place in water. The purifn. of the oxidized dextran from the oxidizing agent was essential to prevent oxidative degrdn. of Nys at the coupling step. The effects on the coupling yield of the following factors: dextran mol. wt., degree of oxidn. (**aldehyde** content), Nys to dextran ratio, temp., and reaction pH were studied. A 95% coupling yield was obtained at the optimized coupling conditions: pH 8.9 .+-. 0.1, 50% degree of oxidn., and initial ratio of Nys to **dialdehyde** dextran 1:2.5. In all expts., dextran was decreased in mol. wt. during the oxidn. step. Both **imine** and amine forms of Nys-dextran **conjugates** were sol. in water and exhibited improved stability in aq. solns. as compared to the unbound drug. The **conjugates** showed comparable min. inhibitory concn. (MIC) values against Candida albicans and Cryptococcus neoformans. The **conjugates** were about 25 times less toxic than free Nys after a single injection in mice.

IT **1400-61-9DP, Nystatin, conjugates** with dextran
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and fungicidal activity of **nystatin**-dextran **conjugate**)
RN 1400-61-9 HCAPLUS
CN Nystatin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **1400-61-9, Nystatin 9004-54-0**, Dextran, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and fungicidal activity of **nystatin**-dextran **conjugate**)
RN 1400-61-9 HCAPLUS
CN Nystatin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9004-54-0 HCAPLUS
CN Dextran (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CC 1-5 (Pharmacology)

Section cross-reference(s): 33, 34
ST **nystatin** dextran **conjugate** prepn fungicide
IT Fungicides and Fungistats
(prepn. and fungicidal activity of **nystatin**-dextran **conjugate**)
IT **1400-61-9DP**, **Nystatin**, **conjugates** with dextran
37317-99-0DP, Dextran **dialdehyde**, **conjugates** with **nystatin**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and fungicidal activity of **nystatin**-dextran **conjugate**)
IT **1400-61-9**, **Nystatin 9004-54-0**, Dextran, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and fungicidal activity of **nystatin**-dextran **conjugate**)

L178 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:69602 HCAPLUS
DOCUMENT NUMBER: 120:69602
TITLE: Preparation and use of **polyanionic** polymer-based conjugates targeted to vascular endothelial cells
INVENTOR(S): Thorpe, Philip E.
PATENT ASSIGNEE(S): University of Texas System, USA; Imperial Cancer Research Technology
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9318793	A1	19930930	WO 1993-US2619	19930322
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, KP, KR, LU, MG, MN, MW, NL, NO, PL, PT, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR				
US 5474765	A	19951212	US 1992-856018	19920323
AU 9338166	A1	19931021	AU 1993-38166	19930322
EP 632728	A1	19950111	EP 1993-907633	19930322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT				
US 5762918	A	19980609	US 1994-307745	19941205

PRIORITY APPLN. INFO.: US 1992-856018 19920323
WO 1993-US2619 19930322

AB An anionic polymer (e.g. a heparin deriv.) is linked to an active agent (esp. a steroid), preferably by a selectively hydrolyzable bond, for delivery of the active agent to vascular endothelial cells. The conjugates are useful as angiogenesis inhibitors for treatment of e.g. cancer, arthritis, and diabetic blindness. Thus, heparin was condensed with adipic dihydrazide and then with cortisol; the cortisol:heparin mol ratio in the product was 8-9. This conjugate was markedly acid labile, suppressed DNA synthesis and cell migration in human umbilical vein endothelial cells, retarded or abolished the vascularization of sponges in vivo, and retarded lung tumor growth in mice by 65%. No adverse effects of the conjugate were detected, and equiv. treatments with a mixt. of

heparin and cortisol were significantly less effective in all cases.

IT **1398-61-4D**, Chitin, **sulfated**, conjugates with pharmaceuticals **9005-32-7D**, Alginic acid, **sulfated**, conjugates with pharmaceuticals **9007-28-7D**, Chondroitin **sulfate**, conjugates with pharmaceuticals **9012-76-4D**, Chitosan, **sulfated**, conjugates with pharmaceuticals **9050-30-0D**, Heparan **sulfate**, conjugates with pharmaceuticals **9056-36-4D**, Keratan **sulfate**, conjugates with pharmaceuticals **24967-94-0D**, Dermatan **sulfate**, conjugates with pharmaceuticals
RL: BIOL (Biological study)
(for targeting to vascular endothelium)

RN 1398-61-4 HCAPLUS
CN Chitin (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9005-32-7 HCAPLUS
CN Alginic acid (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9007-28-7 HCAPLUS
CN Chondroitin, hydrogen sulfate (9CI) (CA INDEX NAME)

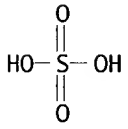
CM 1

CRN 9007-27-6
CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 7664-93-9
CMF H2 O4 S



RN 9012-76-4 HCAPLUS
CN Chitosan (8CI, 9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 9050-30-0 HCAPLUS
CN Heparan, sulfate (9CI) (CA INDEX NAME)

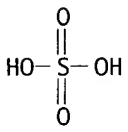
CM 1

CRN 70226-44-7
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 7664-93-9
CMF H2 O4 S



RN 9056-36-4 HCAPLUS
CN Keratosulfate (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 24967-94-0 HCAPLUS
CN Dermatan, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

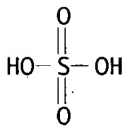
CM 1

CRN 75634-40-1
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 7664-93-9
CMF H2 O4 S



IC ICM A61K047-48
CC 1-8 (Pharmacology)
Section cross-reference(s): 33
ST anionic polymer targeting vascular endothelium; heparin cortisol conjugate vascular endothelium; steroid heparin conjugate vascular endothelium
IT Ricins
RL: PRP (Properties)
(A chains of, conjugates with anionic polymers, for targeting to vascular endothelium)
IT Amino group
Disulfide group
Amides, biological studies
Esters, biological studies
Glycosides
Peptides, biological studies
RL: BIOL (Biological study)
(anionic polymer conjugation to pharmaceutical through, for targeting to vascular endothelium)
IT Neoplasm inhibitors
(anionic polymer-angiogenesis inhibitor conjugates)

IT Deoxyribonucleic acid formation
(by blood vessel endothelium cells, modulation of, with anionic polymer-pharmaceutical conjugate)
IT Alkylating agents, biological
Antibiotics
Pharmaceuticals
Natural products
Nitrogen mustards
RL: BIOL (Biological study)
(conjugates with anionic polymers, for targeting to vascular endothelium)
IT Blood vessel
(formation of, steroid inhibitors of, conjugates with anionic polymers, for targeting to vascular endothelium)
IT Adrenal cortex
(function of, suppressants for, conjugates with anionic polymers, for targeting to vascular endothelium)
IT Cell proliferation
(in blood vessel endothelium, modulation of, with anionic polymer-pharmaceutical conjugate)
IT Wound healing
(inhibitors, cortisol-heparin conjugates)
IT Hydrazides
RL: BIOL (Biological study)
(of anionic polymers, conjugates with pharmaceuticals, for targeting to vascular endothelium)
IT **Schiff** bases
RL: BIOL (Biological study)
(of hydrazine and hydrazides of anionic polymers with pharmaceuticals, for targeting to vascular endothelium)
IT Sulfonic acids, compounds
RL: BIOL (Biological study)
(alkane, conjugates with anionic polymers, for targeting to vascular endothelium)
IT Polyelectrolytes
(anionic, conjugates with pharmaceuticals, for targeting to vascular endothelium)
IT Nutrients
(anti-, conjugates with anionic polymers, for targeting to vascular endothelium)
IT Alkaloids, compounds
RL: BIOL (Biological study)
(conjugates, vinca, with anionic polymers, for targeting to vascular endothelium)
IT Enzymes
Steroids, compounds
RL: BIOL (Biological study)
(conjugates, with anionic polymers, for targeting to vascular endothelium)
IT Blood vessel
(endothelium, pharmaceutical targeting to cells of, by conjugation with anionic polymer)
IT Functional groups
(hydrazino, anionic polymer conjugation to pharmaceutical through, for targeting to vascular endothelium)
IT Pharmaceutical dosage forms
(parenterals, anionic polymer conjugates, for targeting to vascular endothelium)
IT Sulfonic acids, polymers
RL: BIOL (Biological study)

(polymers, conjugates with pharmaceuticals, for targeting to vascular endothelium)

IT Functional groups
(trisulfide, anionic polymer conjugation to pharmaceutical through, for targeting to vascular endothelium)

IT Interferons
RL: BIOL (Biological study)
(.alpha., conjugates with anionic polymers, for targeting to vascular endothelium)

IT 6318-55-4, cis-Aconitic anhydride
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with carminomycin and daunomycin)

IT 7664-38-2D, Phosphoric acid, diesters 99933-15-0
RL: BIOL (Biological study)
(anionic polymer conjugation to pharmaceutical through, for targeting to vascular endothelium)

IT 302-01-2D, Hydrazine, condensation products with anionic polymers
1071-93-8D, condensation products with anionic polymers 4146-43-4D, Succinic dihydrazide, condensation products with anionic polymers
7803-57-8D, Hydrazine hydrate, condensation products with anionic polymers
RL: PRP (Properties)
(conjugation of, with pharmaceuticals for targeting to vascular endothelium)

IT 50-02-2D, Dexamethasone, conjugates with anionic polymers 50-07-7D, Mitomycin C, conjugates with anionic polymers 50-18-0D, Cyclophosphamide, conjugates with anionic polymers 50-22-6D, Corticosterone, conjugates with anionic polymers 50-23-7D, Cortisol, conjugates with anionic polymers 50-24-8D, Prednisolone, conjugates with anionic polymers 50-44-2D, 6-Mercaptopurine, conjugates with anionic polymers 50-76-0D, Dactinomycin, conjugates with anionic polymers 50-91-9D, Floxuridine, conjugates with anionic polymers 51-21-8D, Fluorouracil, conjugates with anionic polymers 51-75-2D, Mechlorethamine, conjugates with anionic polymers 52-24-4D, Thiotepa, conjugates with anionic polymers 53-02-1D, Tetrahydrocortisol, conjugates with anionic polymers 53-03-2D, Prednisone, conjugates with anionic polymers 53-05-4D, Tetrahydrocortisone, conjugates with anionic polymers 53-06-5D, Cortisone, conjugates with anionic polymers 53-16-7D, Estrone, conjugates with heparin 53-19-0D, Mitotane, conjugates with anionic polymers 53-33-8D, Paramethasone, conjugates with anionic polymers 54-62-6D, Aminopterin, conjugates with heparin 55-98-1D, Busulfan, conjugates with anionic polymers 57-13-6D, Urea, derivs., conjugates with anionic polymers 57-22-7D, Vincristine, conjugates with anionic polymers 57-83-0D, Progesterone, conjugates with heparin 58-22-0D, Testosterone, conjugates with heparin 58-61-7D, Adenosine, conjugates with anionic polymers 58-63-9D, Inosine, conjugates with anionic polymers 58-85-5D, Biotin, conjugates with anionic polymers 59-05-2D, Methotrexate, conjugates with anionic polymers 59-30-3D, Folic acid, analogs, conjugates with anionic polymers 64-85-7D, Deoxycorticosterone, conjugates with anionic polymers 67-73-2D, conjugates with anionic polymers 68-42-8D, Tetrahydrocorticosterone, conjugates with anionic polymers 68-94-0D, Hypoxanthine, conjugates with anionic polymers 68-96-2D, 17.alpha.-Hydroxyprogesterone, conjugates with anionic polymers 83-43-2D, Methylprednisolone, conjugates with anionic polymers 98-92-0D, Nicotinamide, conjugates with anionic polymers 108-78-1D, 1,3,5-Triazine-2,4,6-triamine, methylated derivs., conjugates with anionic polymers 120-73-0D, Purine, analogs, conjugates with anionic polymers 124-94-7D, Triamcinolone, conjugates with anionic polymers 125-84-8D, Aminoglutethimide, conjugates with anionic polymers 127-07-1D, Hydroxyurea, conjugates with anionic polymers 145-13-1D, Pregnenolone,

conjugates with anionic polymers 145-63-1D, Suramin, conjugates with pharmaceuticals 145-63-1D, Suramin, derivs., conjugates with pharmaceuticals 147-94-4D, Cytarabine, conjugates with anionic polymers 148-82-3D, Melphalan, conjugates with anionic polymers 151-56-4D, Ethylenimine, derivs., conjugates with anionic polymers 152-58-9D, conjugates with anionic polymers 152-97-6D, Fluocortolone, conjugates with anionic polymers 154-42-7D, 6-Thioguanine, conjugates with anionic polymers 154-93-8D, Carmustine, conjugates with anionic polymers 289-95-2D, Pyrimidine, analogs, conjugates with anionic polymers 305-03-3D, Chlorambucil, conjugates with anionic polymers 312-93-6D, Dexamethasone 21-phosphate, conjugates with heparin 356-12-7D, Fluocinonide, conjugates with anionic polymers 363-24-6D, Prostaglandin E2, conjugates with anionic polymers 378-44-9D, Betamethasone, conjugates with anionic polymers 382-67-2D, Desoximetasone, conjugates with anionic polymers 426-13-1D, Fluorometholone, conjugates with anionic polymers 566-35-8D, conjugates with anionic polymers 638-94-8D, Desonide, conjugates with anionic polymers 645-05-6D, Hexamethylmelamine, conjugates with anionic polymers 671-16-9D, Procarbazine, conjugates with anionic polymers 865-21-4D, Vinblastine, conjugates with anionic polymers **1398-61-4D**, Chitin, **sulfated**, conjugates with pharmaceuticals 1524-88-5D, Flurandrenolide, conjugates with anionic polymers 2203-97-6D, Cortisol 21-hemisuccinate, conjugates with heparin 2557-49-5D, Diflorasone, conjugates with anionic polymers 2668-66-8D, Medrysone, conjugates with anionic polymers 3093-35-4D, Halcinonide, conjugates with anionic polymers 3385-03-3D, Flunisolide, conjugates with anionic polymers 3778-73-2D, Ifosfamide, conjugates with anionic polymers 3863-59-0D, Cortisol 21-phosphate, conjugates with heparin 4342-03-4D, conjugates with anionic polymers 4375-07-9D, Epipodophyllotoxin, conjugates with anionic polymers 4828-27-7D, Clocortolone, conjugates with anionic polymers 5534-09-8D, Beclomethasone dipropionate, conjugates with anionic polymers 7440-06-4D, Platinum, complexes, conjugates with anionic polymers 7664-93-9D, Sulfuric acid, esters, conjugates with pharmaceuticals 9002-89-5D, Poly(vinyl alcohol), sulfated, conjugates with pharmaceuticals **9005-32-7D**, Alginic acid, **sulfated** , conjugates with pharmaceuticals 9005-49-6D, Heparin, conjugates with pharmaceuticals 9005-49-6D, Heparin, derivs., conjugates with pharmaceuticals **9007-28-7D**, Chondroitin **sulfate**, conjugates with pharmaceuticals **9012-76-4D**, Chitosan, **sulfated**, conjugates with pharmaceuticals 9015-68-3D, L-Asparaginase, conjugates with anionic polymers 9041-08-1D, Heparin sodium salt, conjugates with pharmaceuticals **9050-30-0D**, Heparan **sulfate**, conjugates with pharmaceuticals **9056-36-4D**, Keratan **sulfate**, conjugates with pharmaceuticals 11056-06-7D, Bleomycin, conjugates with anionic polymers 12619-70-4D, Cyclodextrin, sulfated, conjugates with pharmaceuticals 13010-20-3D, Nitrosourea, derivs., conjugates with anionic polymers 13010-47-4D, Lomustine, conjugates with anionic polymers 13909-09-6D, Semustine, conjugates with anionic polymers 15056-34-5D, Triazene, derivs., conjugates with anionic polymers 15663-27-1D, Cisplatin, conjugates with anionic polymers 17673-25-5D, Phorbol, esters, conjugates with anionic polymers 18378-89-7D, Plicamycin, conjugates with anionic polymers 18378-89-7D, Mithramycin, conjugates with heparin 18883-66-4D, Streptozocin, conjugates with anionic polymers 20830-81-3D, Daunorubicin, conjugates with anionic polymers 23214-92-8D, Doxorubicin, conjugates with anionic polymers **24967-94-0D**, Dermatan **sulfate**, conjugates with pharmaceuticals 25122-41-2D, Clobetasol, conjugates with anionic polymers 25191-25-7D, Poly(vinyl sulfate), conjugates with pharmaceuticals 26101-52-0D, conjugates with pharmaceuticals 29767-20-2D, Teniposide, conjugates with anionic polymers 33419-42-0D,

Etoposide, conjugates with anionic polymers 37300-21-3D, conjugates with pharmaceuticals 41575-94-4D, Carboplatin, conjugates with anionic polymers 50851-57-5D, Poly(styrenesulfonic acid), conjugates with pharmaceuticals 50935-04-1D, conjugates with heparin 51022-69-6D, Amcinonide, conjugates with anionic polymers 53910-25-1D, Pentostatin, conjugates with anionic polymers 54063-32-0D, Clobetasone, conjugates with anionic polymers 65271-80-9D, Mitoxantrone, conjugates with anionic polymers 67452-97-5D, Alclometasone, conjugates with anionic polymers 105102-22-5D, Mometasone, conjugates with anionic polymers 108121-76-2D, Anthracenedione, derivs., conjugates with anionic polymers
RL: BIOL (Biological study)
(for targeting to vascular endothelium)

IT 7440-70-2, Calcium, biological studies
RL: BIOL (Biological study)
(ionophores, conjugates with anionic polymers, for targeting to vascular endothelium)

IT 68181-17-9P, N-Hydroxysuccinimidyl 3-(2-pyridyldithio)propionate 80445-77-8P 152406-31-0P 152434-55-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and conjugation with heparin)

IT 152406-33-2DP, reaction products with heparin hydrazide deriv.
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of and angiogenesis inhibition by)

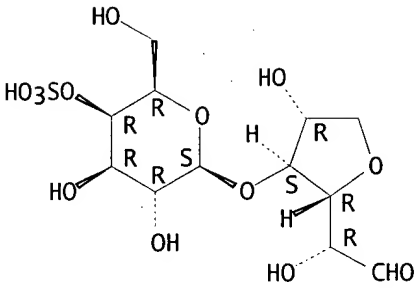
L178 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:612827 HCAPLUS
DOCUMENT NUMBER: 117:212827
TITLE: The carbon-13 NMR spectroscopy of carrageenans: calculation of chemical shifts and computer-aided structural determination
AUTHOR(S): Stortz, Carlos A.; Cerezo, Alberto S.
CORPORATE SOURCE: Fac. Cienc. Exactas Nat., Univ. Buenos Aires, Buenos Aires, 1428, Argent.
SOURCE: Carbohydrate Polymers (1992), 18(4), 237-42
CODEN: CAPOD8; ISSN: 0144-8617
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The set of 13C NMR absorptions produced by all the carbons of the diads potentially present in carrageenans, is reported. They were obtained by calcn. for unreported diads plus the compilation of up-to-date chem. shift data. A computer program CARRAG.EXE was developed in order to aid in the matching of exptl. data to the chem. shift data bank reported here.

IT **143537-91-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(diad of carrageenan, computer program generated NMR spectra of, carbon-13)

RN 143537-91-1 HCAPLUS
CN D-Galactose, 3,6-anhydro-4-O-(4-O-sulfo-.beta.-D-galactopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 33-5 (Carbohydrates)
Section cross-reference(s): 22
ST carrageenan diad NMR computer program; polysaccharide diad NMR carbon computer program
IT Computer program
(CARRAG.EXE for NMR spectra of diads of carrageenans)
IT Polysaccharides, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(carrageenans, diads of, computer program calcd. NMR spectra of, carbon-13)
IT Nuclear magnetic resonance
(of diads found in carrageenans by computer program CARRAG.EXE)
IT 6206-28-6 19253-99-7 143537-81-9 143537-82-0 143537-83-1
143537-84-2 143537-85-3 143537-86-4 143537-87-5 143537-88-6
143537-89-7 143537-90-0 **143537-91-1** 143537-92-2
143537-93-3 143537-94-4 143537-95-5 143537-96-6 143537-97-7
143537-98-8 143537-99-9 143538-00-5 143538-01-6 143538-02-7
143538-03-8 143538-04-9 143538-05-0 143538-06-1 143538-07-2
143538-08-3 143538-09-4 143538-10-7 143538-11-8 143538-12-9
143538-13-0 143538-14-1 143538-15-2 143538-16-3 143538-17-4
143538-18-5 143538-19-6 143538-20-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(diad of carrageenan, computer program generated NMR spectra of, carbon-13)
IT 9062-07-1, .iota.-Carrageenan 9064-57-7, .lambda.-Carrageenan
9064-57-7D, .lambda.-Carrageenan, alk. treated 9064-57-7D,
.lambda.-Carrageenan, desulfated 11114-20-8, .kappa.-Carrageenan
51311-95-6, .epsilon.-Carrageenan 51311-96-7, .mu.-Carrageenan
94555-23-4, .gamma.-Carrageenan 94555-24-5, .beta.-Carrageenan
104781-83-1, .alpha.-Carrageenan 106716-45-4, .omega.-Carrageenan
144273-93-8, .delta.-Carrageenan
RL: RCT (Reactant); RACT (Reactant or reagent)
(diad of, computer-program generated NMR spectra of, carbon-13)

L178 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:8637 HCAPLUS
DOCUMENT NUMBER: 86:8637
TITLE: Antimicrobial **sutures**
INVENTOR(S): Stephenson, Martin
PATENT ASSIGNEE(S): Ethicon, Inc., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3987797	A	19761026	US 1974-531643	19741211
JP 52070587	A2	19770611	JP 1975-145992	19751209
DE 2555624	A1	19760616	DE 1975-2555624	19751210

PRIORITY APPLN. INFO.: US 1974-445404 19740225
US 1974-531643 19741211

AB Conventional suture material was coated with an ionically **bonded** block elastomeric copolymer of a polyquaternary polyurethane and a polyanionic polymer such as heparin. The resultant suture is receptive to treatment with antimicrobial compds. or dyes. E.g., 50 g Adiprene L 167 was condensed with 4.6 g 3-methylamino-1,2-propanediol [40137-22-2], 30 g of the condensation product was quaternized by treatment with HCl, 25 g of the quaternized polymer was treated with 5 g of Na heparin [**9041-08-1**], polyester fiber suture was coated with the heparinized polymer, and the coated suture was treated with streptomycin **sulfate** [3810-74-0]. The resultant antimicrobial suture gave a zone of inhibition of 0.55 cm against Bacillus subtilis while the same suture lacking the **antibiotic** and uncoated suture treated with the **antibiotic** gave no zone of inhibition. Various coated sutures were coated with other **antibiotics** and dyes. Also, a wound dressing was described.

IC A61L017-00
NCL 128335500
CC 63-7 (Pharmaceuticals)
Section cross-reference(s): 37
ST antimicrobial suture; dyed suture
IT Polyamide fibers, biological studies
RL: BIOL (Biological study)
(as sutures, heparinized polymer-coated,antimicrobial-treated)
IT Rubber, urethane, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with methylaminopropanediol)
IT Surgical dressings and goods
(heparinized polymer)
IT Bactericides, Disinfectants and Antiseptics
Dyes
(heparinized polymer-coated sutures treated with)
IT Surgical threads and wires
(heparinized polymer-coated, antimicrobial- or dye-treated)
IT Silk
Polyester fibers, biological studies
RL: BIOL (Biological study)
(sutures, heparinized polymer-coated, antimicrobial-treated)
IT 40137-22-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with Adiprene L 167)
IT 50-59-9 54-87-5 58-71-9 61-73-4 64-75-5 76-59-5 76-60-8
76-61-9 79-57-2 81-88-9 113-98-4 121-54-0 145-48-2 531-53-3
569-61-9 1405-10-3 1405-20-5 1405-41-0 1787-61-7 3810-74-0
4800-94-6 5490-27-7 6998-60-3 7240-38-2
RL: BIOL (Biological study)
(heparinized polymer-coated sutures treated with)
IT 9041-08-1
RL: BIOL (Biological study)
(polymer coated quaternized sutures coated with)
IT 40137-22-2D, condensation product with Adiprene L 167, quaternized, heparinized
RL: BIOL (Biological study)
(suture, antimicrobial- or dye-treated)

=> d ibib abs 13-16

L178 ANSWER 13 OF 16 WPIX (C) 2003 THOMSON DERWENT
ACCESSION NUMBER: 2002-066518 [09] WPIX
CROSS REFERENCE: 2002-049313 [06]; 2002-121888 [16]; 2002-147791 [19]; 2002-195669 [25]; 2002-205901 [26]; 2002-205902 [26]
DOC. NO. CPI: C2002-019825
TITLE: Method for selective reductive **alkylation** at a **saccharide amine** of a glycopeptide, useful as an **antibiotic**.
DERWENT CLASS: B02 B04
INVENTOR(S): LINSELL, M S
PATENT ASSIGNEE(S): (ADME-N) ADVANCED MEDICINE INC; (THER-N) THERAVANCE INC; (LINS-I) LINSELL M S
COUNTRY COUNT: 96
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001083521	A2	20011108	(200209)*	EN	53
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW					
US 2002010131	A1	20020124	(200210)		
AU 2001057464	A	20011112	(200222)		
EP 1276759	A2	20030122	(200308)	EN	
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR					
NO 2002005264	A	20021218	(200312)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001083521	A2	WO 2001-US14017	20010501
US 2002010131	A1 Provisional	US 2000-201178P	20000502
	Provisional	US 2000-213148P	20000622
		US 2001-847060	20010501
AU 2001057464	A	AU 2001-57464	20010501
EP 1276759	A2	EP 2001-930978	20010501
		WO 2001-US14017	20010501
NO 2002005264	A	WO 2001-US14017	20010501
		NO 2002-5264	20021101

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2001057464	A Based on	WO 200183521
EP 1276759	A2 Based on	WO 200183521

PRIORITY APPLN. INFO: US 2000-213148P 20000622; US 2000-201178P 20000502; US 2001-847060 20010501
AN 2002-066518 [09] WPIX
CR 2002-049313 [06]; 2002-121888 [16]; 2002-147791 [19]; 2002-195669 [25];

2002-205901 [26]; 2002-205902 [26]

AB WO 200183521 A UPAB: 20030218

NOVELTY - A method for reductive alkylation at a **saccharide amine** of a glycopeptide comprises contacting the glycopeptide with an **aldehyde** to form an imine and/or hemiaminal; acidifying the mixture; and contacting with a reducing agent.

DETAILED DESCRIPTION - A method for alkylating at a **saccharide-amine** of a glycopeptide comprises:

(a) reacting an **aldehyde** or ketone, a base, and the glycopeptide or a salt;

(b) acidifying the mixture; and

(c) combining the mixture with a reducing agent to give a glycopeptide that is alkylated at the **saccharide-amine**

.

An INDEPENDENT CLAIM is included for a further step comprising adding a carrier to the alkylated glycopeptide to form a pharmaceutical composition.

ACTIVITY - **Antibiotic**.

MECHANISM OF ACTION - None given in the source material.

USE - For treating bacterial infections.

ADVANTAGE - The selectivity for the **saccharide-amino** group for reductive alkylation is significantly improved compared with previous methods.

Dwg.0/0

L178 ANSWER 14 OF 16 WPIX (C) 2003 THOMSON DERWENT

ACCESSION NUMBER: 2000-256158 [22] WPIX

DOC. NO. CPI: C2000-078123

TITLE: New amide derivatives of hyaluronic useful, e.g. in coating medical devices such as **catheters** or syringes exhibit widely varying water-solubility, viscosity and amide **bond** stability.

DERWENT CLASS: A11 A96 B04 B07

INVENTOR(S): BELLINI, D; TOPAI, A

PATENT ASSIGNEE(S): (FIDI-N) FIDIA ADVANCED BIOPOLYMERS SRL

COUNTRY COUNT: 87

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2000001733	A1	20000113	(200022)*	EN	36
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ UG ZW					
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZA ZW					
AU 9946397	A	20000124	(200027)		
EP 1095064	A1	20010502	(200125)	EN	
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI					
IT 1300287	B	20000503	(200206)		
JP 2002519481	W	20020702	(200246)		51

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2000001733	A1	WO 1999-IB1254	19990706
AU 9946397	A	AU 1999-46397	19990706

EP 1095064	A1	EP 1999-929619	19990706
		WO 1999-IB1254	19990706
IT 1300287	B	IT 1998-PD169	19980706
JP 2002519481	W	WO 1999-IB1254	19990706
		JP 2000-558133	19990706

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9946397	A	Based on	WO 200001733
EP 1095064	A1	Based on	WO 200001733
JP 2002519481	W	Based on	WO 200001733

PRIORITY APPLN. INFO: IT 1998-PD169 19980706
AN 2000-256158 [22] WPIX
AB WO 200001733 A UPAB: 20000508
NOVELTY - Amide derivatives of hyaluronic acid (HA), which include at least one repetitive unit of formula (I), are new.

DETAILED DESCRIPTION - Amide derivatives of HA (or of derivatives of HA), which comprise at least one repetitive unit of formula (I), are new.

R = NR6R7, OH, O-, an alcoholic group of the aliphatic, aromatic, heterocyclic, cycloaliphatic or arylaliphatic series, an alcoholic group of HA; or an **amino** group of deacylated HA;

R1-R4 = H, SO3-, an acyl group derived from a carboxylic acid of the aliphatic, aromatic, arylaliphatic, cycloaliphatic or heterocyclic series, or CO-(CH2)2-COOY;

Y = H or a negative charge;

R5 = COMe, H, SO3-, an acyl group derived from a carboxylic acid of the aliphatic, aromatic, arylaliphatic, cycloaliphatic or heterocyclic series, or an acyl group of HA;

R6, R7 = H, or an optionally substituted aliphatic, aromatic, arylaliphatic, cycloaliphatic or heterocyclic group.

Provided that at least one of R and R5 forms an amide group.

INDEPENDENT CLAIMS are included for the following:

(A) use of amidic, water-soluble compounds, which are obtained by reaction of the carboxylic groups of HA with an **amino** group of the aliphatic, aromatic, arylaliphatic, cycloaliphatic or heterocyclic series, in ophthalmology and in ophthalmic surgery;

(B) pharmaceutical compositions containing the amidic compounds described above, and salts of these, alone or in association with one another or with other pharmacologically active substances;

(C) biomaterials constituted by amidic compounds (and salts of these) as described above, alone or in association with one another or with other natural, semisynthetic or synthetic polymers and, optionally, other biologically active substances.

ACTIVITY - None given.

MECHANISM OF ACTION - None given.

USE - Biomaterials containing the new amide derivatives are useful for preparation of scaffolds for cell cultures, or for preparation of surgical, cosmetic or health care articles (e.g. guide channels, gauzes, threads, gels, hydrogels, tampons, films, membranes, sponges, non-woven fabrics, microspheres or nanospheres) for used in, e.g. surgery, hemodialysis, cardiology, dermatology, ophthalmology, otorhinolaryngology, dentistry, orthopedics, gynecology, urology or extra-corporeal blood circulation. The biomaterials may be used, e.g. for protection of cardiac valves, for prevention of post-surgical adhesions, or for prevention of hypertrophic scarring. The amides, or biomaterials containing them, can be used in coating of medical or other devices, e.g. catheters, artificial tendons, bone prostheses, contact lenses, blood oxygenators, artificial

kidneys, artificial hearts, blood bags, syringes, filtration systems, culture containers, or supports for peptides, proteins and antibodies. The amides may be used, in association with radioactive or non-radioactive substances, in contrast systems for in vivo diagnosis and therapy of tumors or damaged tissues. They may also be used for transport and release of drugs and for transfection of cells.

ADVANTAGE - The amides can be either water-soluble or water-insoluble, according to the acid, the **amine**, the percentage of amide **bonds** or the derivative of HA used to prepare the amide. They can thus be used for a large number of applications according to their on their solubility in water, their viscosity and the stability of the amide **bond**.

Dwg.0/3

L178 ANSWER 15 OF 16 WPIX (C) 2003 THOMSON DERWENT
ACCESSION NUMBER: 1999-469249 [39] WPIX
CROSS REFERENCE: 1999-469248 [39]; 2002-060934 [72]; 2002-225860 [09]; 2002-303073 [21]
DOC. NO. NON-CPI: N1999-350379
DOC. NO. CPI: C1999-137718
TITLE: Coating of intracorporeal medical devices, particularly useful for providing a therapeutic diagnostic or hydrophilic coating on e.g. **catheters**, stents, guidewires or cardiac pacing leads.
DERWENT CLASS: A18 A26 A28 A32 A96 B04 B05 B07 D22 G02 P32 P34
INVENTOR(S): BIGUS, S J; BUCHKO, C J; MICHAL, E T
PATENT ASSIGNEE(S): (ADCA-N) ADVANCED CARDIOVASCULAR SYSTEM
COUNTRY COUNT: 84
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9938546	A1	19990805	(199939)*	EN	43
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG ZW					
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AU 9925677	A	19990816	(200002)		
EP 1051208	A1	20001115	(200059)	EN	
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE					
JP 2002501788	W	20020122	(200211)		52
AU 745979	B	20020411	(200237)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9938546	A1	WO 1999-US1919	19990129
AU 9925677	A	AU 1999-25677	19990129
EP 1051208	A1	EP 1999-905536	19990129
		WO 1999-US1919	19990129
JP 2002501788	W	WO 1999-US1919	19990129
		JP 2000-529277	19990129
AU 745979	B	AU 1999-25677	19990129

FILING DETAILS:

PATENT NO	KIND	PATENT NO

AU 9925677	A	Based on	WO 9938546
EP 1051208	A1	Based on	WO 9938546
JP 2002501788	W	Based on	WO 9938546
AU 745979	B	Previous Publ.	AU 9925677
		Based on	WO 9938546

PRIORITY APPLN. INFO: US 1998-16694 19980130
AN 1999-469249 [39] WPIX
CR 1999-469248 [39]; 2002-060934 [72]; 2002-225860 [09]; 2002-303073 [21]
AB WO 9938546 A UPAB: 20020613
NOVELTY - Coating an intracorporeal medical device comprises e.g. applying to the medical device a grafting component and a binding component.

DETAILED DESCRIPTION - (A) Coating an intracorporeal medical device comprises:

(a) applying to the medical device a grafting component and a binding component, where the grafting component is selected from vinyl, acrylate and allyl compounds, and the binding component has at least a first functional group selected from aziridine, carbodiimide, **aldehyde**, isocyanate, succinimide, maleimide, oxirane and carboxyl derivatized with carbodiimide or tresyl or succinimide;

(b) polymerizing the grafting component, so that the grafting component adheres to the device and **bonds** the binding component to it to form a base coat on the device; and

(c) applying to the base coat a top coat having a functional group which binds to the binding component.

INDEPENDENT CLAIMS are also included for:

(1) a method of providing a therapeutic, diagnostic or hydrophilic coating or an intracorporeal medical device comprising: (a) steps (a)-(b) as in (A); (b) applying to the basecoat a solution of a therapeutic, diagnostic or hydrophilic agent having a functional groups which covalently **bonds** to the binding component, to form the therapeutic, diagnostic or hydrophilic coating on the medical device;

(2) a method of providing a therapeutic, diagnostic or hydrophilic coating on an intracorporeal medical device comprising: (a) steps (a)-(b) as in (A); (b) applying to the base coat a solution comprising a **linking** agent having a functional group which covalently **bonds** to the binding component, and (c) exposing the **linking** agent to a solution of a therapeutic, diagnostic or hydrophilic agent, so that a complex comprising the **linking** agent and the therapeutic, diagnostic or hydrophilic agent is formed, to form the therapeutic, diagnostic or hydrophilic coating on the medical device;

(3) an intracorporeal medical device having a therapeutic, diagnostic or hydrophilic coating comprising: (a) a polymerized base coat on the device comprising: (i) a binding component having at least a first functional group selected from polyaziridine, polycarbodiimide, **aldehyde**, isocyanate, succinimide, maleimide, oxirane, and carboxyl derivatized with carbodiimide or tresyl or succinimide; and (ii) a grafting component selected from vinyl, acrylate and allyl compounds, adhered to the device and **bonded** to the binding component; and (b) a top coat on the base coat, comprising a therapeutic, diagnostic or hydrophilic agent, or a complex of a therapeutic, diagnostic or hydrophilic agent and a **linking** agent, having a functional group which **bonds** with the binding component, the functional group selected from carboxyl, hydroxy **amine**, and thiol, covalently **bonded** to the binding component;

(4) an intracorporeal medical device having a lubricious hydrophilic coating comprising: (a) a hydrophilic compound; (b) an ionic compound with at least one inorganic ion; and (c) a polymerized grafting component

selected from vinyl and acrylate compounds, grafted to the device and crosslinked to the hydrophilic compound, containing uncrosslinked domains.

USE - The method can be used for coating an intracorporeal device such as stents, guidewires, cardiac pacing leads, catheters or vascular grafts.

ADVANTAGE - The devices are provided with coatings which do not wear off and can provide diagnostic, therapeutic or lubricious coatings.

Dwg.0/12

L178 ANSWER 16 OF 16 WPIX (C) 2003 THOMSON DERWENT
ACCESSION NUMBER: 1999-444010 [37] WPIX
DOC. NO. CPI: C1999-130746
TITLE: **Biostatic** composition comprising an antimicrobial agent **bonded** to a polymer, prevents bacterial adhesion to e.g. medical devices.
DERWENT CLASS: A18 A28 A60 A96 D22 E13 G02
INVENTOR(S): TOMA, J M D R; DALLA RIVA TOMA, J M
PATENT ASSIGNEE(S): (HYDR-N) HYDROMER INC
COUNTRY COUNT: 84
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9933344	A1	19990708	(199937)*	EN	36
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG ZW					
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ VN YU ZW					
AU 9916328	A	19990719	(199951)		
US 6054504	A	20000425	(200027)		
EP 1043931	A1	20001018	(200053)	EN	
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE					
BR 9814570	A	20001010	(200055)		
CN 1282216	A	20010131	(200131)		
KR 2001024621	A	20010326	(200161)		
MX 2000006459	A1	20010201	(200168)		
JP 2001527027	W	20011225	(200204)		36
AU 743620	B	20020131	(200222)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9933344	A1	WO 1998-US26046	19981208
AU 9916328	A	AU 1999-16328	19981208
US 6054504	A	US 1997-2220	19971231
EP 1043931	A1	EP 1998-960822	19981208
		WO 1998-US26046	19981208
BR 9814570	A	BR 1998-14570	19981208
		WO 1998-US26046	19981208
CN 1282216	A	CN 1998-812257	19981208
KR 2001024621	A	KR 2000-705260	20000515
MX 2000006459	A1	MX 2000-6459	20000629
JP 2001527027	W	WO 1998-US26046	19981208
		JP 2000-526118	19981208
AU 743620	B	AU 1999-16328	19981208

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9916328	A	Based on	WO 9933344
EP 1043931	A1	Based on	WO 9933344
BR 9814570	A	Based on	WO 9933344
JP 2001527027	W	Based on	WO 9933344
AU 743620	B	Previous Publ.	AU 9916328
		Based on	WO 9933344

PRIORITY APPLN. INFO: US 1997-2220 19971231
AN 1999-444010 [37] WPIX
AB WO 9933344 A UPAB: 19990914
NOVELTY - A biostatic composition prevents bacterial adhesion (e.g. to biomaterials or medical devices), without release of an antimicrobial agent, which is covalently **linked** to a polymer.

DETAILED DESCRIPTION - A biostatic composition (C) for reducing and preventing bacterial and microbial adhesion which comprises:

(a) a hydrophilic polymer possessing a functional group (FG) which reacts with and covalently **bonds** to an active group selected from **amine**, thiol, carboxyl, and hydroxyl, groups, where the functional group (FG) is capable of covalently **bonding** to an antimicrobial agent without effectively reducing its antimicrobial property below its capability of acting as a biostatic agent, and without releasing the antimicrobial agent into a solution;

(b) an antimicrobial agent covalently bound to the functional group (FG) of the hydrophilic polymer;

(c) a compatible polymer; and

(d) a solvent.

INDEPENDENT CLAIMS are also included for:

(i) a coating for reducing and preventing bacterial and microbial adhesion which comprises composition (C);

(ii) a method for preparing a biostatic article which comprises:

(a) preparing composition (C);

(b) applying the composition to the surface of an article;

(c) allowing the composition solvent to dry; and

(d) curing the article.

USE - Reducing bacterial adhesion to biomaterials or medical devices.

ADVANTAGE - The method does not require the antimicrobial agent to be released for it to be effective, and binding to the polymer does not reduce the agent's effectiveness.

Dwg.0/3

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STR search II - non-sulfated

MAIER 09/806,650 compounds that are antibiotics

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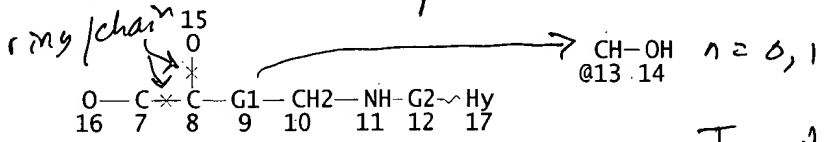


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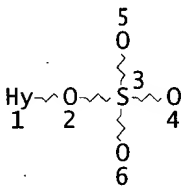
In this search, I was looking for non sulfated cpds w/ the correct STR that are antibiotics. Most of these cites are no good. In most cases the STR is not relevant. citation #7 might be useful

456 cpds w/ 

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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   6

STEREO ATTRIBUTES: NONE
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                 ANTIBIOTIC OR LACTAM OR CEPHALOS? OR PENICILLIN)
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13 sulfated cpds

4 cites

177 cites for L19 cpds

12 cites related to antibiotics etc

subtract out L25 cites

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L29 ANSWER 1 OF 11 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:935631 HCAPLUS
DOCUMENT NUMBER: 136:58854
TITLE: Polyhydroxy glycopeptide derivatives useful as antibacterial agents
INVENTOR(S): Yang, Guang; Schmidt, Donald E., Jr.; Judice, J. Kevin
PATENT ASSIGNEE(S): Advanced Medecine, Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098329	A1	20011227	WO 2001-US40648	20010501
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002049156	A1	20020425	US 2001-847061	20010501

PRIORITY APPLN. INFO.: US 2000-213428P P 20000622
OTHER SOURCE(S): MARPAT 136:58854

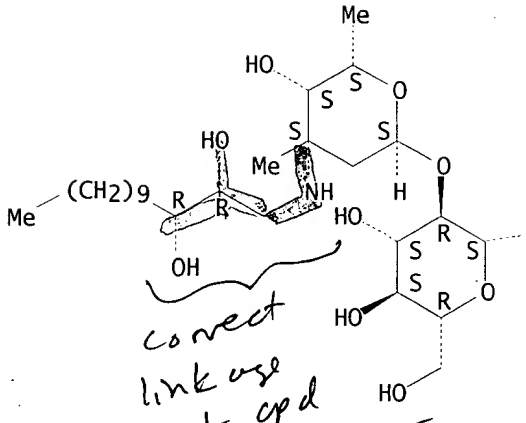
AB Disclosed are polyhydroxy derivs. of glycopeptides and pharmaceutical compns. contg. such glycopeptide derivs. The disclosed glycopeptide derivs. are useful as antibacterial agents. A dihydroxylate vancomycin deriv. was prepd. by the reaction of vancomycin hydrochloride with 2,3-bis(trimethylsiloxy)tridecanal (prepn. given). Antibacterial activity of the vancomycin derivs. was shown in vitro and in vivo. A suppository contained above vancomycin deriv. 550 mg, and Witepsol H-15 for the balance.

IT **383172-93-8P 383172-94-9P 383172-95-0P**
383172-96-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polyhydroxy glycopeptide derivs. useful as **antibacterial** agents)

RN 383172-93-8 HCAPLUS
CN Vancomycin, N3''-[(2R,3R)-2,3-dihydroxytridecyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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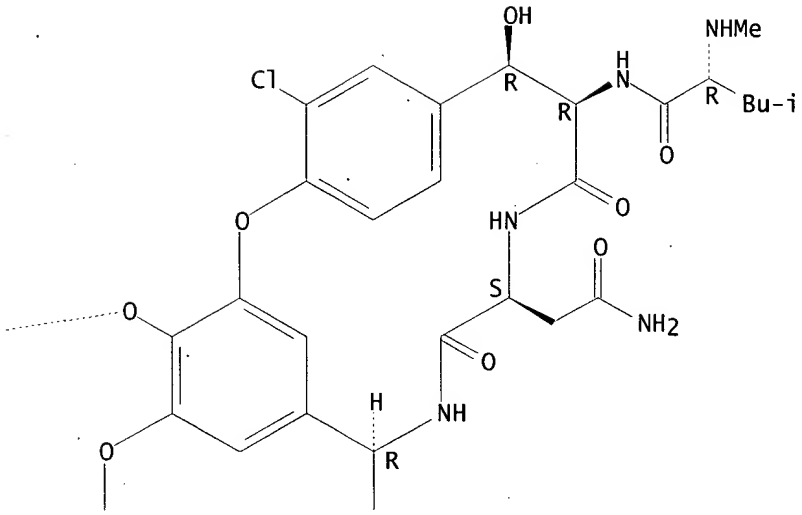

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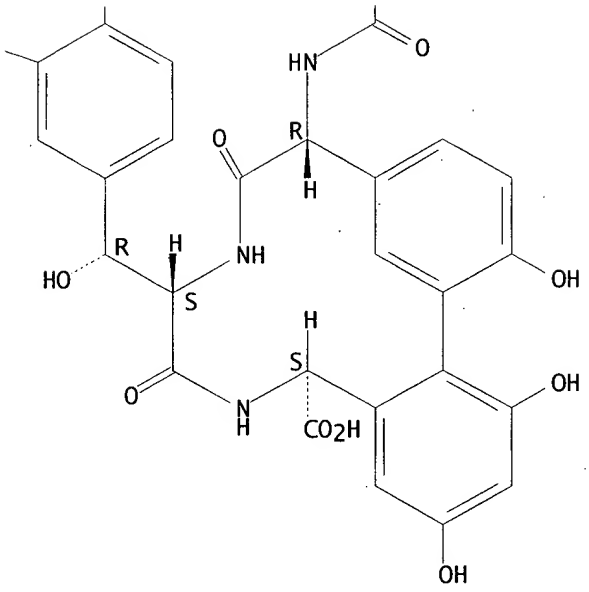
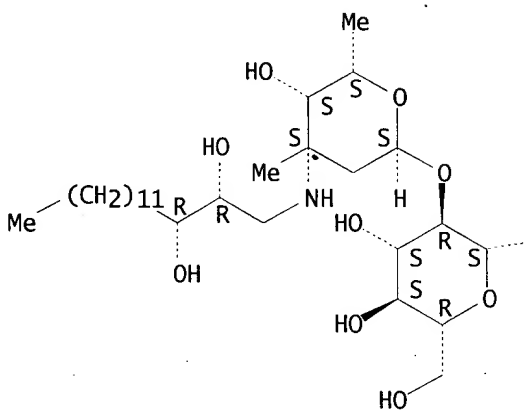
PAGE 2-B



RN 383172-94-9 HCAPLUS
CN Vancomycin, N3''-[(2R,3R)-2,3-dihydroxypentadecyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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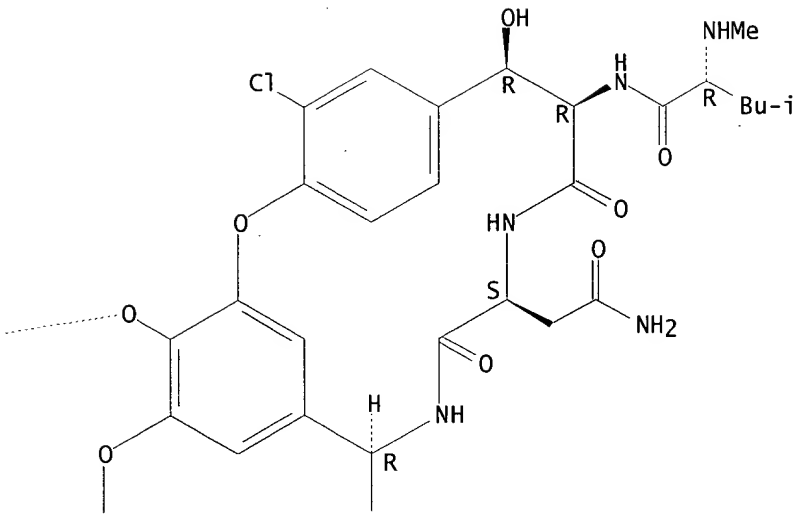

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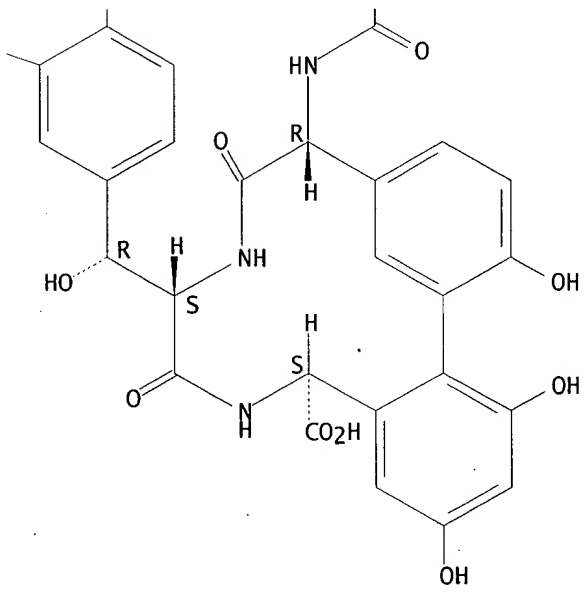
PAGE 2-B

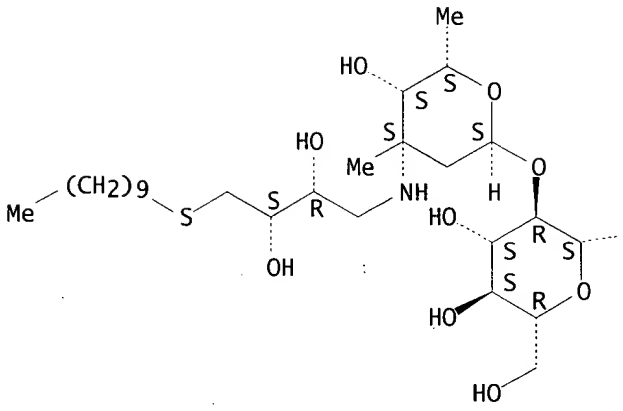


RN 383172-95-0 HCAPLUS
CN Vancomycin, N3''-[(2R,3R)-4-(decylthio)-2,3-dihydroxybutyl]- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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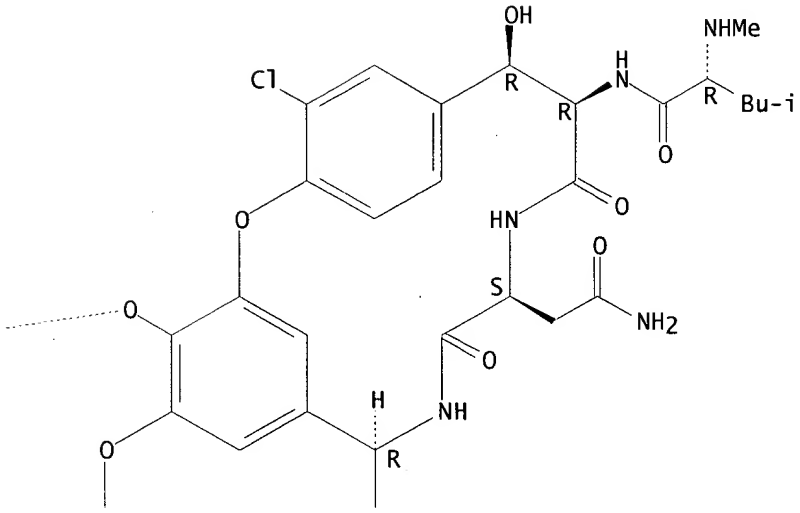

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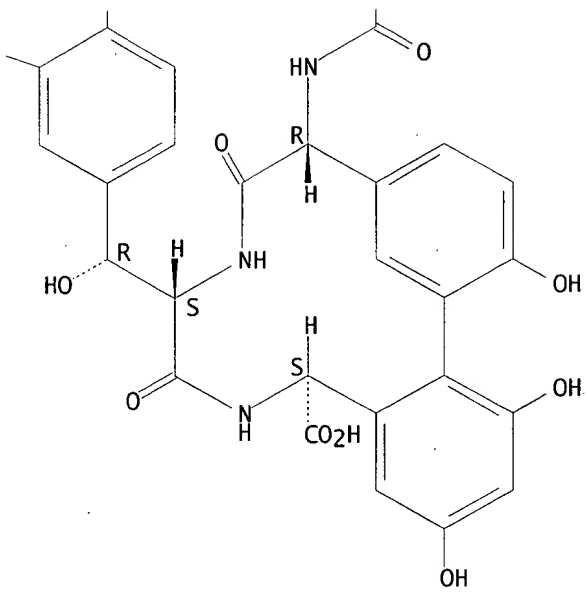
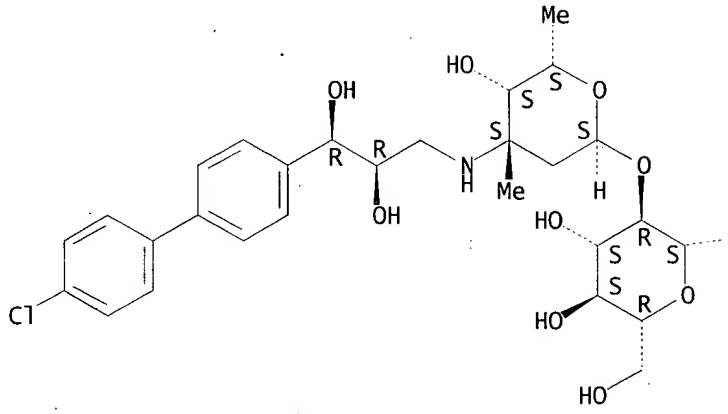
PAGE 2-B



RN 383172-96-1 HCAPLUS
CN Vancomycin, N3''-[(2R,3R)-3-(4'-chloro[1,1'-biphenyl]-4-yl)-2,3-dihydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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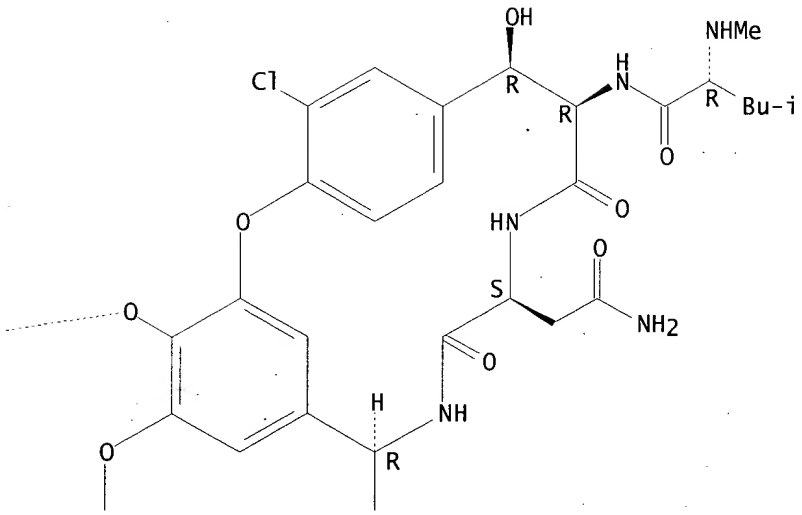

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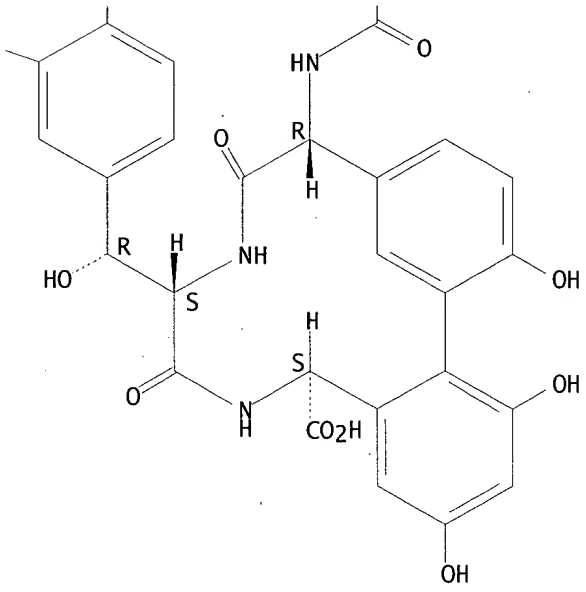
PAGE 2-B



IC ICM C07K009-00
ICS A61K038-14; A61P031-04

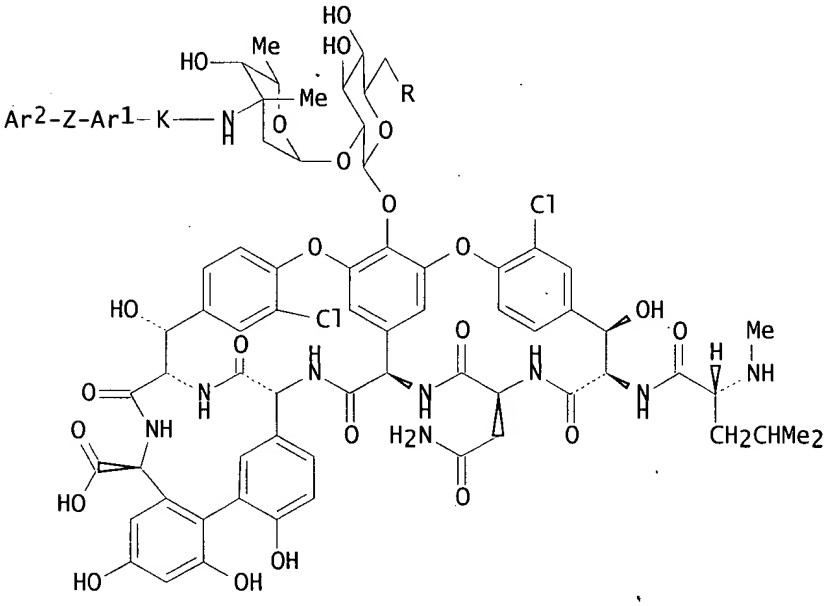
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1, 34
ST polyhydroxy glycopeptide prepn antibacterial agent; pharmaceutical suppository antibacterial hydroxylate vancomycin prepn
IT Infection
(bacterial; polyhydroxy glycopeptide derivs. useful as antibacterial agents)
IT Drug delivery systems
(freeze-dried; polyhydroxy glycopeptide derivs. useful as antibacterial agents)
IT Drug delivery systems
(injections; polyhydroxy glycopeptide derivs. useful as antibacterial agents)
IT Antibacterial agents
Antibiotics
Drug bioavailability
(polyhydroxy glycopeptide derivs. useful as antibacterial agents)
IT Glycopeptides
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polyhydroxy glycopeptide derivs. useful as antibacterial agents)
IT Drug delivery systems
(suppositories; polyhydroxy glycopeptide derivs. useful as antibacterial agents)
IT Drug delivery systems
(suspensions, oral; polyhydroxy glycopeptide derivs. useful as antibacterial agents)
IT Drug delivery systems
(tablets; polyhydroxy glycopeptide derivs. useful as antibacterial agents)
IT **383172-93-8P 383172-94-9P 383172-95-0P 383172-96-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(polyhydroxy glycopeptide derivs. useful as **antibacterial** agents)
IT 112-44-7, Undecylic aldehyde 1404-90-6, Vancomycin 2083-91-2 5927-18-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(polyhydroxy glycopeptide derivs. useful as antibacterial agents)
IT 22137-88-8P 383172-99-4P 383173-01-1P 383173-02-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(polyhydroxy glycopeptide derivs. useful as antibacterial agents)
IT 12619-70-4, Cyclodextrin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyhydroxy glycopeptide derivs. useful as antibacterial agents)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:824286 HCAPLUS
DOCUMENT NUMBER: 134:5162
TITLE: Preparation of glycopeptides as antibacterial agents
INVENTOR(S): Kim, Ronald M.; Kahne, Daniel E.; Chapman, Kevin T.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Princeton University
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069893	A1	20001123	WO 2000-US13751	20000519
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6498238	B1	20021224	US 2000-574225	20000519

PRIORITY APPLN. INFO.: US 1999-134841P P 19990519
OTHER SOURCE(S): MARPAT 134:5162
GI



I

AB Glycopeptides I [R is a polar substituent; K-Ar1-Z-Ar2 is a lipid-like substituent where Ar1 and Ar2 are arom. or heterocyclic groups, each optionally substituted with R1 [R1 = halo, R2, CN, NO2, CF3, fluoromethoxy, NHSO2R2, OR2, SR2, NR22, N+R23, C(O)NR22, SO2NR22, heterocyclyl, CO2R2, C(O)R2, OC(O)R2, NR2C(O)R2, or NHC(O)R2; R2 = H, aryl, alkyl, arylalkyl, (heterocyclyl)alkyl, aroyl, alkanoyl, alkanoyloxy, alkanoylamino, alkylsulfonyl, arylsulfonyl; two R2 groups may form one or more arom. or heterocyclic rings]; K and Z are carbonyl, sulfonyl, alkylene, alkyleneoxy, oxyalkylene, alkyleneamino, aminoalkylene, alkyleneoxyalkylene, alkylenethio, thioalkylene, alkylenecarbonyl, aminocarbonyl or carbonylamino, alkyleneaminocarbonyl,

aminocarbonylalkylene, O, O2C, CO2, alkylene, alkyleneoxycarbonyl, oxycarbonylalkylene, aminosulfonyl or sulfonylamino; Z is not a singe bond] were prepd. as antibacterial agents. Thus, N-[4-(3,4-dichlorobenzyloxy)benzyl]-N-glucose-C6-amino-vancomycin, prepd. from vancomycin hydrochloride by a multistep sequence involving condensation with 4-(3,4-dichlorobenzyloxy)benzaldehyde, showed MIC = 0.125 .mu.g/mL against Staphylococcus aureus Septicemia (in vivo).

IT **308366-57-6P 308366-75-8P 308366-77-0P**
308366-80-5P 308366-88-3P 308366-89-4P
308366-93-0P 308366-95-2P 308367-24-0P
308367-25-1P 308367-34-2P 308367-38-6P
308367-43-3P 308367-44-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of vancomycin analogs as **antibacterial** agents)

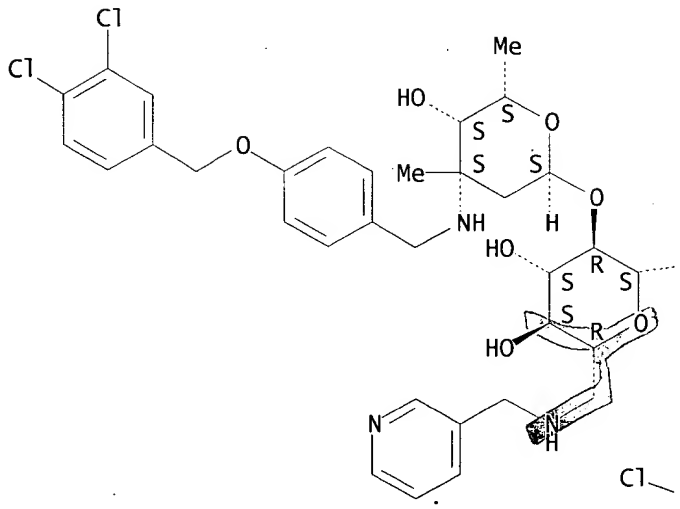
RN 308366-57-6 HCAPLUS

CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-[(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-A



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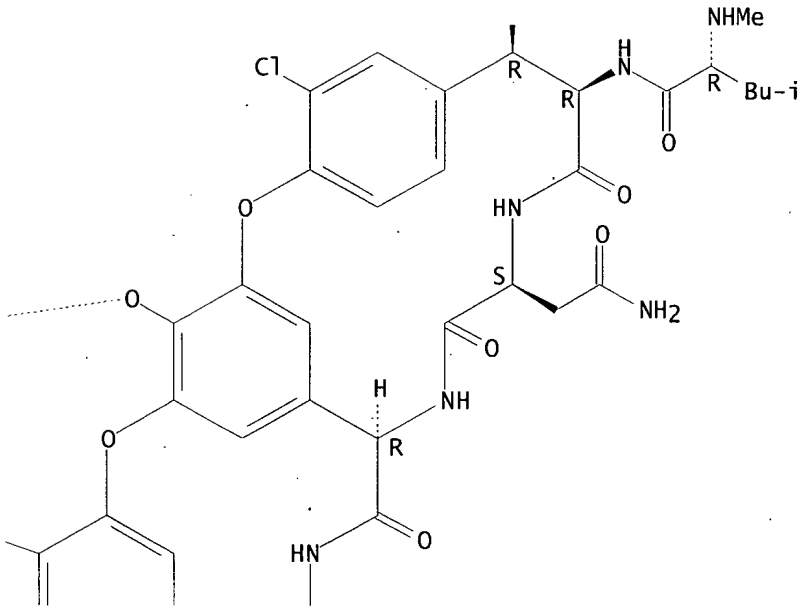

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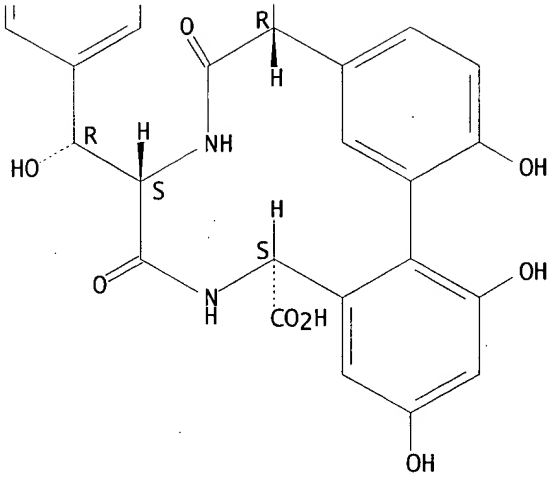


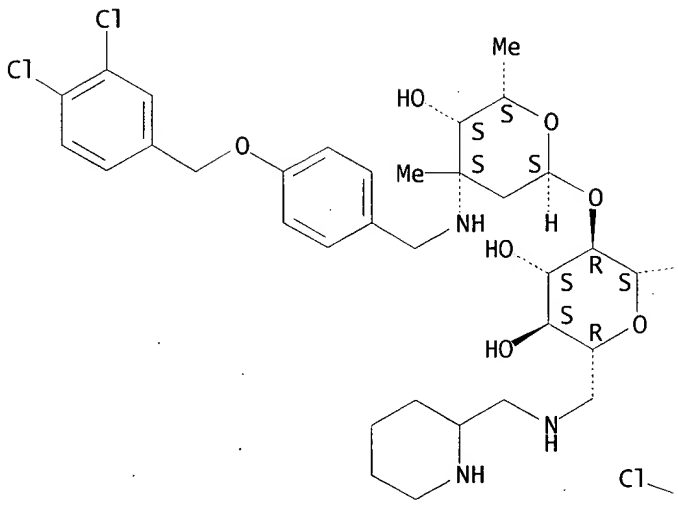
RN 308366-75-8 HCAPLUS
CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-[(2-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

OH

PAGE 2-A



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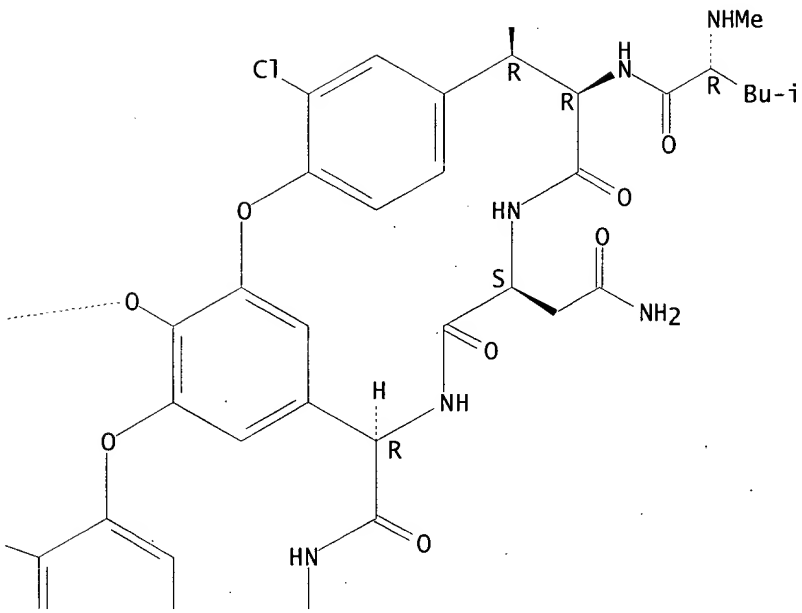

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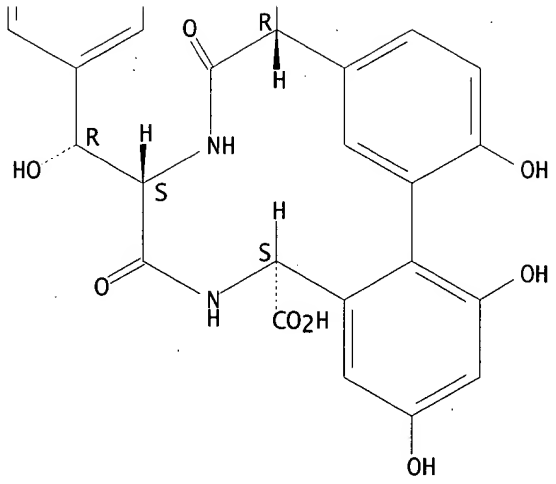
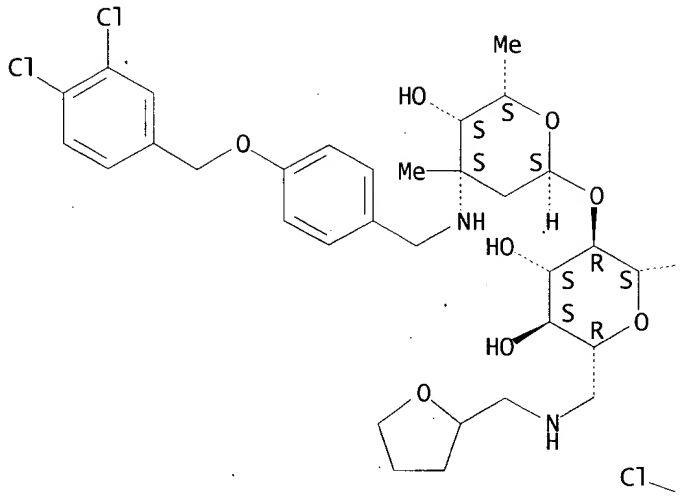


RN 308366-77-0 HCAPLUS
CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-[[(tetrahydro-2-furanyl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-A



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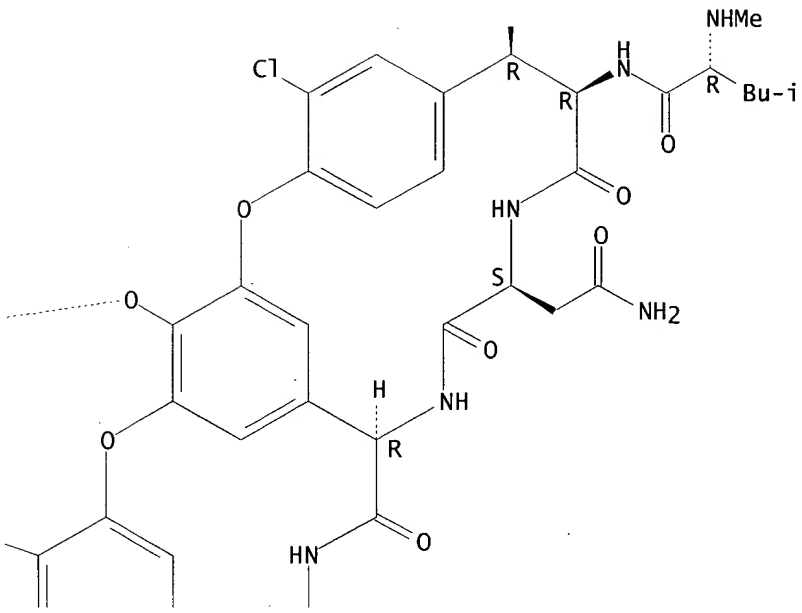

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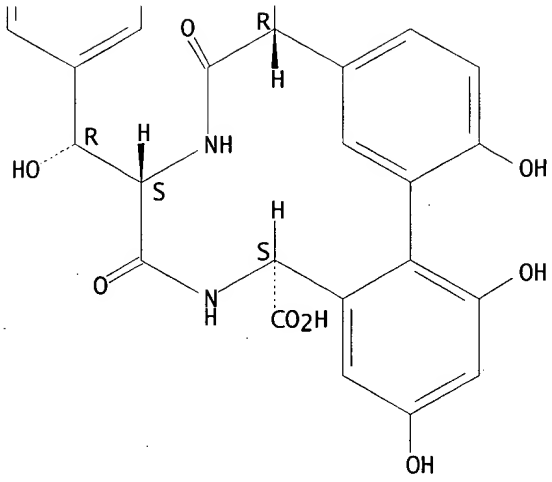


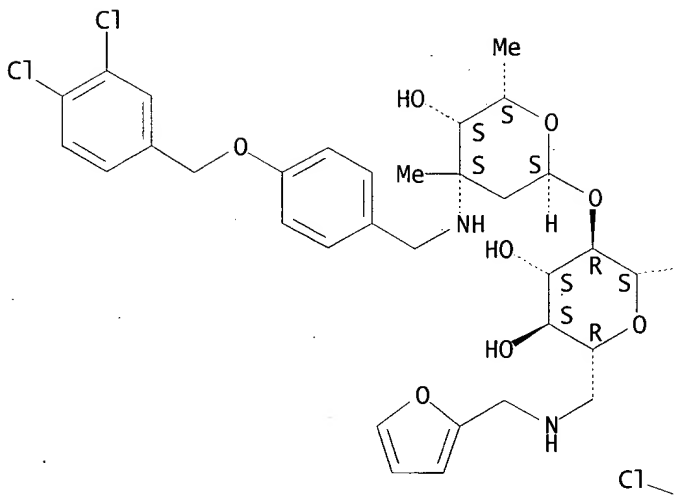
RN 308366-80-5 HCAPLUS
CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-[(2-furanylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

OH

PAGE 2-A



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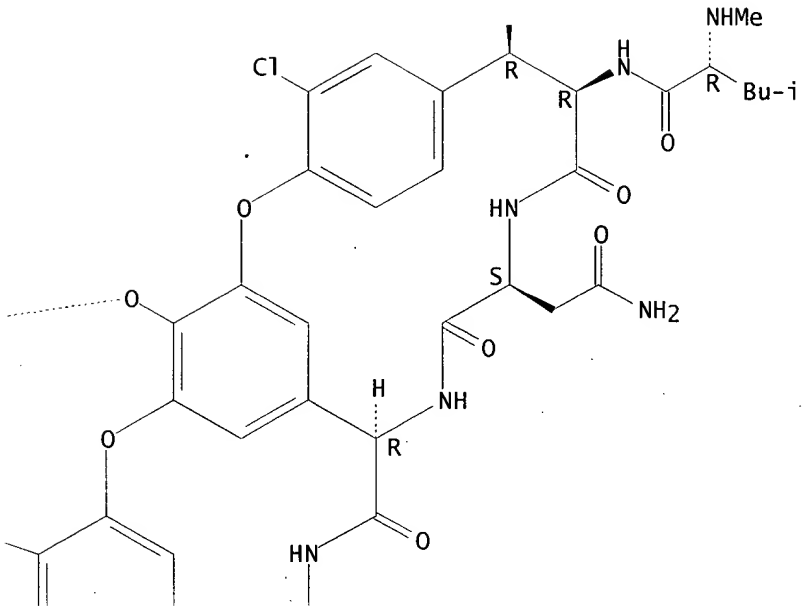

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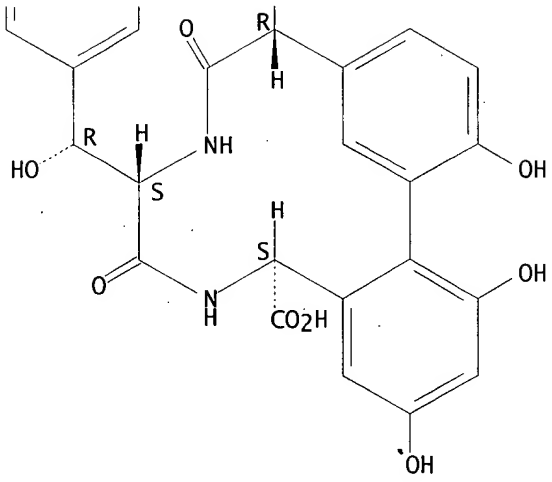
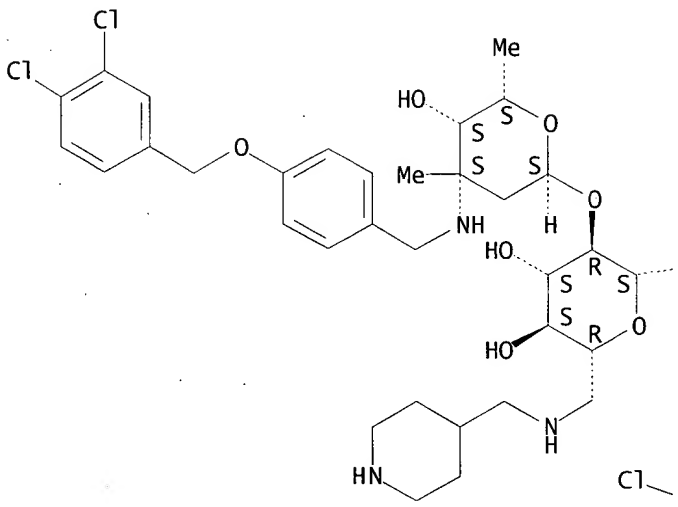


RN 308366-88-3 HCAPLUS
CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-A



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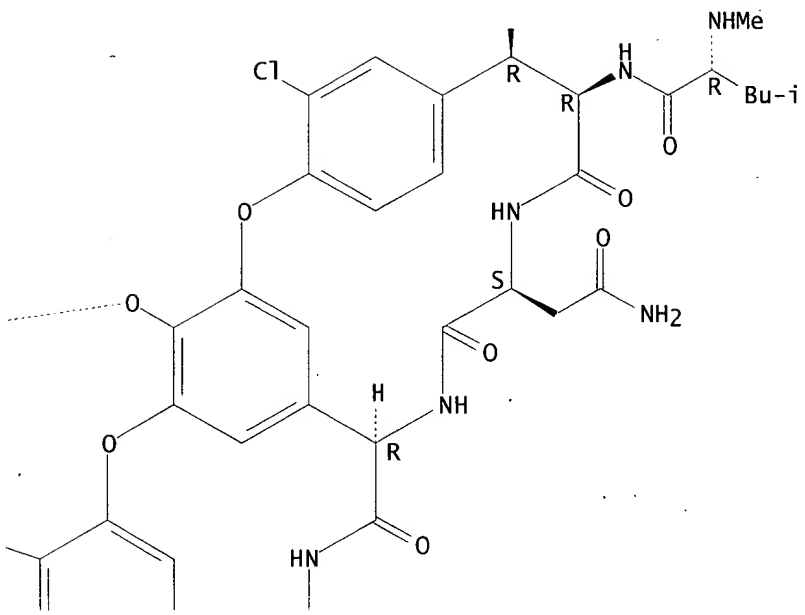

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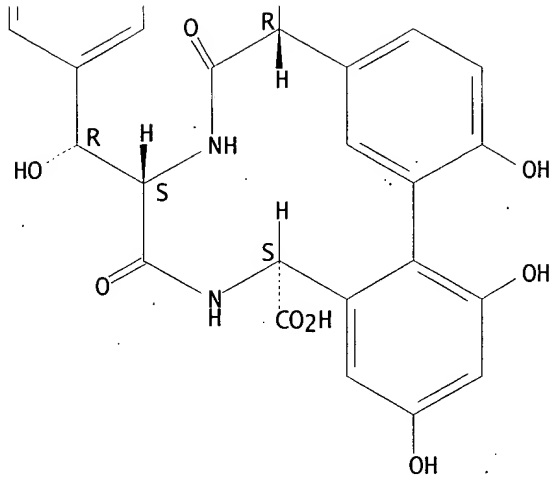


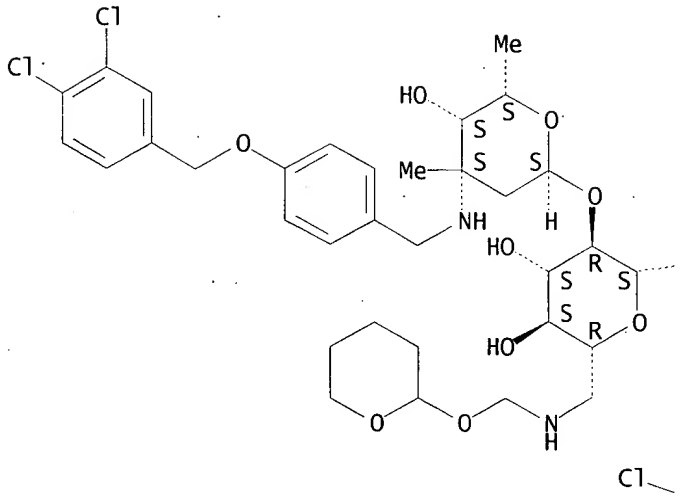
RN 308366-89-4 HCAPLUS
CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-[[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

OH

PAGE 2-A



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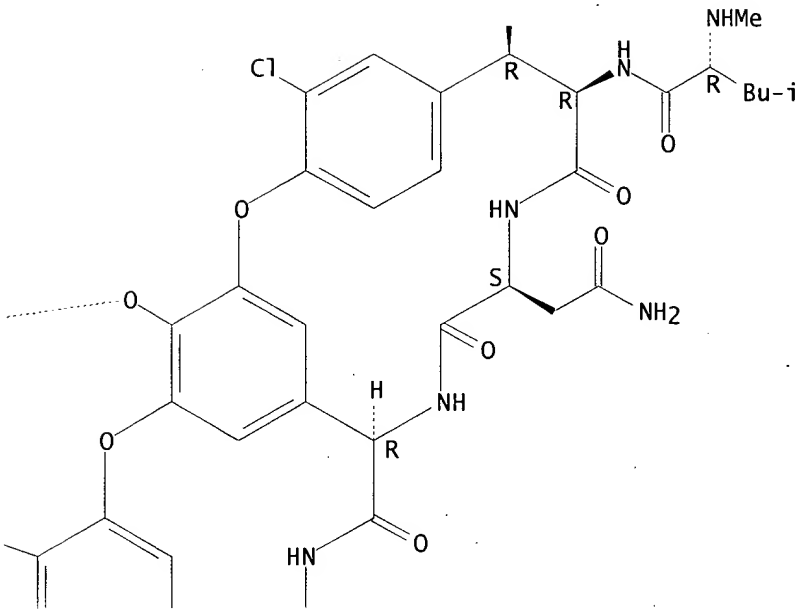

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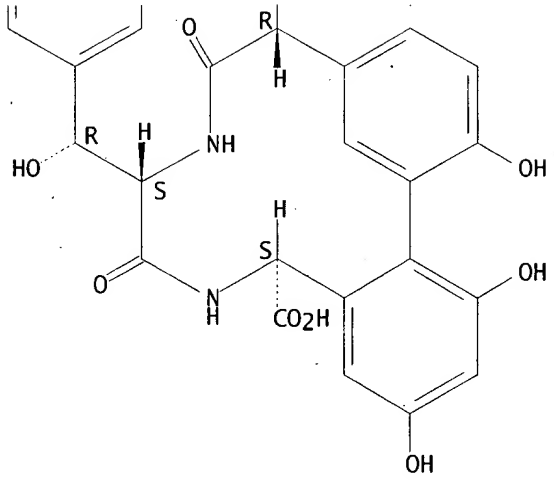
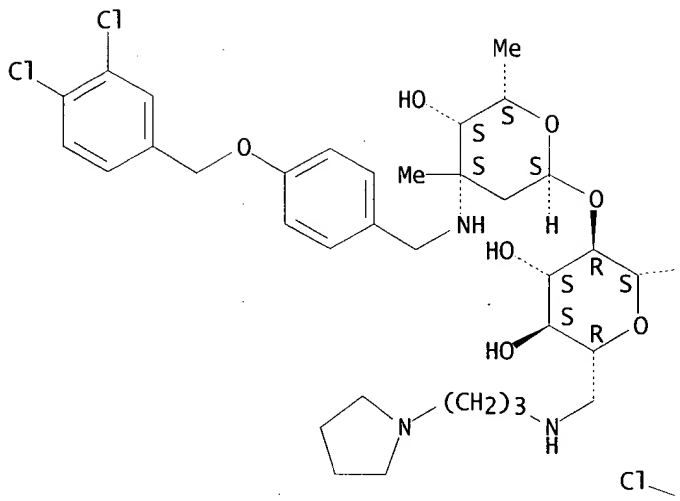


RN 308366-93-0 HCAPLUS
CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-[[3-(1-pyrrolidinyl)propyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-A



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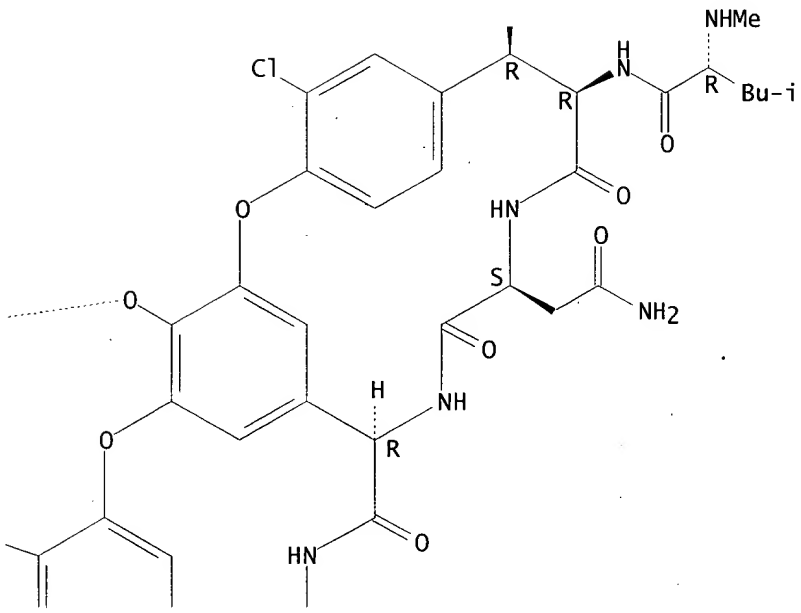

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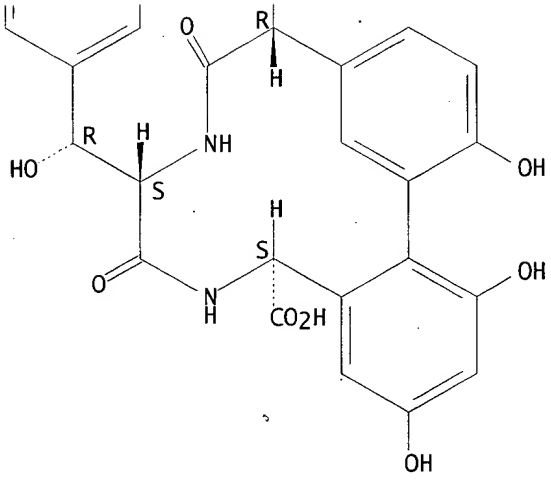

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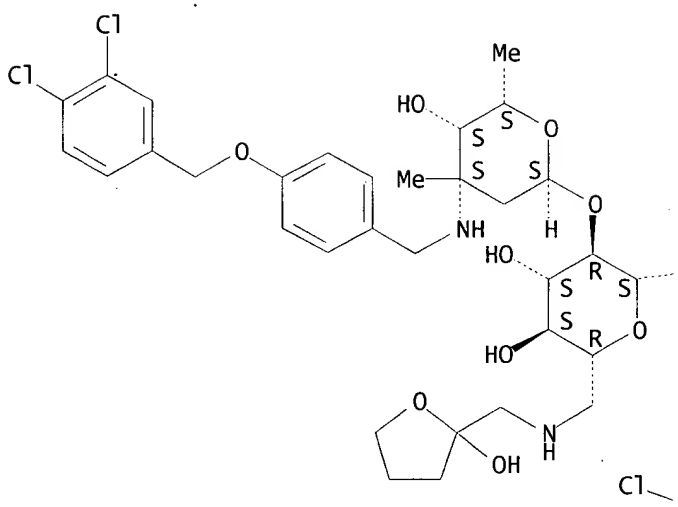
CN Vancomycin, 6'-deoxy-N3''-[[4-[(3,4-dichlorophenyl)methoxy]phenyl]methyl]-6'-[[(tetrahydro-2-hydroxy-2-furanyl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

OH

PAGE 2-A



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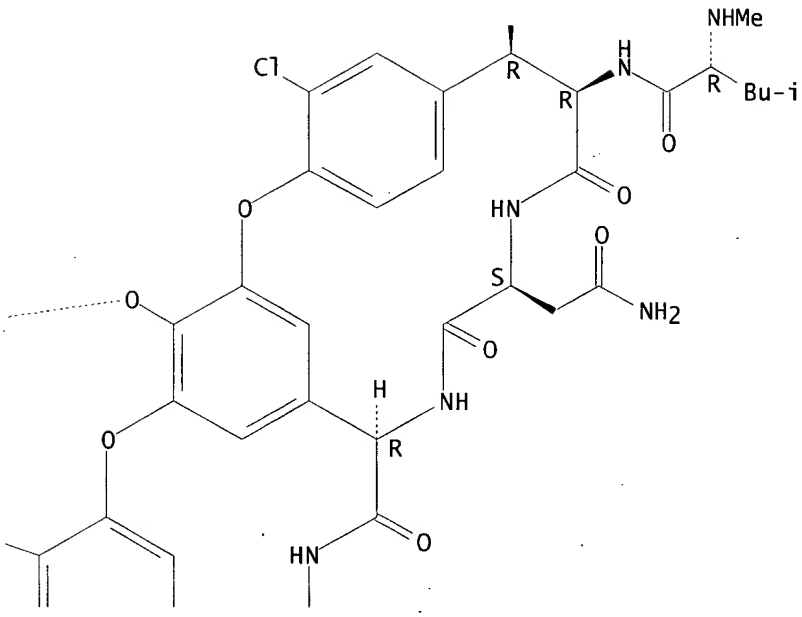

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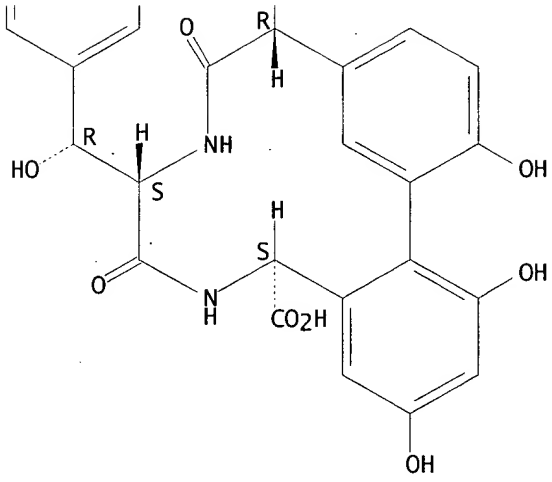

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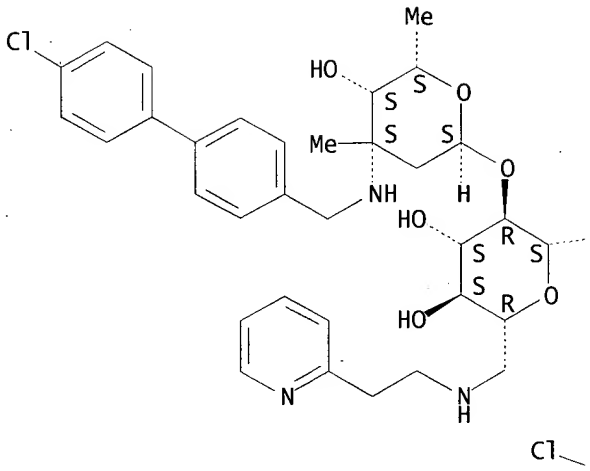
CN Vancomycin, N3''-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-6'-deoxy-6'-[[2-(2-pyridinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-A



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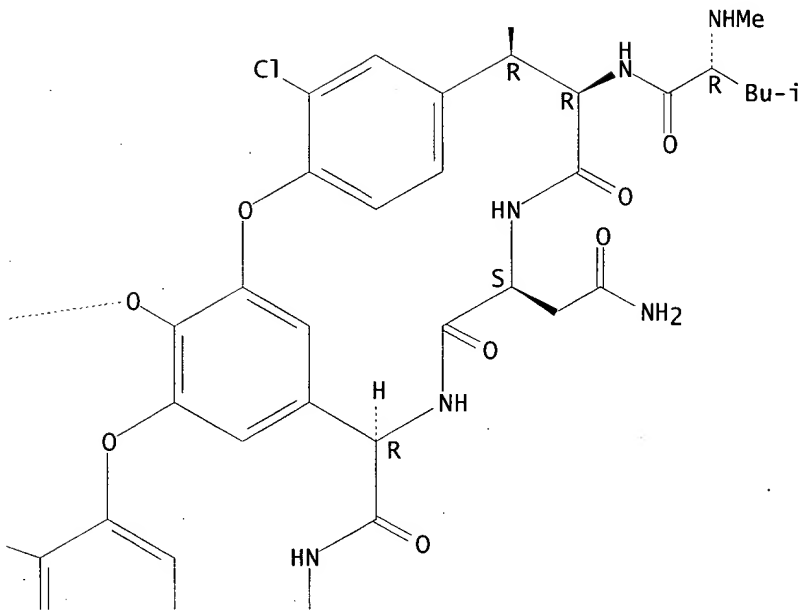

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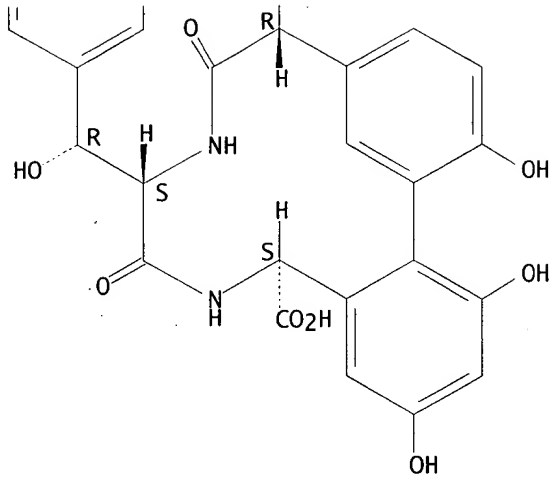
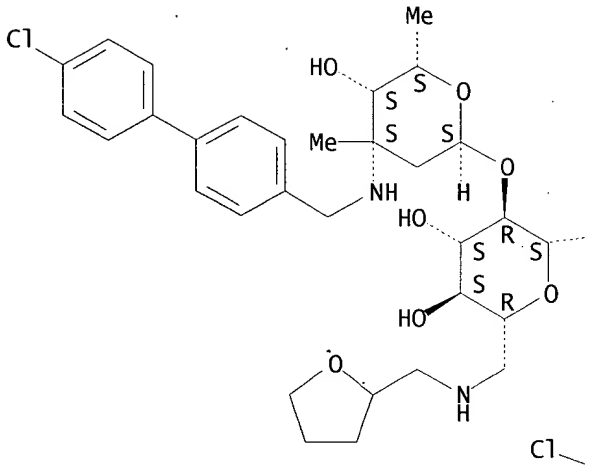


RN 308367-25-1 HCAPLUS
CN Vancomycin, N3''-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-6'-deoxy-6'-[[(tetrahydro-2-furanyl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-A



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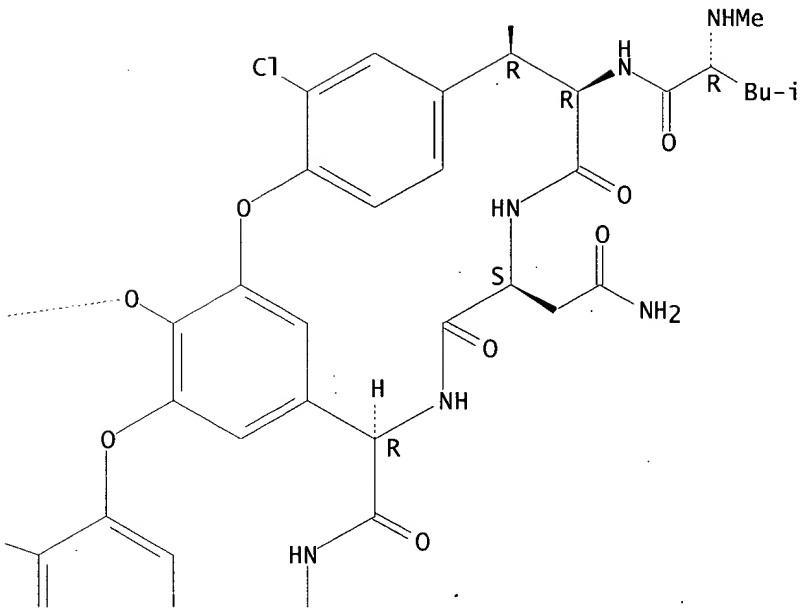

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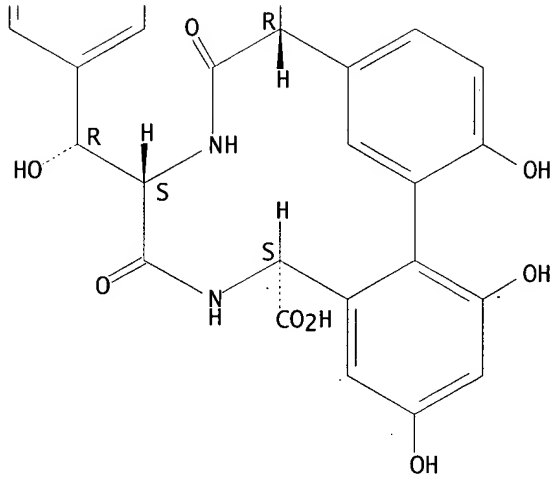

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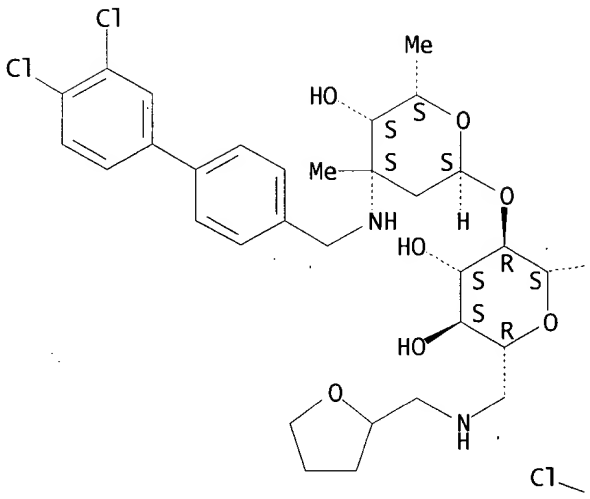
CN Vancomycin, 6'-deoxy-N3''-[(3',4'-dichloro[1,1'-biphenyl]-4-yl)methyl]-6'-[[(tetrahydro-2-furanyl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-A



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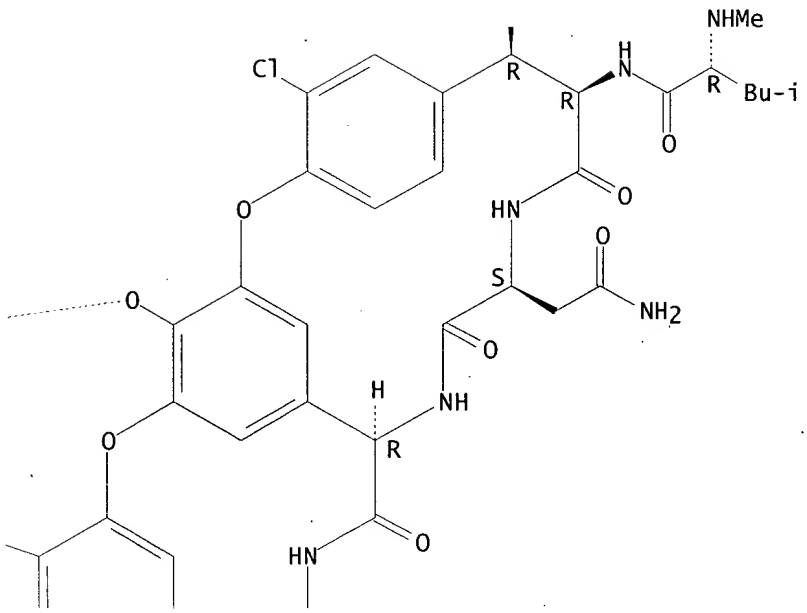

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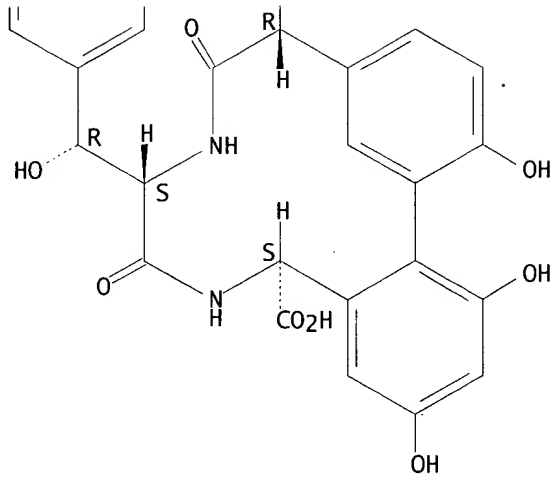

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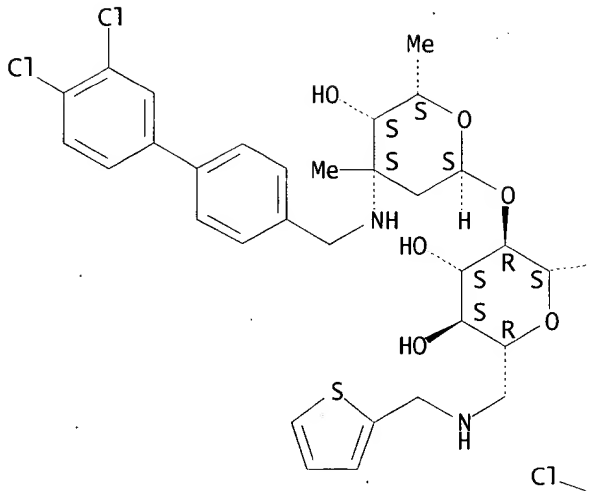
Absolute stereochemistry.

PAGE 1-B

PAGE 2-A



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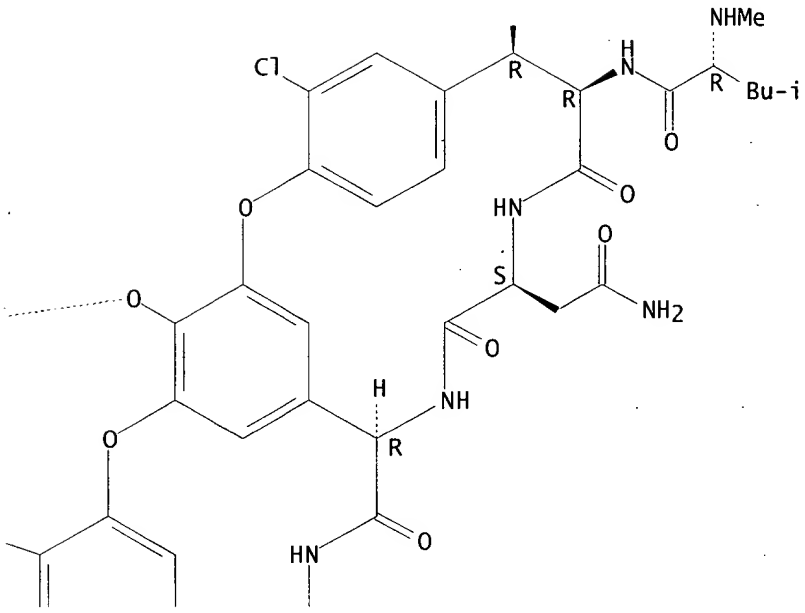

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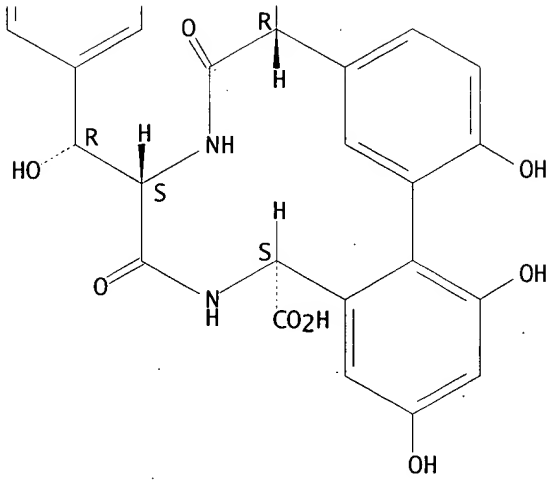
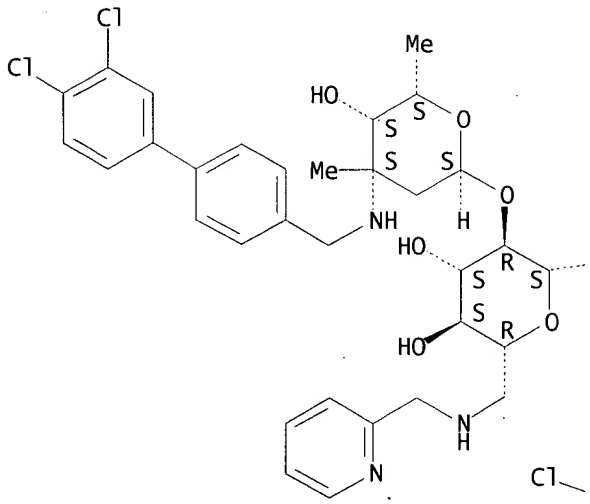


RN 308367-43-3 HCAPLUS
CN Vancomycin, 6'-deoxy-N3''-[(3',4'-dichloro[1,1'-biphenyl]-4-yl)methyl]-6'-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-A



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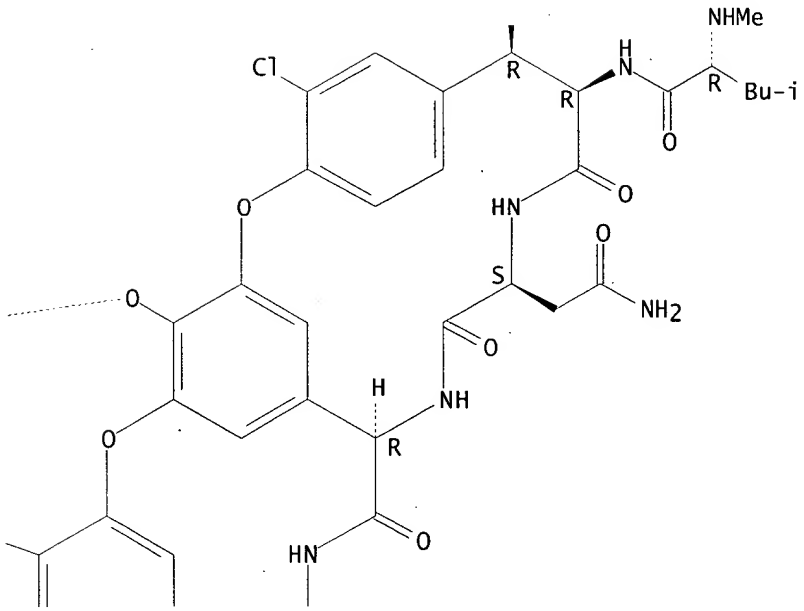

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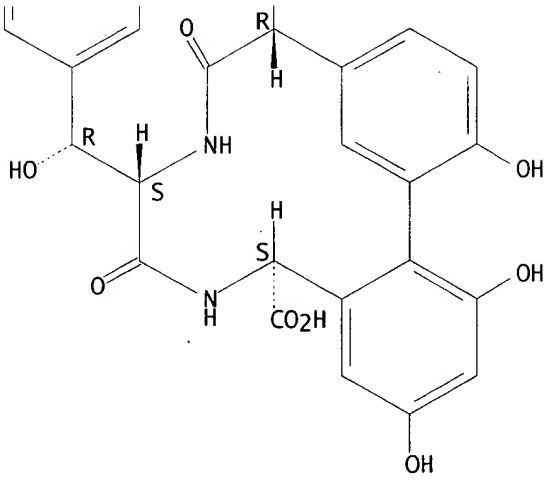


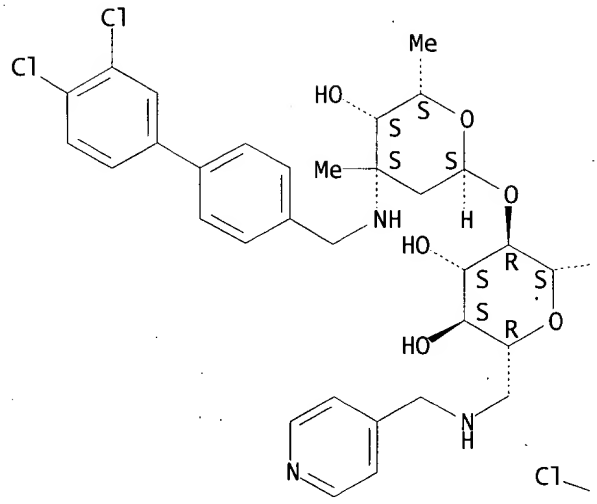
RN 308367-44-4 HCAPLUS
CN Vancomycin, 6'-deoxy-N3''-[(3',4'-dichloro[1,1'-biphenyl]-4-yl)methyl]-6'-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

OH

PAGE 2-A



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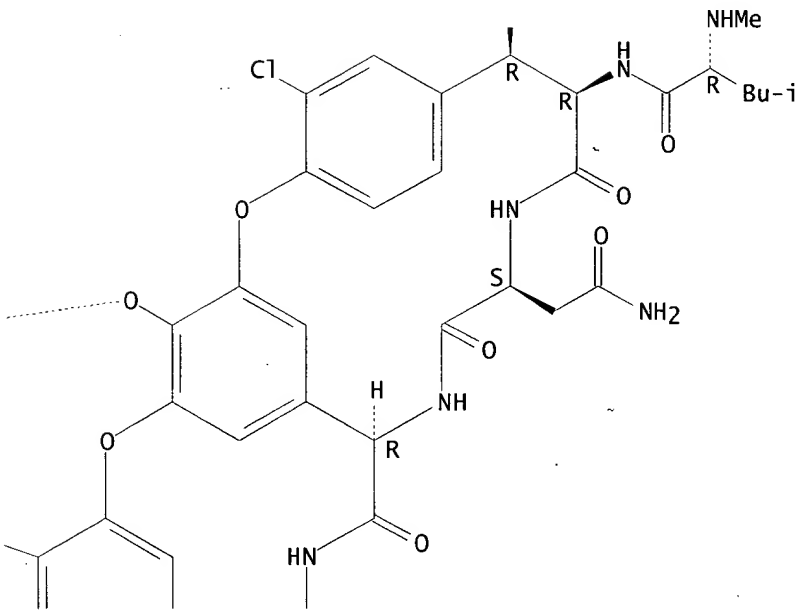

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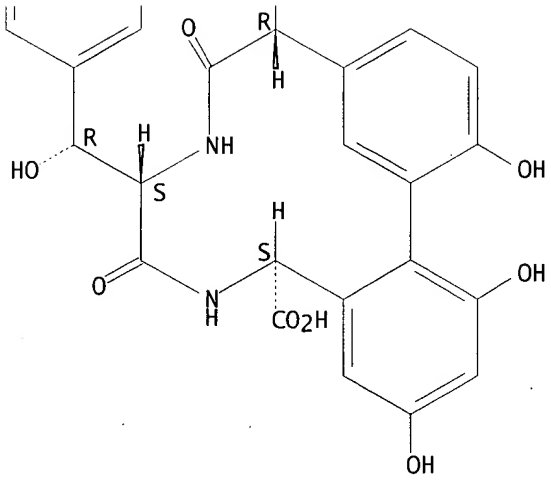

IC ICM C07K009-00
ICS A61K038-14

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 33, 63

ST glycopeptide prepn antibacterial; antibacterial vancomycin analog prepn; peptide glyco vancomycin analog prepn

IT Antibacterial agents
(prepn. of vancomycin analogs as antibacterial agents)

IT Glycopeptides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of vancomycin analogs as antibacterial agents)

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of vancomycin analogs as **antibacterial** agents)
IT 773-64-8, Mesitylenesulfonyl chloride 1404-93-9, Vancomycin hydrochloride 66742-56-1, 4-(3-4-Dichlorobenzyloxy)benzaldehyde 80575-23-1, N-(Allyloxycarbonyloxy)succinimide
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of vancomycin analogs as antibacterial agents)
IT 220971-92-6P 220971-93-7P 256349-88-9P 256350-62-6P 256351-48-1P 308367-47-7P 308367-48-8P 308367-49-9P 308367-50-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of vancomycin analogs as antibacterial agents)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:457093 HCAPLUS
DOCUMENT NUMBER: 133:89801
TITLE: Preparation of glycopeptide derivatives as antibacterial agents
INVENTOR(S): Judice, J. Kevin; Fatheree, Paul Ross; Lam, Bernice M. T.; Leadbetter, Michael; Linsell, Martin Sheringham; Mu, Yongqi; Trapp, Sean Gary; Yang, Guang; Zhu, Yan
PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 6444786	B1	20020903	US 2000-656473	20000906
US 6455669	B1	20020924	US 2000-674456	20001101
ZA 2000007222	A	20020306	ZA 2000-7222	20001206
NO 2000006323	A	20010212	NO 2000-6323	20001212
US 2003060598	A1	20030327	US 2002-92088	20020306

PRIORITY APPLN. INFO.:
US 1998-113728P A2 19981223
US 1999-129313P P 19990414
US 1999-164024P P 19991104
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US 1999-470209 A3 19991222
WO 1999-US30543 W 19991222
OTHER SOURCE(S): MARPAT 133:89801
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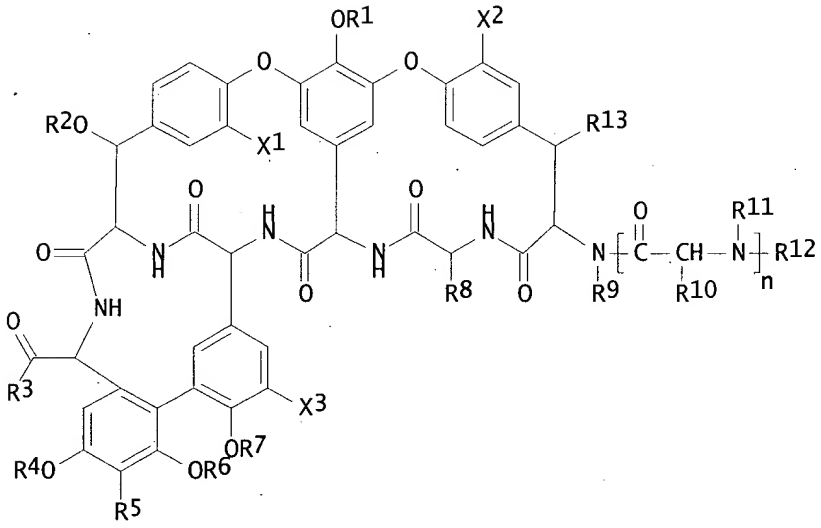


I

AB Glycopeptide derivs I [R1 = H, aliph. or cycloaliph. residue which may be substituted, aryl, heteroaryl, heterocyclyl, -Ra-Y-Rb-(Z)m (Ra = (un)substituted, (un)satd. alkylene; Rb is a bond or groups defined by Ra; Y = O, S, S2, SO, SO2, NH, etc.; Z = H, aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclyl; m = 1 or 2) or a saccharide group optionally substituted with -Ra-Y-Rb-(Z)m (Q); R2 = H or a saccharide group optionally substituted with Q; R3 = ORc, NRc2, Q, -NRc-Q, NRcRe, or ORe, where Rc = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl and Re is a saccharide group; R4 = H, aliph., Q, acyl, or a saccharide group optionally substituted with Q; R5 = H, halo, CHRc-NRc2, CHRc-NRcRe, CHRc-NRc-Q; R6 = H, aliph., Q, acyl, or a saccharide group optionally substituted with -NRc-Q, or R5 and R6 form a heterocyclic ring substituted with -NRc-Q; R7 = H, aliph., Q, acyl; R8-R11 = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl or R8 and R10 are joined to form Ar1-O-Ar2, where Ar1 and Ar2 are arylene or heteroarylene and R10 and R11 are joined to form a heterocyclic ring; R12 = (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl, carbamoyl or imino derivs., esters, Q or R11 and R12 are joined to form a heterocyclic ring; R13 = H or OR14, where R14 = H, acyl, or saccharide group; X1, X2, X3 = H, Cl] were prepd. as antibacterial agents. Thus, vancomycin underwent reductive alkylation of the glycosyl amino group by [(9-fluorenylmethoxycarbonyl)amino]acetaldehyde using Na cyanoborohydride. Deprotection and further reductive alkylation by decanal afforded N-[2-(decylamino)ethyl]vancomycin, along with the didecyl deriv.

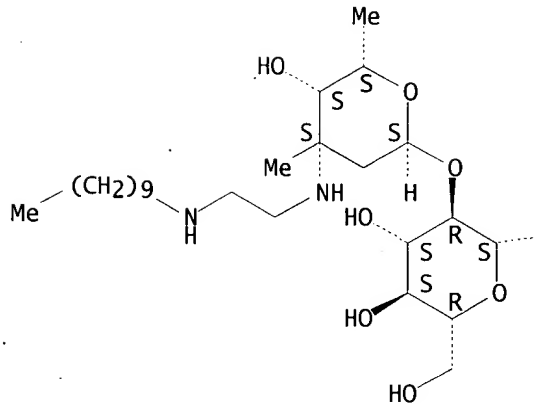
IT **281228-78-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of glycopeptide derivs. as **antibacterial** agents)

RN 281228-78-2 HCAPLUS

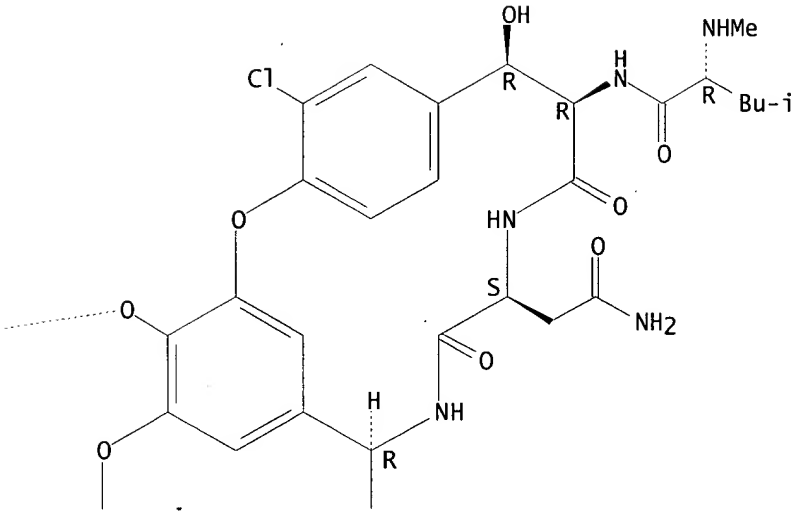
CN Vancomycin, N3''-[2-(decylamino)ethyl]-29-[[(2,3-dihydroxypropyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



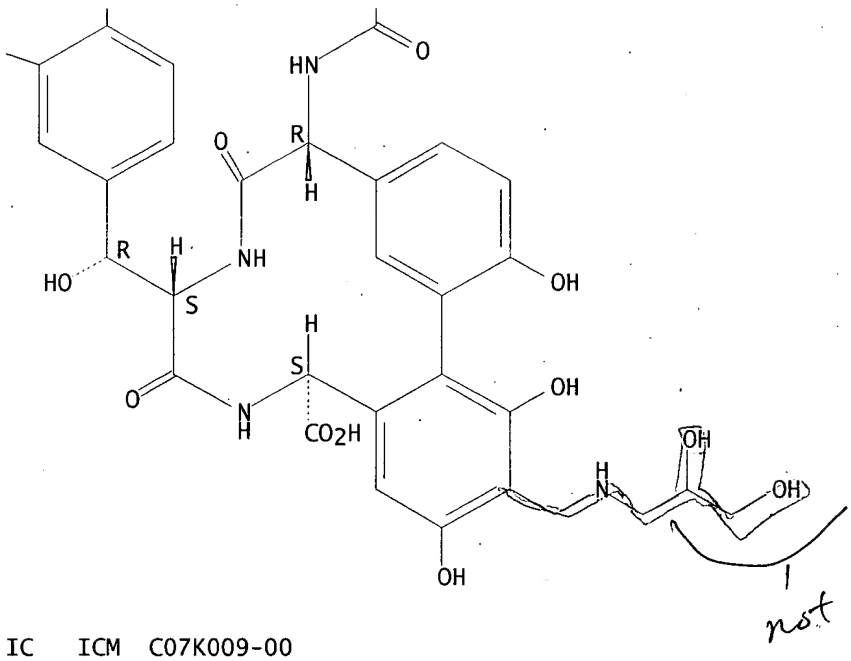
PAGE 1-B



PAGE 2-A

Cl

PAGE 2-B



not a sugar

IC ICM C07K009-00
ICS A61K038-14

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 10, 33, 63

ST glycopeptide prepn antibacterial; vancomycin reductive alkylation antibacterial

IT Antibacterial agents
(prepn. of glycopeptide derivs. as antibacterial agents)

IT Glycopeptides
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of glycopeptide derivs. as antibacterial agents)

IT Alkylation
(reductive; prepn. of glycopeptide derivs. as antibacterial agents)

IT 66-84-2, Glucosamine hydrochloride 96-32-2, Methyl bromoacetate 107-59-5, tert-Butyl chloroacetate 112-13-0, N-Decanoyl chloride 112-29-8, 1-Bromodecane 112-31-2, n-Decanal 141-43-5, reactions 141-78-6, Acetic acid ethyl ester, reactions 5680-79-5, Glycine methyl ester hydrochloride 6284-40-8, N-Methyl-D-glucamine 22483-09-6, Aminoacetaldehyde dimethylacetal 65405-70-1, trans-4-Decenal 105496-31-9, N-(9-Fluorenylmethoxycarbonyl)-2-aminoethanol 167479-01-8, tert-Butyl N-(3-iodopropyl)carbamate 218933-56-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of glycopeptide derivs. as antibacterial agents)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of glycopeptide derivs. as antibacterial agents)

IT 281226-54-8P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of glycopeptide derivs. as antibacterial agents)

IT 1404-90-6, Vancomycin 197638-25-8, Vancomycin monohydrochloride
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(prepn. of glycopeptide derivs. as antibacterial agents)

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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of glycopeptide derivs. as **antibacterial** agents)

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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of glycopeptide derivs. as antibacterial agents)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

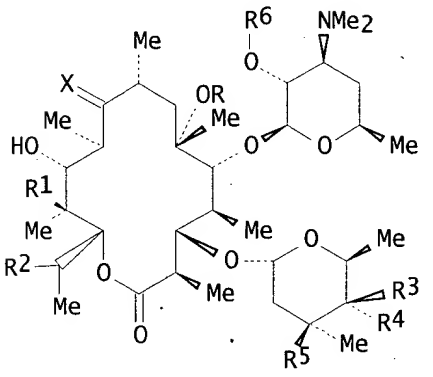
L29 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:388555 HCAPLUS
DOCUMENT NUMBER: 133:17747
TITLE: Preparation of 6-O-substituted erythromycins as antibacterial agents
INVENTOR(S): Or, Yat Sun; Clark, Richard F.; Ma, Zhenkun; Griesgraber, George; Li, Leping; Chu, Daniel T.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 128 pp., Cont.-in-part of U.S. Ser. No. 646,477, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6075011	A	20000613	US 1997-841038	19970429
WO 9742206	A1	19971113	WO 1997-US7702	19970506
W: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9729987	A1	19971126	AU 1997-29987	19970506
AU 726075	B2	20001026		
ZA 9703894	A	19980223	ZA 1997-3894	19970506
CN 1224427	A	19990728	CN 1997-196134	19970506

BR 9708929	A	19990803	BR 1997-8929		19970506
EP 1007530	A1	20000614	EP 1997-924605		19970506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
NZ 332320	A	20000728	NZ 1997-332320		19970506
KR 2000010800	A	20000225	KR 1998-708934		19981106
PRIORITY APPLN. INFO.:			US 1996-646477	B2	19960507
			US 1997-841038	A	19970429
			WO 1997-US7702	W	19970506

OTHER SOURCE(S): MARPAT 133:17747

GI



I

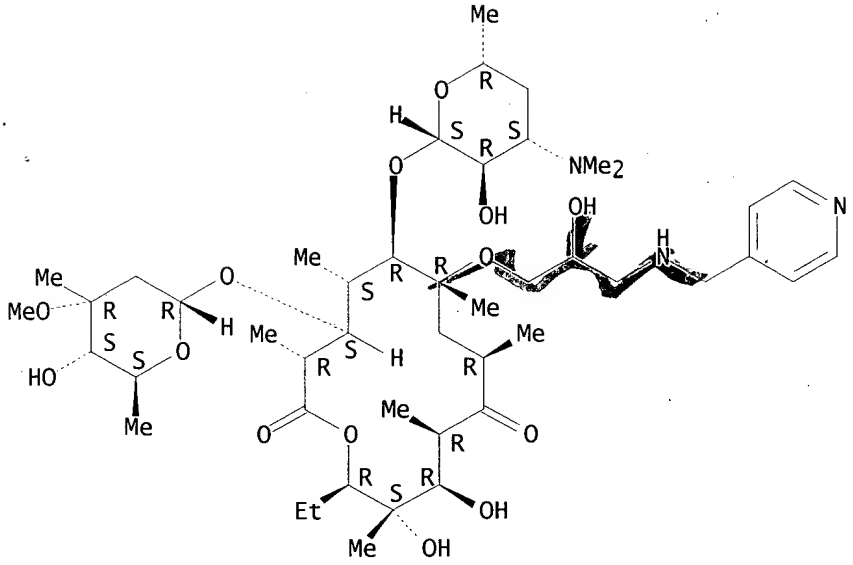
AB Macrolide erythromycins I (R = Me substituted with CN, F, carboxylate, sulfonate, amide, aryl, heteroaryl, substituted alkyl, alkenyl, alkynyl ;X = O, NOH, substituted oxime; R1 = H, OH; R2 = H, OH, halogen, amine, cycloalkyl, alkyl, aryl , OCONH-aryl, OCONH-heteroaryl; R3R4 = O, NOH, substituted oxime; R5 = OMe, F, OH; R6 = H, hydroxy protecting group) were prepd. as antibacterial agents. Thus, I (R = allyl, R1 = R4 = OH, R2 = R3 = R6 = H, R5 = Me, X = O) was prepd. and tested in vitro for its antibacterial activity (MIC = 0.01 to >100).

IT **198557-57-2P 271782-53-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 6-O-substituted erythromycins as **antibacterial** agents)

RN 198557-57-2 HCAPLUS

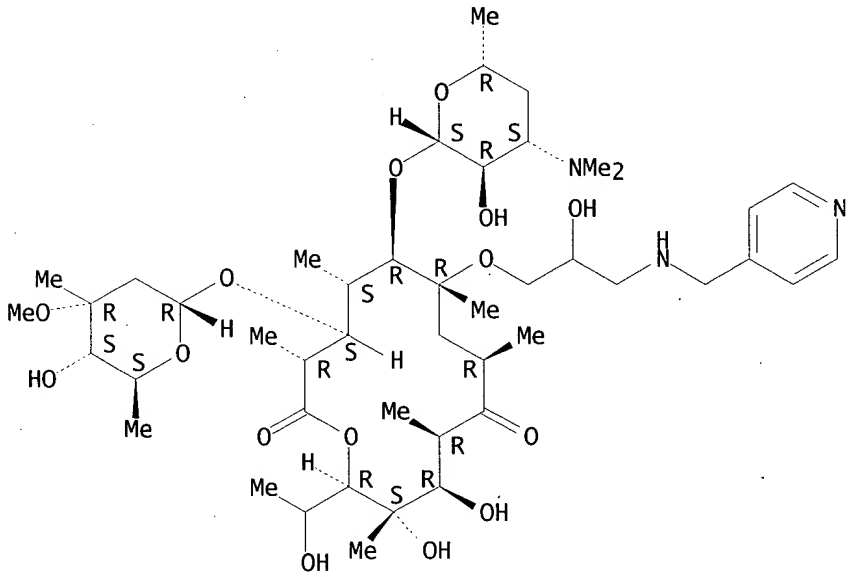
CN Erythromycin, 6-O-[2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 271782-53-7 HCAPLUS
CN Erythromycin, 14-hydroxy-6-O-[2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K031-70
ICS C07H017-08
NCL 514029000
CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 63
ST macrolide antibiotic erythromycin prepn antibacterial glycoside
IT Glycosides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aminodeoxy; prepn. of 6-O-substituted erythromycins as antibacterial agents)

IT Antibiotics
(macrolide; prepn. of 6-O-substituted erythromycins as antibacterial agents)

IT Antibacterial agents
(prepn. of 6-O-substituted erythromycins as antibacterial agents)

IT 198482-49-4P 198482-50-7P 198482-51-8P 198482-54-1P 198482-58-5P
198482-64-3P 198483-29-3P 198557-15-2P 198557-16-3P 198557-17-4P
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198557-91-4P 198557-95-8P 198557-97-0P 198557-99-2P 271781-94-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 6-O-substituted erythromycins as antibacterial agents)

IT 198482-52-9P 198482-53-0P 198482-55-2P 198482-56-3P 198482-57-4P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 6-O-substituted erythromycins as **antibacterial** agents)

IT 271782-24-2P 271782-25-3P 271782-26-4P 271782-27-5P 271782-28-6P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 6-O-substituted erythromycins as **antibacterial** agents)

IT 100-46-9, Benzylamine, reactions 110-91-8, Morpholine, reactions
593-56-6, Methoxylamine hydrochloride 2687-43-6, O-Benzyl hydroxylamine hydrochloride 4392-24-9, 3-Phenylallyl bromide 129288-91-1
129317-09-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 6-O-substituted erythromycins as antibacterial agents)

IT 198482-60-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 6-O-substituted erythromycins as antibacterial agents)

IT 198482-74-5P 198482-76-7P 198482-77-8P 198482-78-9P 198482-79-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted erythromycins as antibacterial agents)
IT 51-67-2, 4-Hydroxyphenethylamine 55-81-2, 4-Methoxyphenethylamine 57-14-7, N,N-Dimethyl hydrazine 60-34-4 64-04-0, Phenethylamine 100-63-0, Phenyl hydrazine 107-10-8, Propylamine, reactions 108-98-5, Thiophenol, reactions 156-41-2, 4-Chlorophenethylamine 459-46-1, 4-Fluorobenzyl bromide 459-73-4, Glycine ethyl ester 530-50-7, N,N-Diphenyl hydrazine 563-41-7, Semicarbazide hydrochlo-ride 588-05-6, 3-Hydroxyphenethylamine 1070-89-9, Sodium bis(trimethylsilyl)amine 1758-46-9, 2-Phenoxyethylamine 2038-57-5, 3-Phenylpropylamine 2039-67-0, 3-Methoxyphenethylamine 2045-79-6, 2-Methoxyphenethylamine 3320-86-3, 2-Nitrophenylisocyanate 4319-49-7, N-Amino morpholine 4846-21-3, O-Phenylhydroxylamine 4930-98-7, 2-Hydrazinopyridine 5332-24-1, 3-Bromoquinoline 5832-78-0, 4-(Propylamino)quinoline 6163-58-2 6928-85-4, 1-Amino-4-methylpiperazine 7524-50-7, L-Phenylalanine methyl ester hydrochloride 13078-79-0, 3-Chlorophenethylamine 13078-80-3, 2-Chlorophenethylamine 13214-66-9, 4-Phenylbutylamine 13258-63-4, 4-Pyridineethanamine 13442-05-2 31938-11-1, O-Tritylhydroxylamine 49617-83-6, 3-Iodobenzyl bromide 152330-60-4, 3-(Propylamino)quinoline 224304-96-5 271784-02-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of substituted erythromycins as antibacterial agents)
IT 198558-03-1P 198558-04-2P 198558-05-3P 198558-07-5P 198558-08-6P 198558-09-7P 198558-10-0P 198558-12-2P 198558-13-3P 198558-14-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted erythromycins as antibacterial agents)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:68479 HCAPLUS
DOCUMENT NUMBER: 132:122934
TITLE: Preparation of glycopeptide antibiotics and their combinatorial libraries
INVENTOR(S): Kahne, Daniel; Kerns, Robert; Fukuzawa, Seketsu; Ge, Min; Thompson, Christopher
PATENT ASSIGNEE(S): Princeton University, USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004044	A1	20000127	WO 1999-US15845	19990714

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2337103 AA 20000127 CA 1999-2337103 19990714
AU 9949916 A1 20000207 AU 1999-49916 19990714
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EP 1179011 A1 20020213 EP 2000-936050 20000519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: US 1998-150690P P 19980714
US 1999-134839P P 19990519
WO 1999-US15845 W 19990714
WO 2000-US13679 W 20000519

OTHER SOURCE(S): CASREACT 132:122934

AB Glycopeptides A1-A2-A3-A4-A5-A6-A7 [A1 comprises a modified or unmodified .alpha.-amino acid residue, alkyl, aryl, aralkyl, alkanoyl, aroyl, aralkanoyl, heterocyclyl, heterocyclylcarbonyl, heterocyclylalkyl, heterocyclylalkylcarbonyl, alkylsulfonyl, arylsulfonyl, guanidinyl, carbamoyl, or xanthyl; each of A2 to A7 comprises a modified or unmodified .alpha.-amino acid residue, where (i) A1 is linked to an amino group on A2, (ii) each of A2, A4 and A6 bears an arom. side chain which is cross-linked by two or more covalent bonds, and (iii) A7 bears a terminal carboxyl, ester, amide, or N-substituted amide group; one or more of A1 to A7 is linked via a glycosidic bond to one or more glycosidic groups each having one or more sugar residues, at least one of the sugar residues bearing one or more substituents of the formula YXR, N+R1:CR2R3, N:PR1R2R3, N+R1R2R3 or P+R1R2R3 in which Y is a single bond, O, NR1 or S; X is O, NR1, S, SO2, C(O)O, C(O)S, C(S)O, C(S)S, C(NR1)O, C(O)NR1, or halo (in which case Y and R are absent); R, R1, R2, and R3 are H, alkyl, aryl, aralkyl, alkanoyl, aroyl, aralkanoyl, heterocyclyl, heterocyclylcarbonyl, heterocyclylalkyl, heterocyclylalkylcarbonyl, alkylsulfonyl, or arylsulfonyl] and their pharmaceutically acceptable salts or a chem. library comprising a plurality of the glycopeptides of the invention were prepd. for use as antibiotics. Thus, glucose-C6 modified vancomycin derivs. were prepd. and assayed for antimicrobial activity (min. inhibitory concns. are tabulated).

IT **256350-02-4P 256350-30-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of glycopeptide **antibiotics** and their combinatorial libraries)

RN 256350-02-4 HCAPLUS

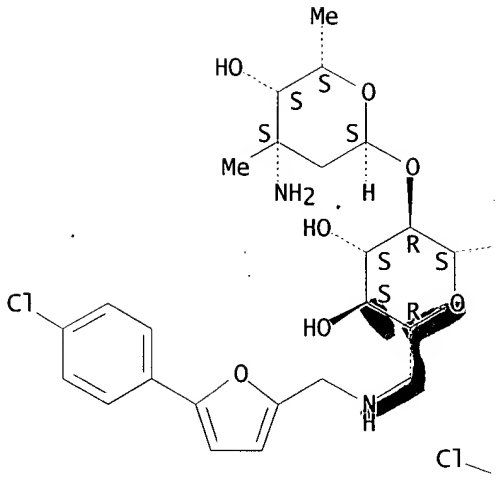
CN Vancomycin, 6'-[[[5-(4-chlorophenyl)-2-furanyl]methyl]amino]-6'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

OH

PAGE 2-A



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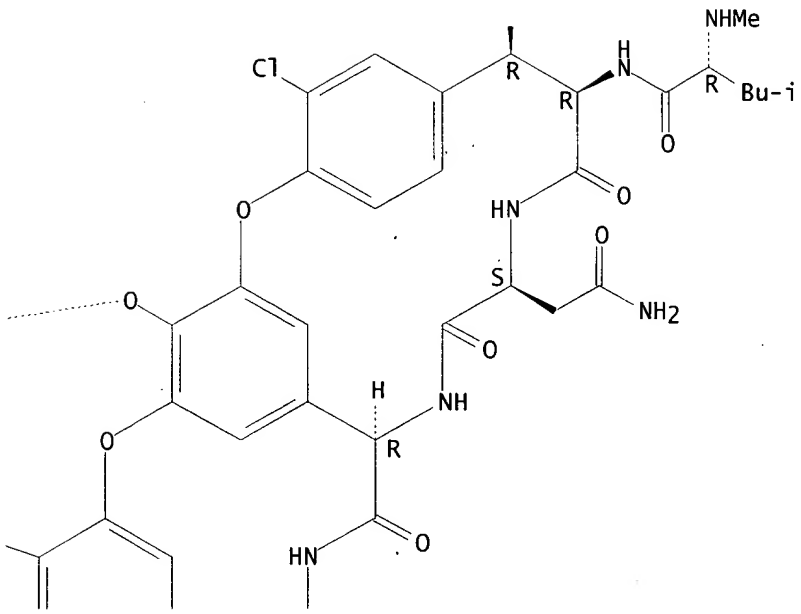

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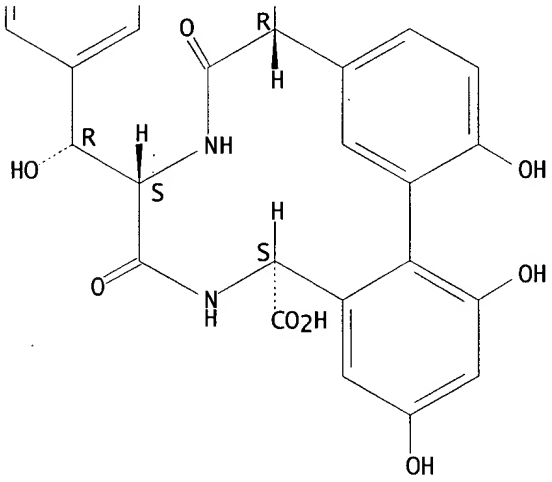


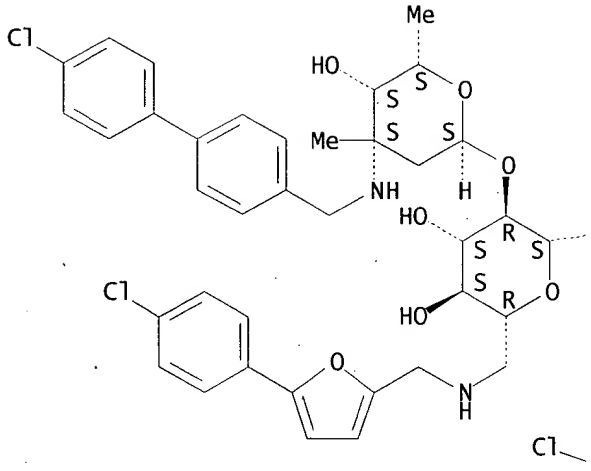
RN 256350-30-8 HCAPLUS
CN Vancomycin, N3''-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-6'-[[[5-(4-chlorophenyl)-2-furanyl]methyl]amino]-6'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

OH

PAGE 2-A



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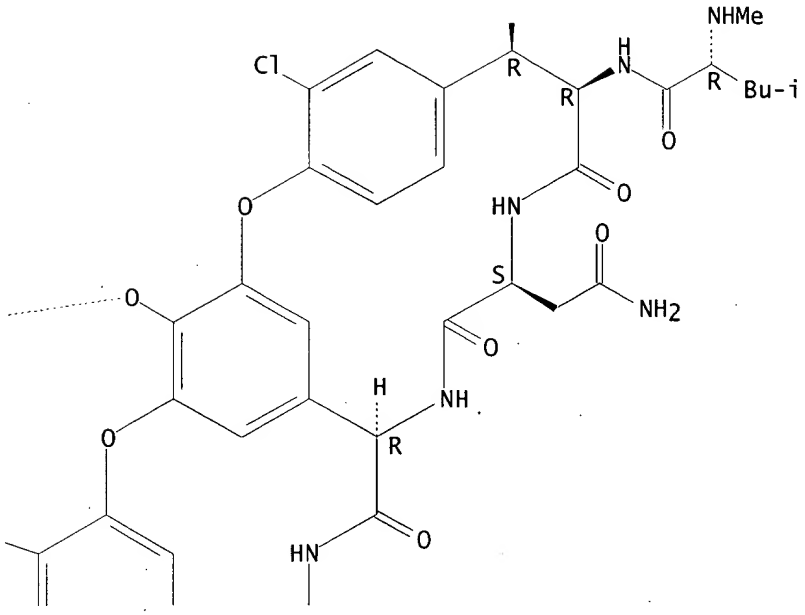

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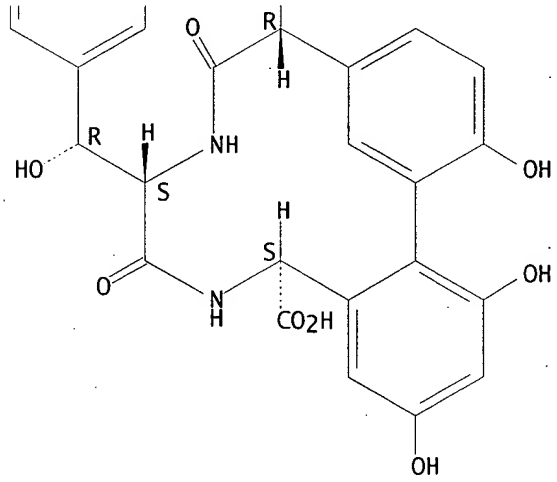

IT **256349-92-5P 256350-29-5P 256351-36-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of glycopeptide **antibiotics** and their combinatorial libraries)

RN 256349-92-5 HCAPLUS

CN Vancomycin, 6'-[[[5-(4-chlorophenyl)-2-furanyl]methyl]amino]-6'-deoxy-N3'',56-bis[(2-propenyloxy)carbonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

O
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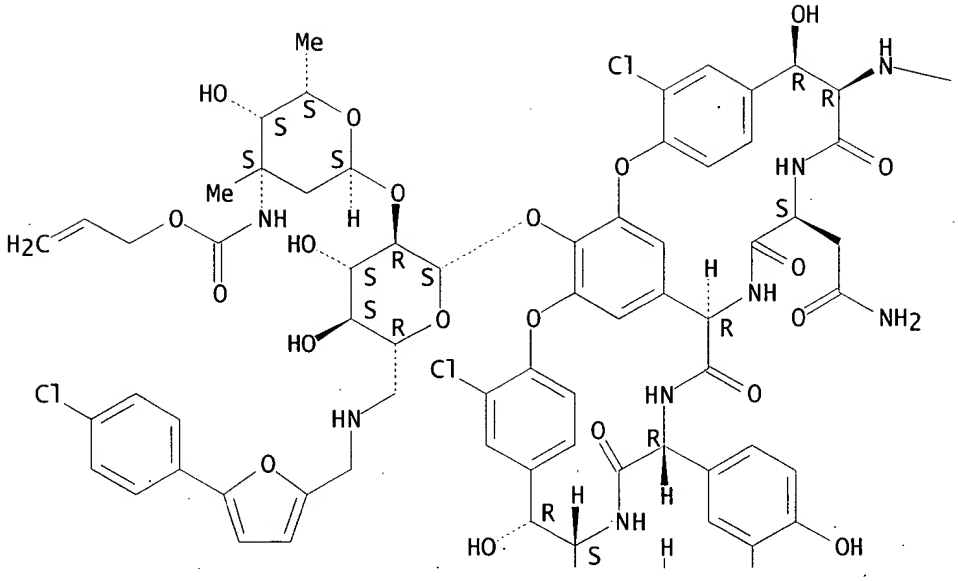
PAGE 2-A



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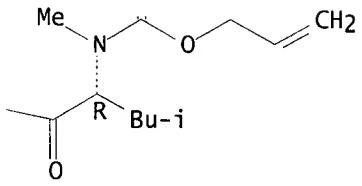

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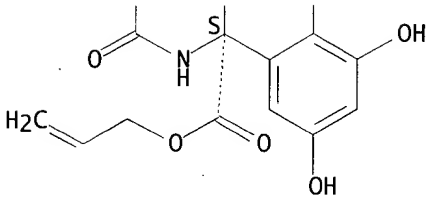


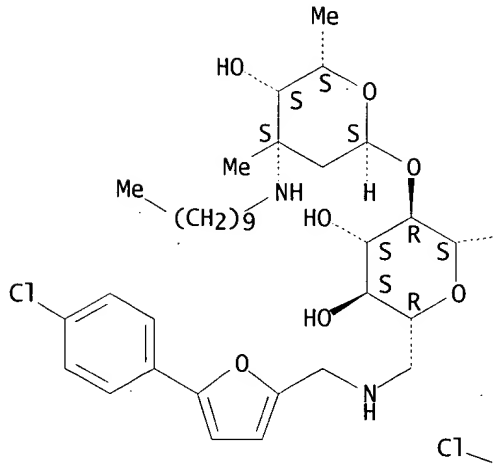
RN 256350-29-5 HCAPLUS
CN Vancomycin, 6'-[[[5-(4-chlorophenyl)-2-furanyl]methyl]amino]-N3''-decyl-6'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

OH

PAGE 2-A



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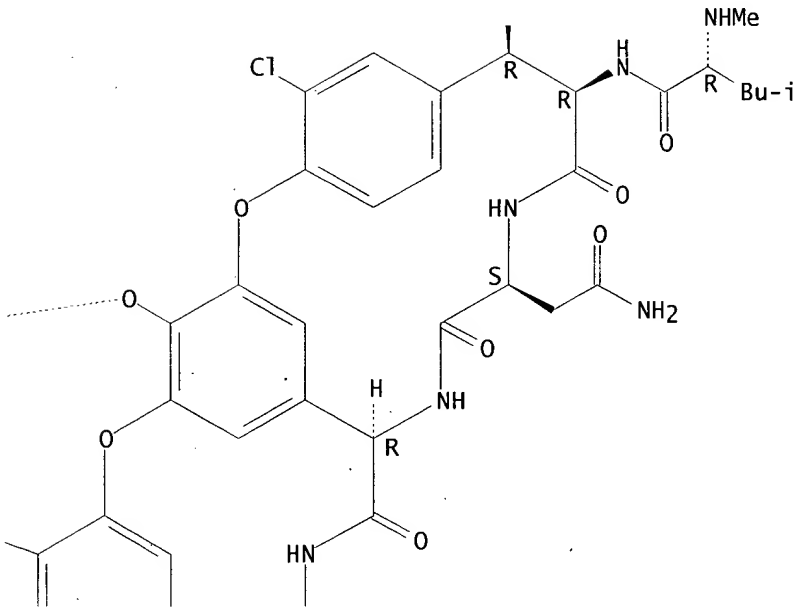

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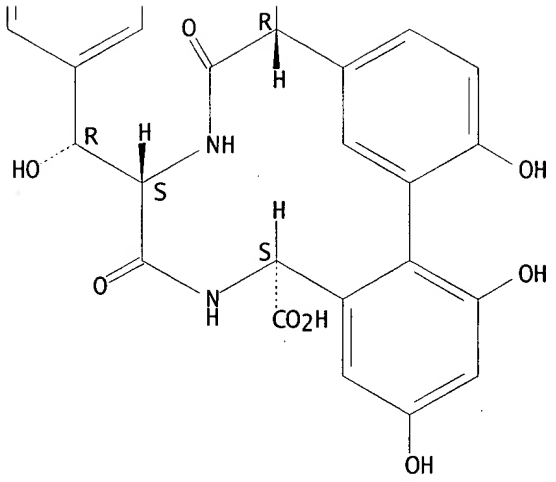
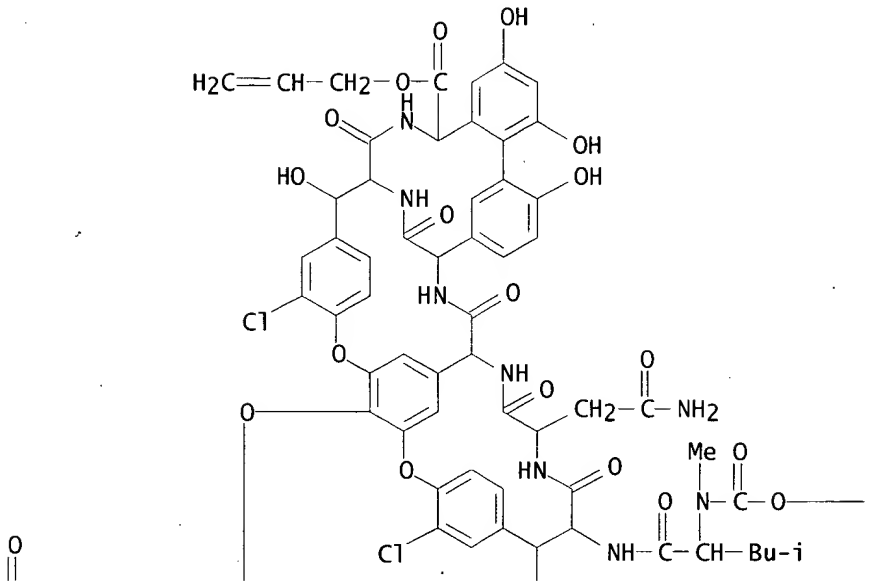


RN 256351-36-7 HCAPLUS
CN Vancomycin, 6',6''''-[(1-oxo-1,2-ethanediyl)diimino]bis[6'-deoxy-N3'',56-bis[(2-propenyloxy)carbonyl]-, di-2-propenyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B



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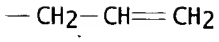

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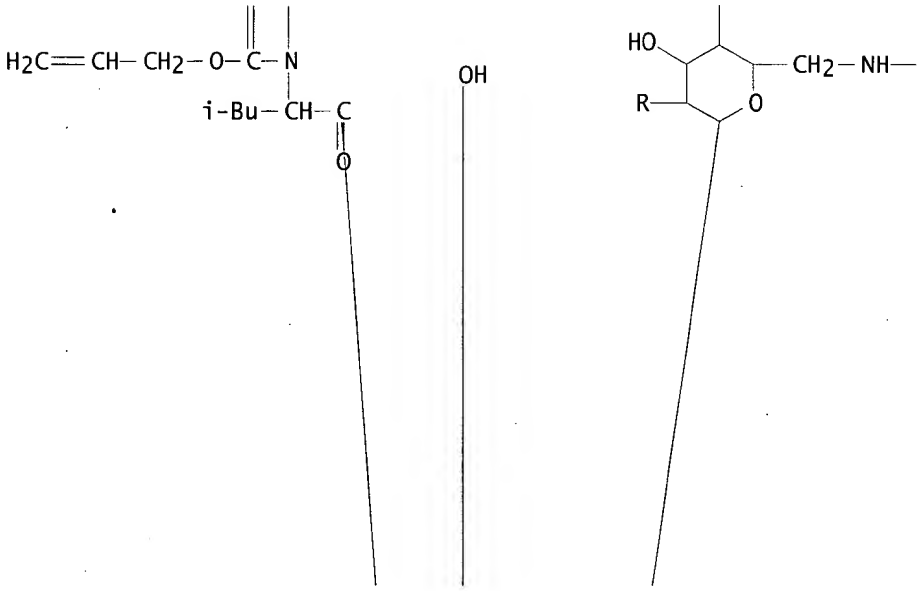

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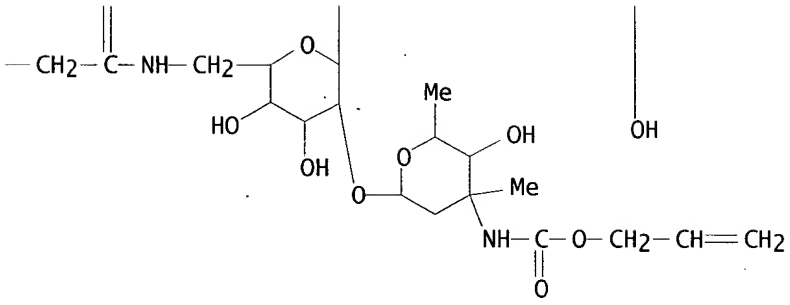

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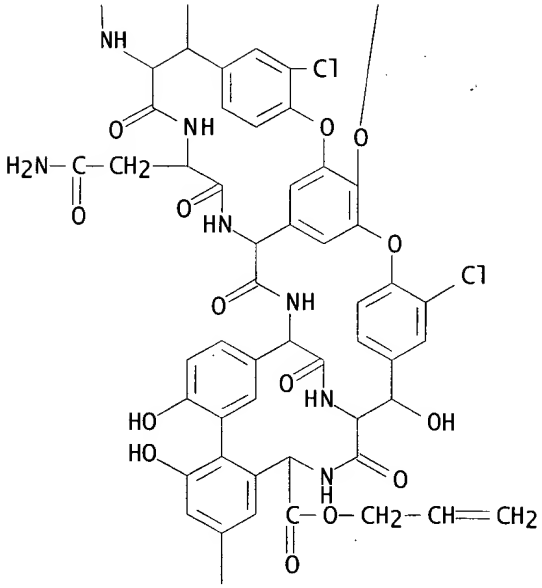

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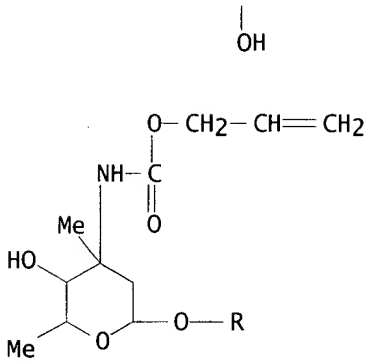

IC ICM C07K007-50
ICS C07K009-00

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 10, 33

ST combinatorial library glycopeptide prepn antibiotic; vancomycin analog prepn antibiotic

IT Antibiotics
Combinatorial library
(prepn. of glycopeptide antibiotics and their combinatorial libraries)

IT Glycopeptides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of glycopeptide antibiotics and their combinatorial libraries)

IT 256350-01-3P **256350-02-4P** 256350-03-5P 256350-04-6P
256350-05-7P 256350-06-8P 256350-07-9P 256350-08-0P 256350-09-1P
256350-10-4P 256350-12-6P 256350-15-9P 256350-16-0P 256350-18-2P

256350-22-8P 256350-24-0P 256350-26-2P 256350-27-3P
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256350-43-3P 256350-45-5P 256350-47-7P 256350-49-9P 256350-57-9P
256350-59-1P 256350-61-5P 256350-62-6P 256350-63-7P 256350-64-8P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of glycopeptide **antibiotics** and their combinatorial libraries)

IT 256350-17-1P 256350-21-7P 256350-25-1P 256350-50-2P 256350-68-2P
256350-74-0P 256350-84-2P 256350-86-4P 256350-88-6P 256350-90-0P
256351-37-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of glycopeptide antibiotics and their combinatorial libraries)

IT 56-04-2, 4-Hydroxy-2-mercapto-6-methylpyrimidine 66-84-2, Glucosamine hydrochloride 75-33-2, 2-Propanethiol 91-10-1, 2,6-Dimethoxyphenol 106-53-6, 4-Bromothiophenol 108-98-5, Thiophenol, reactions 112-31-2, Decanal 112-64-1, Myristoyl chloride 298-12-4, Glyoxylic acid 333-49-3, 4-Amino-2-mercaptopyrimidine 367-51-1, Sodium mercaptoacetate 609-14-3, Ethyl 2-methyl acetoacetate 609-67-6, 2-Iodobenzoyl chloride 615-76-9, 6-Aza-2-thiothymine 624-83-9, Methyl isocyanate 635-93-8, 5-Chlorosalicylaldehyde 773-64-8, Mesitylenesulfonyl chloride 824-94-2, p-Methoxybenzyl chloride 1004-76-8 1404-93-9, Vancomycin hydrochloride 1750-12-5, 4-Amino-3-hydrazino-5-mercapto-1,2,4-triazole 2037-31-2, 3-Chlorothiophenol 2349-67-9, 5-Amino-1,3,4-thiadiazole-2-thiol 3004-42-0, 5-Phenyl-1,3,4-oxadiazole-2-thiol 5271-67-0, 2-Thiophenecarbonyl chloride 5331-91-9, 5-Chloro-2-mercaptobenzothiazole 6670-13-9 13183-79-4, 5-Mercapto-1-methyltetrazole 14468-88-3 16691-43-3 25508-20-7 34035-03-5, 5-(4-Chlorophenyl)furfural 37052-78-1, 5-Methoxy-2-benzimidazolethiol 52431-78-4, 1-(4-Hydroxyphenyl)-1h-tetrazole-5-thiol 54745-92-5, 2-Quinoxaloyl chloride 61494-52-8, 1-Pyrenesulfonyl chloride 71080-12-1 80565-30-6, 4-(4-Chlorophenyl)benzaldehyde 86060-85-7 92418-39-8 100432-86-8 256351-06-1 256351-08-3 256351-40-3 256351-41-4 256351-47-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of glycopeptide antibiotics and their combinatorial libraries)

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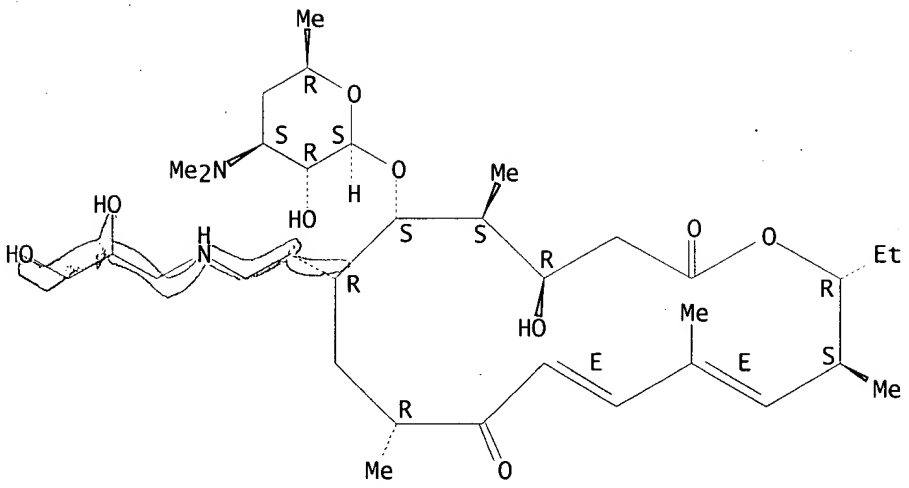
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of glycopeptide **antibiotics** and their combinatorial libraries)
IT 129715-13-5P 255047-51-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of glycopeptide antibiotics and their combinatorial libraries)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:186798 HCAPLUS
DOCUMENT NUMBER: 126:180802
TITLE: Repromicin Derivatives with Potent Antibacterial Activity against Pasteurella multocida
AUTHOR(S): McFarland, James W.; Hecker, Scott J.; Jaynes, Burton H.; Jefson, Martin R.; Lundy, Kristin M.; Vu, Chi B.; Glazer, Edward A.; Froshauer, Susan A.; Hayashi, Shigeru F.; Kamicker, Barbara J.; Reese, Catherine P.; Olson, Julie A.
CORPORATE SOURCE: Central Research Division, Pfizer Inc., Groton, CT, 06340, USA
SOURCE: Journal of Medicinal Chemistry (1997), 40(6), 1041-1045
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Reductive amination of repromicin with polyfunctional amines has led to new macrolide antibacterial agents, some of which are highly potent against the Gram-neg. pathogen Pasteurella multocida both in vitro and in a mouse infection model. A key element in this discovery was the recognition that among certain known macrolides increasing lipophilicity results in diminished in vivo activity. One repromicin deriv., 20-{N-[3-(dimethylamino)propyl]-N-L-alanylamino}-20-deoxorepromicin, was selected for advanced evaluation. At 5 mg/kg, a single s.c. dose was found to control induced pasteurellosis in swine and induced respiratory disease in cattle.
IT **187385-66-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and structure activity relations of repromicin derivs. with potent **antibacterial** activity against Pasteurella multocida)
RN 187385-66-6 HCAPLUS
CN Tylonolide, 20-deoxo-23-deoxy-20-[(2,3-dihydroxypropyl)amino]-5-O-[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



CC 1-3 (Pharmacology)
Section cross-reference(s): 10

ST repromicin deriv prepn antibacterial Pasteurella; structure activity antibacterial repromicin deriv

IT Structure-activity relationship
(bactericidal; prepn. and structure activity relations of repromicin derivs. with potent antibacterial activity against Pasteurella multocida)

IT Respiratory tract
(disease; prepn. and structure activity relations of repromicin derivs. with potent antibacterial activity against Pasteurella multocida)

IT Antibiotics
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(macrolide; prepn. and structure activity relations of repromicin derivs. with potent antibacterial activity against Pasteurella multocida)

IT Antibacterial agents
Cattle
Lipophilicity
Pasteurella multocida
Swine
(prepn. and structure activity relations of repromicin derivs. with potent antibacterial activity against Pasteurella multocida)

IT 160996-23-6P 160996-24-7P 160996-32-7P 160996-35-0P 160996-36-1P
160996-45-2P 160996-59-8P 160996-68-9P 160996-72-5P 160996-75-8P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and structure activity relations of repromicin derivs. with potent **antibacterial** activity against Pasteurella multocida)

L29 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:97781 HCAPLUS
DOCUMENT NUMBER: 126:212368
TITLE: Preparation of amidine disaccharide lipid-A analogs as antitumor and antiviral and antibacterial agents
INVENTOR(S): Kamireddy, Balreddy; Darsley, Michael J.; Simpson, David M.; Massey, Richard J.
PATENT ASSIGNEE(S): Igen, Inc., USA
SOURCE: U.S., 92 pp., Cont.-in-part of U.S. Ser. No. 761,868.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 17
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5597573	A	19970128	US 1995-405438	19950314
ZA 9302028	A	19931108	ZA 1993-2028	19930322
US 5593969	A	19970114	US 1993-123590	19930917
US 2002045231	A1	20020418	US 2001-817502	20010326

PRIORITY APPLN. INFO.:
US 1991-761868 A2 19910903
US 1992-861362 B2 19920327
US 1992-871229 B2 19920417
US 1993-37261 B2 19930326
US 1988-190271 A2 19880504
US 1991-740501 A2 19910805
US 1991-773042 A2 19911010
US 1993-52490 A2 19930423
US 1999-241876 A1 19990202

OTHER SOURCE(S): MARPAT 126:212368
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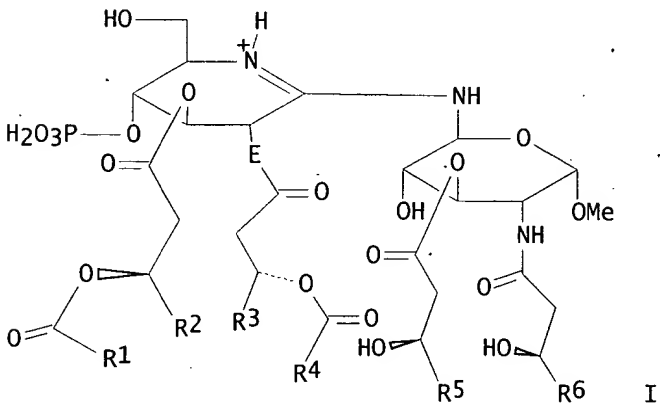


I

AB Title amidine lipid A analogs I [R1-R6 = (un)substituted alkyl, alkene, alkyne; E = O, NH], were prepd. as immunogen, antitumor , antiviral, and antibacterial agents. Thus, I (R1-R6 = C11H23; E = O) was prepd. as bactericide, virucide, and antitumor agent. Structure activity relationship, antitumor, antiviral, and antibacterial activities of title compds are reported (no specific data).

IT **187726-75-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

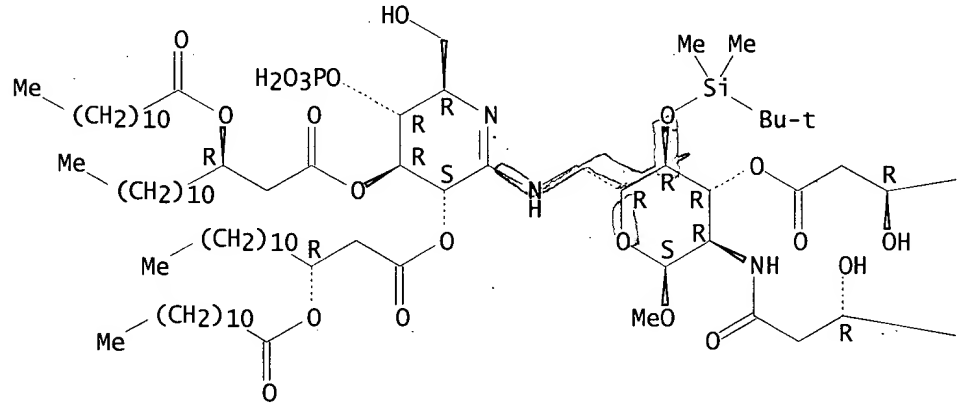
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amidine disaccharide lipid-A analogs as antitumor and antiviral and **antibacterial** agents)

RN 187726-75-6 HCAPLUS

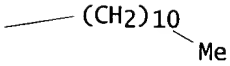
CN .alpha.-D-Glucopyranoside, methyl 2,6-dideoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-2-[[(3R)-3-hydroxy-1-oxotetradecyl]amino]-6-[[(3S,4R,5R,6R)-3,4,5,6-tetrahydro-6-(hydroxymethyl)-3,4-bis[[(3R)-1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]amino]-5-(phosphonooxy)-2-pyridinyl]amino]-, 3-[(3R)-3-hydroxytetradecanoate] (9CI) (CA INDEX NAME)

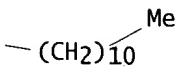
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





IC ICM A61K039-02
ICS A61K031-70; C07H017-02

NCL 424234100

CC 33-7 (Carbohydrates)
Section cross-reference(s): 1, 10, 15, 63

ST monosaccharide lipid amidine prepn antibacterial; immunization amidine oligosaccharide prepn antitumor; structure activity amidine oligosaccharide prepn antitumor; antibacterial amidine oligosaccharide lipid prepn; amidine oligosaccharide lipid prepn antitumor antiviral

IT Monosaccharides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amidine lipid-A analogs; prepn. of amidine disaccharide lipid-A analogs as antitumor and antiviral and antibacterial agents)

IT Disaccharides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amidine; prepn. of amidine disaccharide lipid-A analogs as antitumor and antiviral and antibacterial agents)

IT Antitumor agents
Antiviral agents
Immunization
Structure-activity relationship
(prepn. of amidine disaccharide lipid-A analogs as antitumor and antiviral and antibacterial agents)

IT 187726-72-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of amidine disaccharide lipid-A analogs as antitumor and antiviral and antibacterial agents)

IT 150711-97-0P 155211-85-1P 155211-86-2P 187726-67-6P 187726-69-8P
187726-75-6P 187886-60-8P 187886-65-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amidine disaccharide lipid-A analogs as antitumor and antiviral and **antibacterial** agents)

IT 66-84-2, D-Glucosamine hydrochloride 111-82-0, Methyl Laurate
112-54-9, Lauryl aldehyde 143-07-7, Dodecanoic acid, reactions
143-15-7, Laurylbromide 756-79-6 2873-29-2, Tri-O-acetyl-D-glucal
17176-77-1 187726-70-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of amidine disaccharide lipid-A analogs as antitumor and antiviral and antibacterial agents)

IT 4704-15-8P 16684-31-4P 22104-73-0P 28715-21-1P 59739-24-1P
61348-62-7P 75039-86-0P 87357-67-3P 88708-59-2P 91681-56-0P
99049-65-7P 99049-68-0P 105678-96-4P 120878-43-5P 139623-13-5P
139623-16-8P 147353-85-3P 150711-99-2P 150712-00-8P 150712-01-9P
150712-02-0P 150712-03-1P 150712-04-2P 150712-05-3P 150712-06-4P
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155211-66-8P 155211-67-9P 155211-68-0P 155211-69-1P 155211-70-4P
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155211-80-6P 155211-81-7P 155211-82-8P 155211-83-9P 155211-84-0P
155211-87-3P 155211-88-4P 155211-89-5P 155211-90-8P 155212-00-3P
187726-63-2P 187726-64-3P 187726-65-4P 187726-66-5P 187726-68-7P
187726-71-2P 187726-74-5P 187886-58-4P 187886-59-5P 187886-61-9P
187886-62-0P 187886-63-1P 187886-64-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amidine disaccharide lipid-A analogs as antitumor and antiviral and antibacterial agents)

IT 99049-66-8P 155211-98-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of amidine disaccharide lipid-A analogs as antitumor and antiviral and antibacterial agents)

L29 ANSWER 8 OF 11 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:524369 HCAPLUS
DOCUMENT NUMBER: 125:248316
TITLE: Preparation of derivatives of rosaramicin, repromicin, 5-mycaminosyltylonide, desmycosin, lactenocin, O-demethyllactenocin, cirramycin A1, and 23-deoxymycaminosyltylonide as antibacterials and antimycoplasmics.
INVENTOR(S): Hecker, Scott J.; Jefson, Martin R.; McFarland, James W.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 996,243, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5545624	A	19960813	US 1995-362496	19950111
WO 9402496	A1	19940203	WO 1993-US5210	19930607
W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, SK, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9305077	A	19950116	ZA 1993-5077	19930714
ES 2076107	B1	19960401	ES 1993-1982	19930920
ES 2076107	A1	19951016		

PRIORITY APPLN. INFO.: US 1992-914242 B2 19920715
US 1992-996243 B2 19921223
WO 1993-US5210 W 19930607
OTHER SOURCE(S): MARPAT 125:248316
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

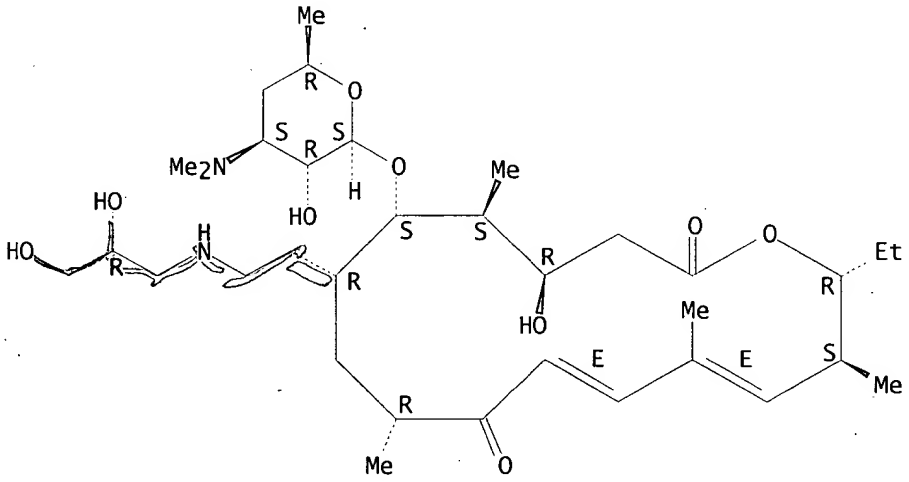
AB Title compds. [I, II; X1 = H, CN; Z = H, OH; Q = H, OH, F, Cl, Br, iodo, OX2, SX2, azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, 3,3-dimethylpiperidin-1-yl, hexahydroazepin-1-yl, octahydroazocin-1-yl, octahydroindol-1-yl, 1,3,3a,4,7,7a-hexahydroisoindol-2-yl, decahydroquinol-1-yl, decahydroisoquinol-2-yl, 1,2,3,4-tetrahydroisoquinol-2-yl, 1,2,3,6-tetrahydropyridin-1-yl, 4-alkylpiperazin-1-yl, morpholino, 2,6-dimethylmorpholin-4-yl, thiomorpholino, amino, Q1, Q2, etc.; X2 = (substituted) alkyl, cycloalkyl, Ph, PhCH2, pyridinyl, quinolinyl, isoquinolinyl, quinazolinyl, pyrimidinyl, imidazolyl, oxazolyl, thiazolyl, benzimidazolyl, indolyl, benzoxazolyl, benzthiazolyl; R1 = H, alkyl, aminoalkyl, hydroxyalkyl, N-alkylaminoalkyl, PhCH2, alkoxyalkyl, N,N-dialkylaminoalkyl, morpholinoalkyl, piperidinoalkyl, pyrrolidinoalkyl, azetidinylalkyl, aminoacyl, dipeptidyl, etc.; R2 = Q3, Q4, (substituted) alkyl, cycloalkyl, etc.; m = 0, 1], were prepd. as antibiotics (no data). Thus, a mixt. of repromicin and azetidine in EtOAc at 70.degree. was treated dropwise with HCO2H; the temp. was reduced to 65.degree. and the mixt. was stirred 5 h to give 63% 20-(azetidin-1-yl)-20-deoxorepromicin.
IT **181636-18-0P 181786-77-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of derivs. of rosaramicin, repromicin, 5-mycaminosyltylonide, desmycosin, lactenocin, O-demethyllactenocin, cirramycin A1, and 23-deoxymycaminosyltylonide as **antibacterials** and antimycoplasmics)

RN 181636-18-0 HCAPLUS

CN Tylonolide, 20-deoxo-23-deoxy-20-[(2,3-dihydroxypropyl)amino]-5-O-[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]-, [20(R)]- (9CI) (CA INDEX NAME)

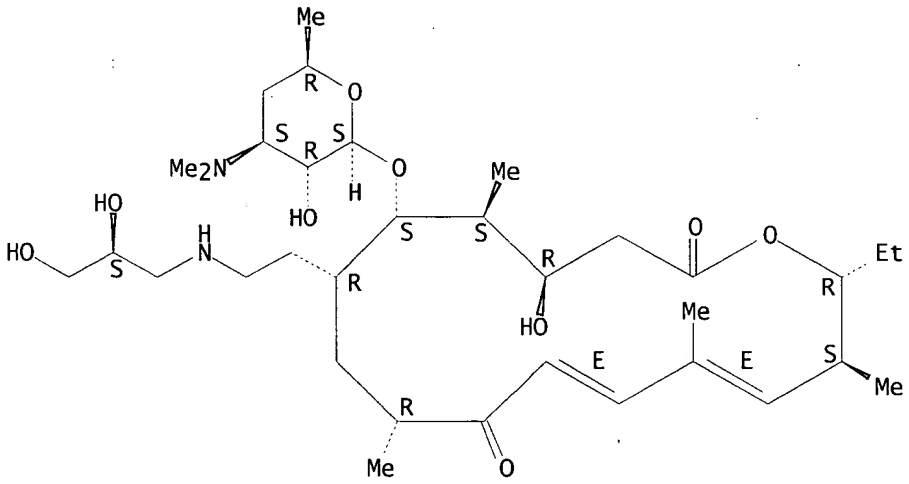
Absolute stereochemistry.
Double bond geometry as shown.



RN 181786-77-6 HCAPLUS

CN Tylonolide, 20-deoxo-23-deoxy-20-[(2,3-dihydroxypropyl)amino]-5-O-[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl]-, [20(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IC ICM A61K031-70
ICS C07M017-08

NCL 514030000
CC 33-3 (Carbohydrates)
ST repromicin deriv prepn antibacterial antimycoplasmic; rosaramicin deriv prepn antibacterial antimycoplasmic; mycaminosyltylonide deriv prepn antibacterial antimycoplasmic; cirramycin deriv prepn antibacterial antimycoplasmic; deoxymycaminosyltylonide deriv prepn antibacterial antimycoplasmic; desmycosin deriv prepn antibacterial antimycoplasmic; demethyllactenocin deriv prepn antibacterial antimycoplasmic; lactenocin deriv prepn antibacterial antimycoplasmic; antibiotic macrocyclic lactone prepn; antibacterial macrocyclic lactone prepn; antimycoplasmic macrocyclic lactone prepn
IT Antibiotics
(prepn. of derivs. of rosaramicin, repromicin, 5-mycaminosyltylonide, desmycosin, lactenocin, O-demethyllactenocin, cirramycin A1, and 23-deoxymycaminosyltylonide as antibacterials and antimycoplasmics)
IT 160996-56-5P 160996-87-2P 177856-76-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of derivs. of rosaramicin, repromicin, 5-mycaminosyltylonide, desmycosin, lactenocin, O-demethyllactenocin, cirramycin A1, and 23-deoxymycaminosyltylonide as antibacterials and antimycoplasmics)
IT 160996-21-4P 160996-23-6P 160996-24-7P 160996-31-6P 160996-33-8P
160996-34-9P 160996-35-0P 160996-36-1P 160996-38-3P 160996-39-4P
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181636-09-9P 181636-10-2P 181636-11-3P 181636-12-4P 181636-13-5P
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181636-51-1P 181636-53-3P 181636-56-6P 181636-58-8P 181636-60-2P
181786-65-2P 181786-66-3P 181786-67-4P 181786-68-5P 181786-69-6P
181786-70-9P 181786-71-0P **181786-77-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of derivs. of rosaramicin, repromicin, 5-mycaminosyltylonide, desmycosin, lactenocin, O-demethyllactenocin, cirramycin A1, and 23-deoxymycaminosyltylonide as **antibacterials** and antimycoplasmics)

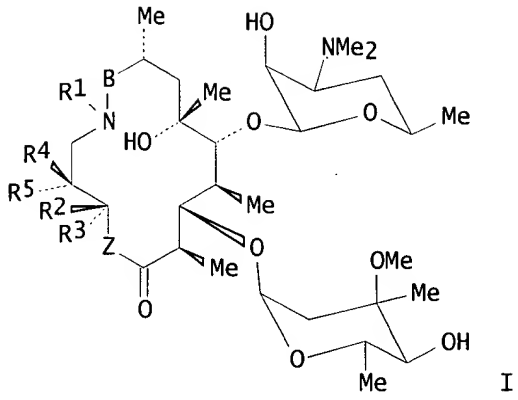
IT 109-55-7, 3-Dimethylaminopropylamine 123-00-2, 3-Morpholinopropylamine 124-40-3, Dimethylamine, reactions 141-43-5, 2-Aminoethanol, reactions 283-24-9, 3-Azabicyclo[3.2.2]nonane 406-34-8, 2-Fluoroethylamine 503-29-7, Azetidine 3262-72-4 3529-10-0 4530-20-5 4543-96-8, N,N,N'-Trimethyl-1,3-propanediamine 7677-24-9, Trimethylsilyl cyanide 11032-98-7, Desmycosin 15761-38-3 17791-52-5 33670-32-5, Methoxymethyltriphenylphosphonium bromide 35834-26-5, Rosaramicin 50507-46-5, Deepoxycirramycin A1 56689-42-0, Repromicin 80240-61-5, 4'-Deoxymycaminosyl tylonolide 81048-27-3 160998-13-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of derivs. of rosaramicin, repromicin, 5-mycaminosyltylonide, desmycosin, lactenocin, O-demethyllactenocin, cirramycin A1, and 23-deoxymycaminosyltylonide as antibacterials and antimycoplasmics)

IT 160998-12-9P 160998-14-1P 160998-15-2P 181636-80-6P 181636-87-3P 181636-96-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of derivs. of rosaramicin, repromicin, 5-mycaminosyltylonide, desmycosin, lactenocin, O-demethyllactenocin, cirramycin A1, and 23-deoxymycaminosyltylonide as antibacterials and antimycoplasmics)

L29 ANSWER 9 OF 11 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:522597 HCAPLUS
DOCUMENT NUMBER: 122:291441
TITLE: Preparation of azaerythromycin A derivatives as antibiotics
INVENTOR(S): Waddell, Sherman T.; Blizzard, Timothy A.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 174 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9415617	A1	19940721	WO 1994-US83	19940103
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5332807	A	19940726	US 1993-48048	19930414
AU 9460825	A1	19940815	AU 1994-60825	19940103
GB 2277088	A1	19941019	GB 1994-6812	19940406

PRIORITY APPLN. INFO.: US 1993-3076 19930111
US 1993-48048 19930414
WO 1994-US83 19940103
OTHER SOURCE(S): MARPAT 122:291441
GI



I

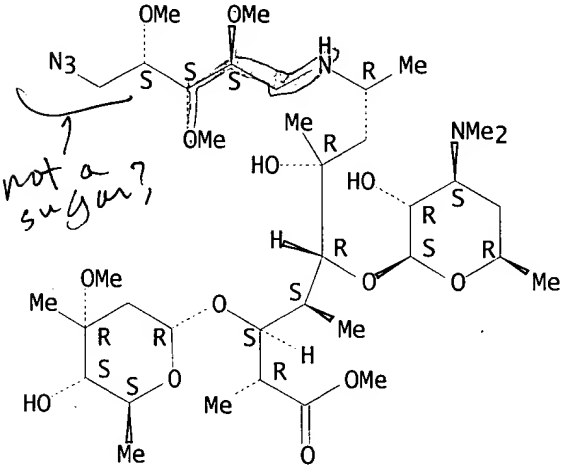
AB Title compds. [e.g., I; B = CEt, bond; R1 = H, (ar)alkyl, PhSO2, etc.; 1 of R2,R3 = H and the other = H, (cyclo)alkyl, aryl(alkyl), etc.; R4,R5 = H, (cyclo)alkyl, aryl(alkyl), alkoxy, etc.; Z = O or NR1] were prepd. as antibiotics (no data). Thus, 8a-aza-9,10,11,12,13,14,15-heptanor-8a-homoerythromycin A was N-alkylated by Me3CMe2SiOCH2CH2CHO and the product converted in 4 steps to I (B = bond, R1-R4 = H, Z = O).

IT **162737-86-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of azaerythromycin A derivs. as **antibiotics**)

RN 162737-86-2 HCAPLUS

CN D-erythro-L-ido-Nononic acid, O-2,6-dideoxy-3-C-methyl-3-O-methyl-.alpha.-L-ribo-hexopyranosyl-(1.fwdarw.3)-O-[3,4,6-trideoxy-3-(dimethylamino)-.beta.-D-xylo-hexopyranosyl-(1.fwdarw.5)]-8-[(1-azido-1,5-dideoxy-2,3,4-tri-O-methyl-L-arabinitol-5-yl)amino]-2,4,7,8,9-pentadeoxy-2,4-dimethyl-6-C-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



not a sugar?

IC ICM A61K031-70

ICS C07H017-08; C07G003-00
CC 33-3 (Carbohydrates)
Section cross-reference(s): 1
ST azaerythromycin A deriv prepn antibiotic
IT Antibiotics
(azaerythromycin A derivs.)
IT 114-07-8P, Erythromycin 152579-26-5P 152579-27-6P 152579-28-7P
152579-29-8P 152579-30-1P 152579-31-2P 152579-48-1P 162737-75-9P
162737-89-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azaerythromycin A derivs. as antibiotics)
IT 78-85-3, Methacrolein 98-09-9, Benzenesulfonyl chloride 100-39-0, Benzyl bromide 109-80-8, 1,3-Propanedithiol 612-05-5, Methyl .beta.-D-xylopyranoside 53562-86-0, Methyl (S)-3-hydroxybutanoate 73842-99-6 150780-43-1 162737-63-5 162737-74-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of azaerythromycin A derivs. as antibiotics)
IT 2876-85-9P 20787-15-9P 89922-82-7P 116839-04-4P 148555-62-8P
150804-50-5P 152579-52-7P 152579-54-9P 162737-59-9P 162737-60-2P
162737-61-3P 162737-62-4P 162737-64-6P 162737-65-7P 162737-66-8P
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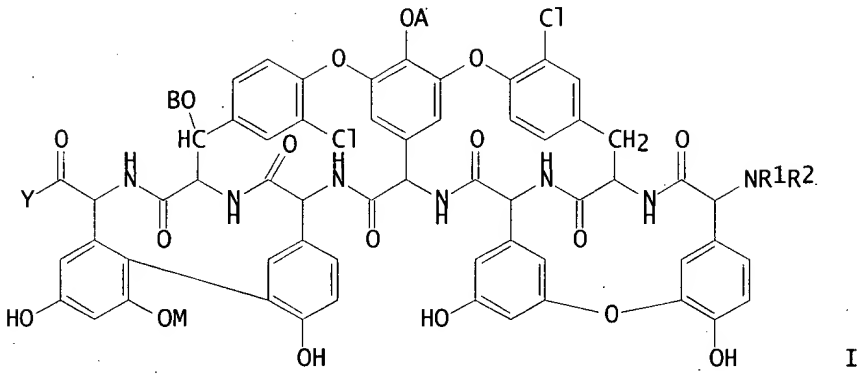
162737-72-6P 162737-73-7P 162737-76-0P 162737-77-1P 162737-78-2P
162737-79-3P 162737-80-6P 162737-81-7P 162737-82-8P 162737-83-9P
162737-84-0P 162737-85-1P **162737-86-2P** 162737-87-3P
162737-88-4P 162737-90-8P 162737-91-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of azaerythromycin A derivs. as **antibiotics**)

L29 ANSWER 10 OF 11 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:572650 HCAPLUS
DOCUMENT NUMBER: 113:172650
TITLE: Amides of N15-alkyl- and N15,N15-dialkylteicoplanin derivatives as antibacterials
INVENTOR(S): Malabarba, Adriano; Trani, Aldo; Kettenring, Juergen Kurt
PATENT ASSIGNEE(S): Gruppo Lepetit S.p.A., Italy
SOURCE: Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 352538	A2	19900131	EP 1989-112608	19890710
EP 352538	A3	19910529		
EP 352538	B1	19931201		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 97917	E	19931215	AT 1989-112608	19890710
ES 2059647	T3	19941116	ES 1989-112608	19890710
DK 8903620	A	19900127	DK 1989-3620	19890721
HU 50356	A2	19900129	HU 1989-3737	19890725
ZA 8905644	A	19900725	ZA 1989-5644	19890725
JP 02088596	A2	19900328	JP 1989-193797	19890726
PRIORITY APPLN. INFO.:			GB 1988-17736	19880726
			EP 1989-112608	19890710

OTHER SOURCE(S): MARPAT 113:172650
GI



I

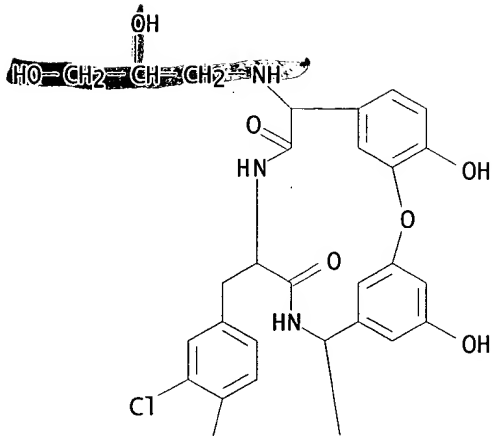
AB The title compds. [I; R1 = H, C1-3 alkyl; R2 = [CHR3(CR4R5)mX]p(CH2)nR6; R3, R4 = H, C1-6 alkyl; R5 = H, C1-6 alkyl, OH; R6 = H, C1-3 alkyl, CO2R7, OR7, SR7, NR7R8, halo; R7, R8 = H, C1-3 alkyl; m = 0, 1; n = 0-6; p = 1-6; X = O, NH, direct link; Y = (un)substituted NH2; A = H, N-(C9-12 acyl)-2-amino-2-deoxy-.beta.-D-glucopyranosyl; B = H, N-acetyl-2-amino-2-deoxy-.beta.-D-glucopyranosyl; M = H, .alpha.-D-mannopyranosyl; some restrictions are given], which show a good antibacterial activity mainly against gram-pos. bacteria and also allow an easy pharmaceutical formulation, are prepd. by (1) reaction of I (R1 = R2 = H) with C1-3 alkyl halide or X1[CHR3CCR4R5)mX]p(CH2)nR6 (X1 = halo) or (2) reductive alkylation of I (R1 = R2 = H) with a carbonyl compd. Thus, a soln. of I [R1 = R2 = H, A = N-(C10,11 acyl)-2-amino-2-deoxy-.beta.-D-glucopyranosyl, B = N-acetyl-2-amino-2-deoxy-.beta.-D-glucopyranosyl, M = .alpha.-D-mannopyranosyl, Y = NH(CH2)3NMe2], Et3N, and ClCH2OCH2CH2OMe in DMF was stirred 60 min at room temp. to give 44% I (R1 = H, R2 = CH2OCH2CH2OMe, A, B, M, Y same as defined above) (II). A total of 106 I were prepd. II in vitro exhibited MIC of 0.06-4.00 .mu.g/mL against 6 bacteria, e.g., Staphylococcus aureus.

IT **129556-06-5DP**, glycosyl N acylated **129556-26-9DP**, glycosyl N acylated **129556-47-4DP**, glycosyl N acylated **129556-49-6P 129556-56-5P 129589-80-6DP**, glycosyl N acylated **129589-94-2DP**, glycosyl N acylated **129589-95-3DP**, glycosyl N acylated **129617-00-1DP**, glycosyl N acylated
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as **antibacterial**)

RN 129556-06-5 HCAPLUS

CN Ristomycin A aglycone, 34-O-[2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-56-O-(2-amino-2-deoxy-.beta.-D-glucopyranosyl)-22,31-dichloro-38-de(methoxycarbonyl)-7-demethyl-19-deoxy-38-[[[3-(dibutylamino)propyl]amino]carbonyl]-N15-(2,3-dihydroxypropyl)-42-O-.alpha.-D-mannopyranosyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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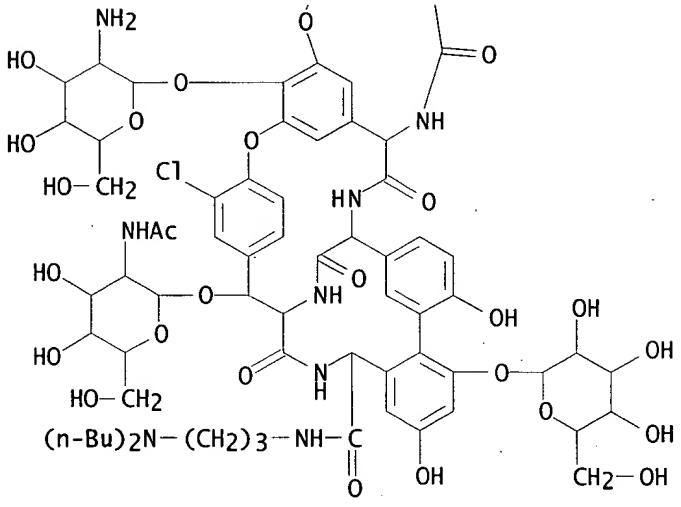

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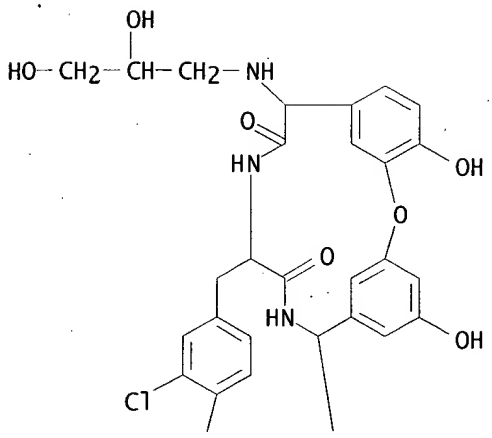
PAGE 1-A



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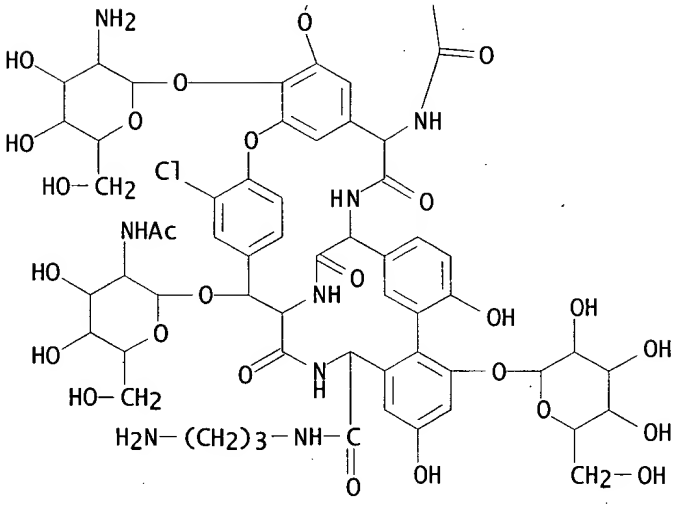

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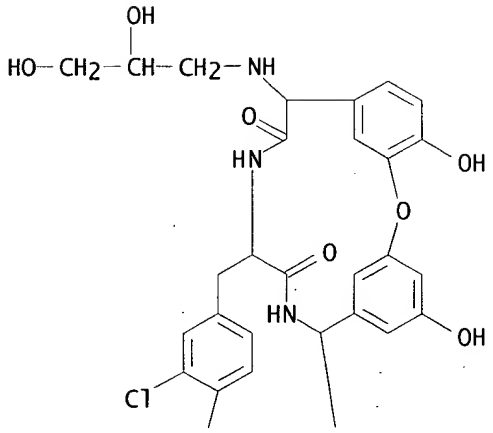
PAGE 1-A



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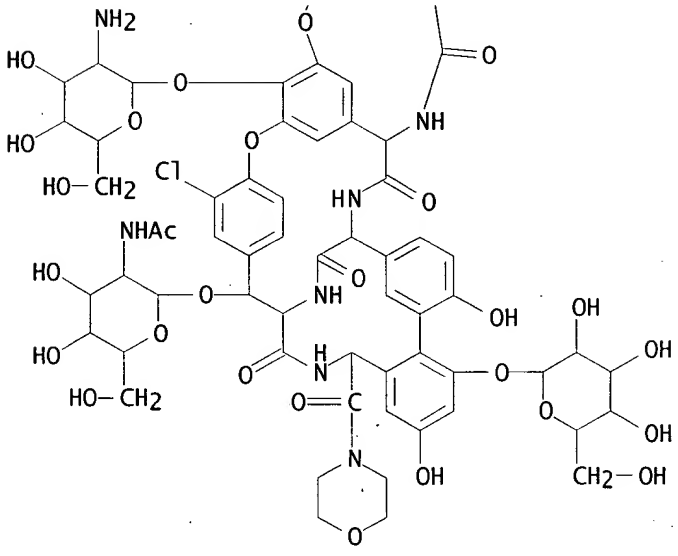

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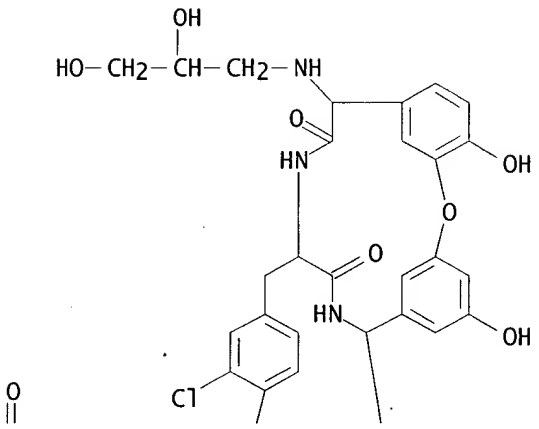
PAGE 1-A



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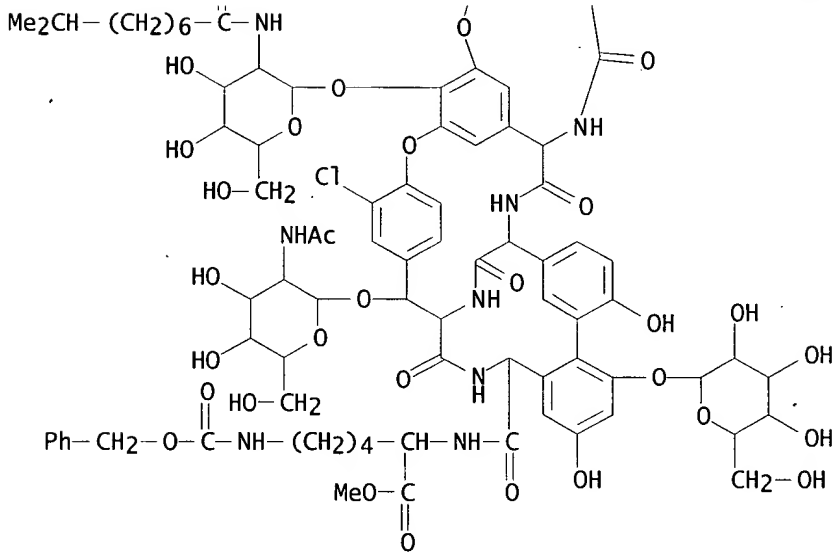
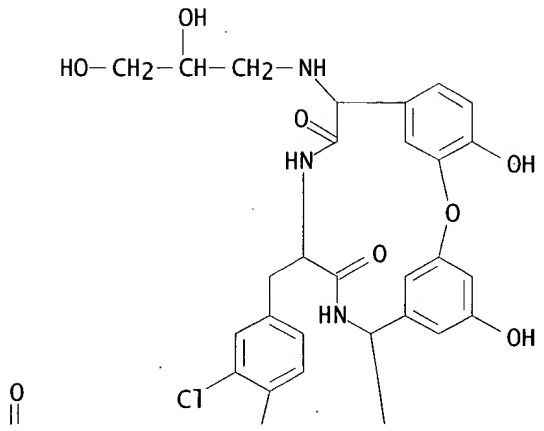


RN 129556-56-5 HCAPLUS
CN Ristomycin A aglycone, 34-O-[2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-38-[[[5-amino-1-(methoxycarbonyl)pentyl]amino]carbonyl]-22,31-dichloro-38-de(methoxycarbonyl)-7-demethyl-19-deoxy-56-O-[2-deoxy-2-[(8-methyl-1-oxononyl)amino]-.beta.-D-glucopyranosyl]-N15-(2,3-dihydroxypropyl)-42-O-.alpha.-D-mannopyranosyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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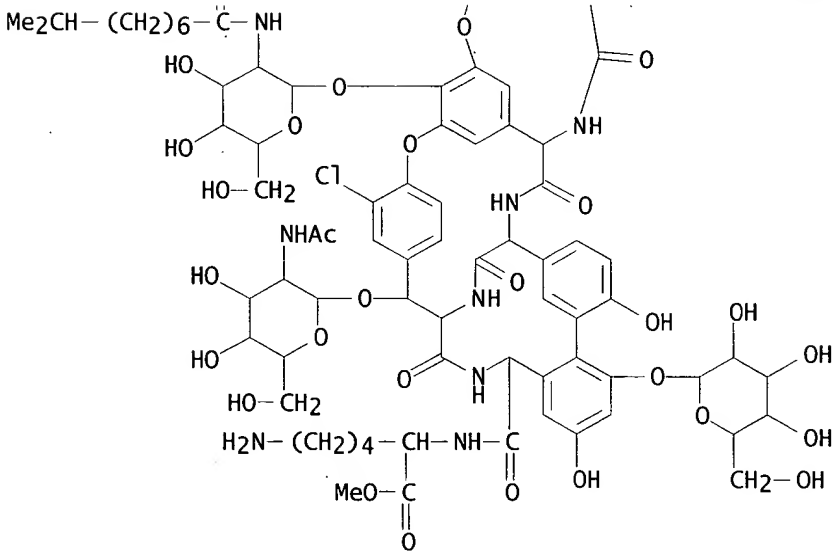

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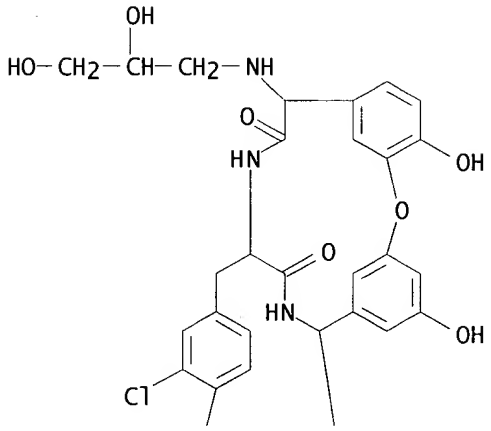
PAGE 1-A



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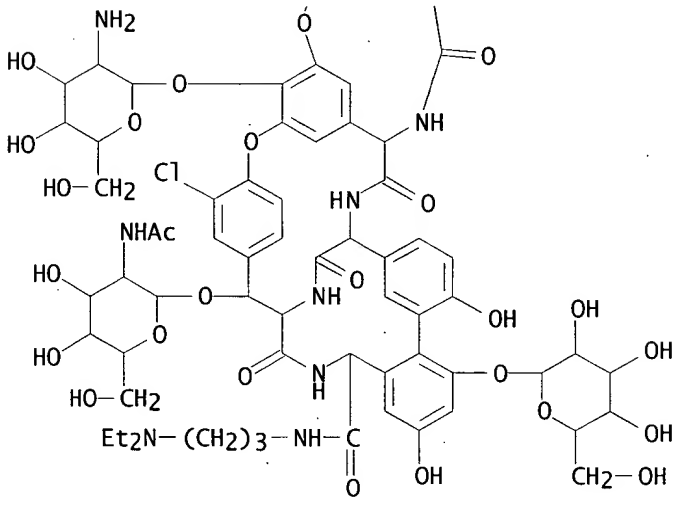

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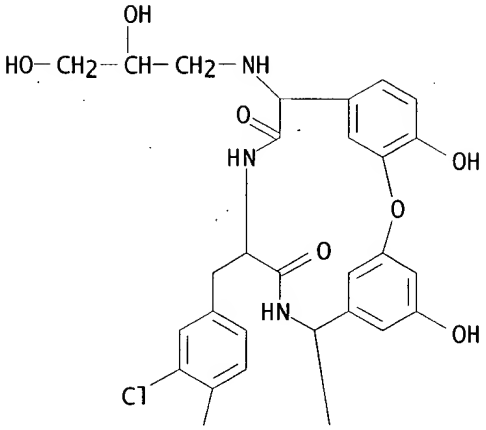
PAGE 1-A



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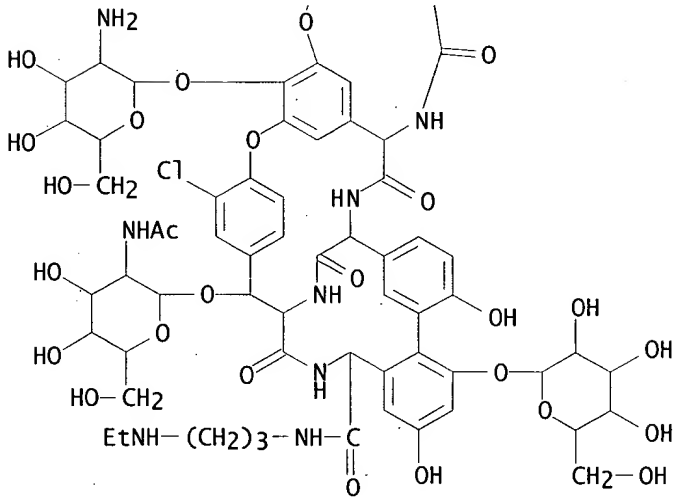

RN 129589-95-3 HCAPLUS
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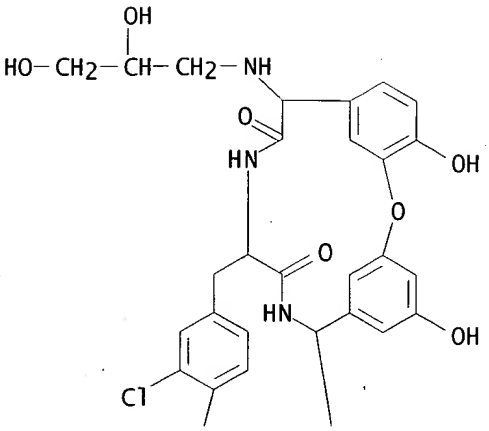
PAGE 1-A



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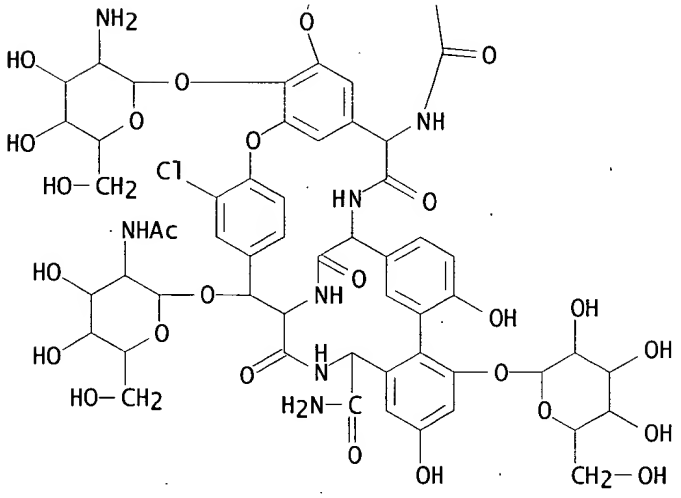

RN 129617-00-1 HCAPLUS
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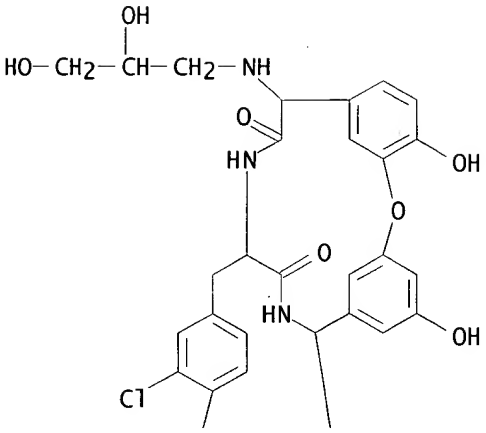
PAGE 1-A



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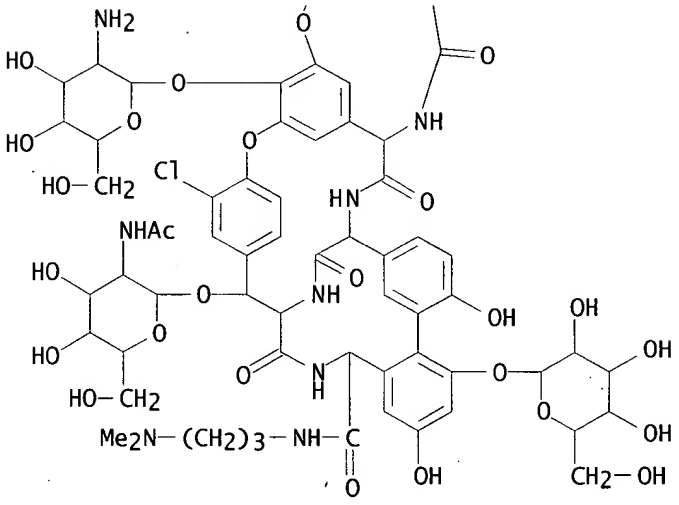

IC ICM C07K009-00
ICS C07K001-00; A61K037-02
ICA C12P021-04
ICI C12P021-04, C12R001-045
CC 33-8 (Carbohydrates)
Section cross-reference(s): 1
ST alkylteicoplanin prepn antibacterial; teicoplanin alkyl prepn antibacterial
IT Bactericides, Disinfectants, and Antiseptics
(medical, N-alkyl- or N,N-dialkylteicoplanins)
IT 3970-21-6, 2-Methoxyethoxymethyl chloride 5197-62-6,

2-[2-(2-Chloroethoxy)ethoxy]ethanol
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation by, of teicoplanin amide)

IT 117226-72-9 129556-63-4D, glycosyl N acylated 129556-64-5D, glycosyl N acylated 129556-65-6D, glycosyl N acylated 129556-75-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of, in prepn. of antibacterial)

IT 120561-82-2 128937-97-3D, glycosyl N acylated 128938-00-1D, glycosyl N acylated
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with diaminopropane deriv.)

IT 104-78-9 109-55-7, N,N-Dimethyl-1,3-diaminopropane 109-76-2, 1,3-Propanediamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of, with teicoplanin)

IT 122172-73-0P 122173-07-3P 122173-39-1P 122173-40-4P 129555-78-8DP, glycosyl N acylated 129555-79-9P 129555-80-2DP, glycosyl N acylated 129555-81-3P 129555-82-4P 129555-83-5P 129555-84-6DP, glycosyl N acylated 129555-85-7P 129555-86-8DP, glycosyl N acylated 129555-87-9P 129555-88-0DP, glycosyl N acylated 129555-89-1P 129555-90-4P 129555-91-5DP, glycosyl N acylated 129555-92-6P 129555-93-7P 129555-94-8P 129555-95-9DP, glycosyl N acylated 129555-96-0P 129555-97-1DP, glycosyl N acylated 129555-98-2P 129555-99-3DP, glycosyl N acylated 129556-00-9P 129556-01-0DP, glycosyl N acylated 129556-02-1P 129556-03-2P 129556-04-3DP, glycosyl N acylated 129556-05-4P **129556-06-5DP**, glycosyl N acylated 129556-07-6P 129556-08-7DP, glycosyl N acylated 129556-09-8DP, glycosyl N acylated 129556-10-1DP, glycosyl N acylated 129556-11-2DP, glycosyl N acylated 129556-12-3P 129556-13-4P 129556-14-5P 129556-15-6DP, glycosyl N acylated 129556-16-7P 129556-17-8P 129556-18-9P 129556-19-0P 129556-20-3DP, glycosyl N acylated 129556-21-4P 129556-22-5DP, glycosyl N acylated 129556-23-6DP, glycosyl N acylated 129556-24-7DP, glycosyl N acylated 129556-25-8P **129556-26-9DP**, glycosyl N acylated 129556-27-0DP, glycosyl N acylated 129556-28-1DP, glycosyl N acylated 129556-29-2P 129556-30-5P 129556-31-6DP, glycosyl N acylated 129556-32-7P 129556-33-8P 129556-34-9P 129556-35-0DP, glycosyl N acylated 129556-36-1DP, glycosyl N acylated 129556-37-2P 129556-38-3P 129556-39-4P 129556-40-7P 129556-41-8DP, glycosyl N acylated 129556-42-9DP, glycosyl N acylated 129556-43-0P 129556-44-1DP, glycosyl N acylated 129556-45-2DP, glycosyl N acylated 129556-46-3P **129556-47-4DP**, glycosyl N acylated 129556-48-5P **129556-49-6P** 129556-50-9P 129556-51-0P 129556-52-1DP, glycosyl N acylated 129556-53-2P 129556-54-3P 129556-55-4P **129556-56-5P** 129556-57-6P 129556-58-7P 129556-59-8P 129556-60-1P 129556-61-2P 129556-62-3P 129556-81-6P 129556-82-7P 129556-83-8P 129556-84-9P 129556-85-0P 129556-86-1P 129589-79-3DP, glycosyl N acylated **129589-80-6DP**, glycosyl N acylated 129589-81-7DP, glycosyl N acylated 129589-82-8P 129589-83-9P 129589-84-0DP, glycosyl N acylated 129589-85-1P 129589-86-2DP, glycosyl N acylated 129589-87-3P 129589-88-4P 129589-89-5DP, glycosyl N acylated 129589-90-8DP, glycosyl N acylated 129589-91-9DP, glycosyl N acylated 129589-92-0DP, glycosyl N acylated 129589-93-1DP, glycosyl N acylated **129589-94-2DP**, glycosyl N acylated **129589-95-3DP**, glycosyl N acylated 129589-96-4DP, glycosyl N acylated 129615-38-9P **129617-00-1DP**, glycosyl N acylated
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as **antibacterial**)

IT 61036-62-2DP, Teicoplanin, N-alkyl and N,N-dialkyl derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antibacterials)
IT 50-00-0, Formaldehyde, reactions 116-09-6, 2-Oxo-1-propanol 367-47-5
513-86-0, 3-Oxo-2-butanol 52334-92-6, 2-(Dimethylamino)acetaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(reductive alkylation by, of teicoplanin amide)
IT 113653-74-0 117251-06-6D, glycosyl N acylated 122172-98-9
122173-08-4 122173-41-5 122173-70-0 122173-89-1 122188-87-8
122188-88-9 122188-89-0 122188-91-4 127868-83-1 129556-65-6D,
glycosyl N acylated 129556-66-7D, glycosyl N acylated 129556-67-8D,
glycosyl N acylated 129556-68-9D, glycosyl N acylated 129556-69-0D,
glycosyl N acylated 129556-70-3D, glycosyl N acylated 129556-71-4D,
glycosyl N acylated 129556-72-5 129556-73-6D, glycosyl N acylated
129556-74-7D, glycosyl N acylated 129556-75-8 129556-76-9
129556-77-0D, glycosyl N acylated 129556-78-1D, glycosyl N acylated
129556-79-2D, glycosyl N acylated 129556-80-5D, glycosyl N acylated
RL: RCT (Reactant); RACT (Reactant or reagent)
(reductive alkylation of, in prepn. of antibacterial)
IT 129556-67-8D, glycosyl N acylated
RL: RCT (Reactant); RACT (Reactant or reagent)
(reductive methylation of, by formaldehyde)

L29 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:498033 HCAPLUS
DOCUMENT NUMBER: 113:98033
TITLE: Preparation of N15-alkyl and N15,N15-di-alkyl derivatives of teicoplanin antibiotics carrying functional groups on the alkyl side chain
INVENTOR(S): Malabarba, Adriano; Trani, Aldo
PATENT ASSIGNEE(S): Gruppo Lepetit S.p.A., Italy
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 351597	A2	19900124	EP 1989-111730	19890628
EP 351597	A3	19910619		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 02069500	A2	19900308	JP 1989-184850	19890719
DK 8903619	A	19900122	DK 1989-3619	19890721

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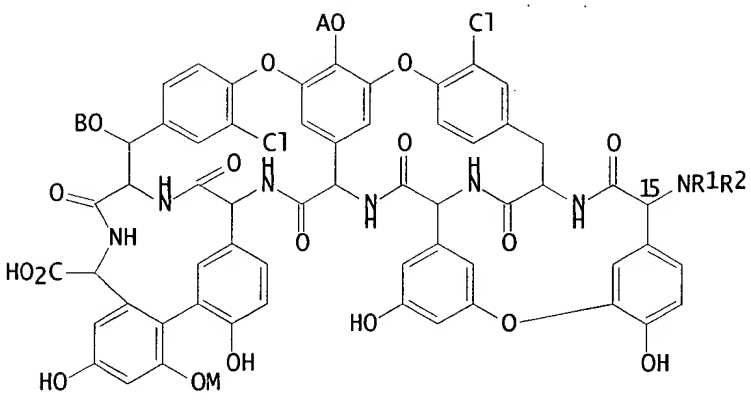


I

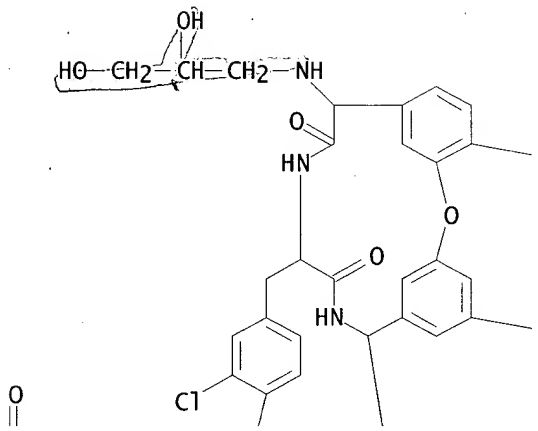
AB The title compds. [I; R1 = [CHR3(CR4R5)mX]p(CH2)nR6; R3, R4, R7, R8 = H, alkyl; R5 = H, alkyl, OH; R6 = H, CO2R7, SR7, NR7R8, halo, alkyl; m, n, p = integer where m = 0 or 1, o .ltoreq. n .ltoreq.6, 1 .ltoreq. p .ltoreq.6; X = O, NH, bond with the proviso that when X = O or NH, n = 0, 1 .ltoreq. p .ltoreq.3 and R5 .noteq. OH; R2 = H, alkyl; with the further proviso that R1 .noteq. alkyl; A = H, N-[(C9-12)aliph. acyl]-.beta.-D-2-deoxy-2-aminoglucopyranosyl; B = H, N-acetyl-.beta.-D-2-deoxy-2-aminoglucopyranosyl; M = H, .alpha.-D-mannopyranosyl; with the proviso that B = H, only when A = M = H] and their pharmaceutically acceptable salts were prepd. Reaction of telcoplanin in MeOH with NaBH4 and glyceraldehyde at room temp. gave N15-2,3-dihydroxypropyl)telcoplanin. This showed an IC50 of 32 .mu.g/mL against Staphylococcus haemolyticus in vitro.

IT **128465-28-1P 128465-37-2P 128481-67-4P**
128481-68-5P 128481-69-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as **antibiotic**)

RN 128465-28-1 HCAPLUS

CN Ristomycin A aglycone, 34-O-[2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-22,31-dichloro-7-demethyl-64-O-demethyl-19-deoxy-56-O-[2-deoxy-2-[(1-oxo-4-decenyl)amino]-.beta.-D-glucopyranosyl]-N15-(2,3-dihydroxypropyl)-42-O-.alpha.-D-mannopyranosyl-, (Z)- (9CI) (CA INDEX NAME)

PAGE 1-A

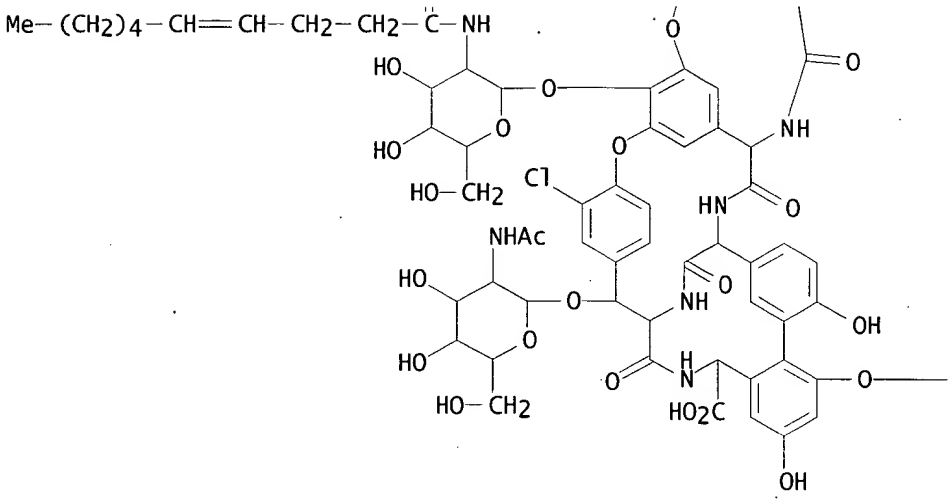


PAGE 1-B

OH

OH

PAGE 2-A



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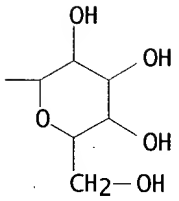

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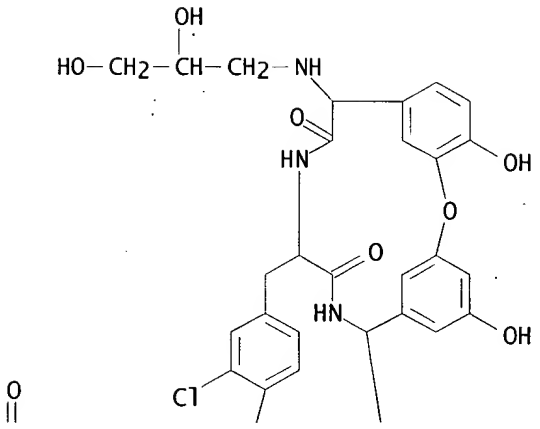
PAGE 1-A



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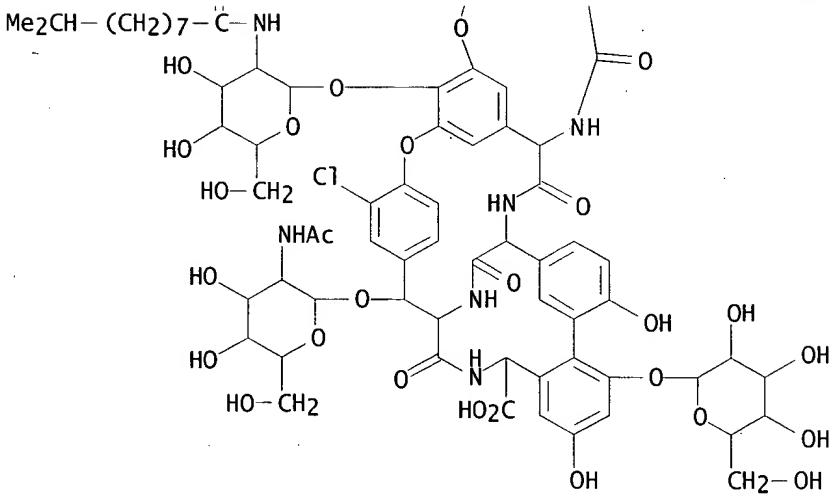
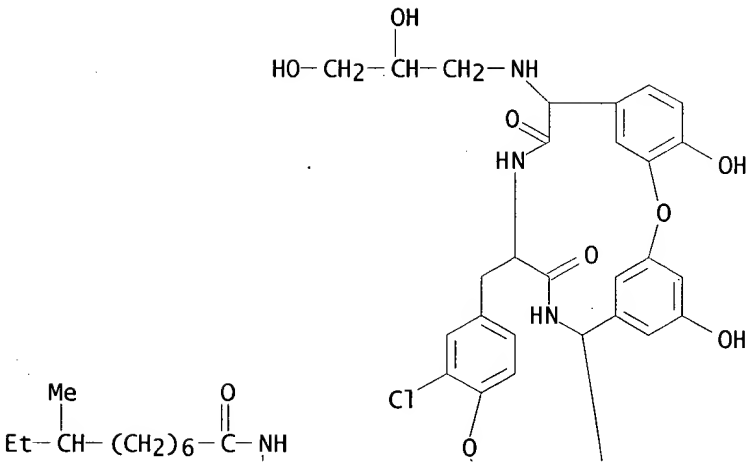


RN 128481-67-4 HCAPLUS
CN Ristomycin A aglycone, 34-O-[2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-22,31-dichloro-7-demethyl-64-O-demethyl-19-deoxy-56-O-[2-deoxy-2-[(8-methyl-1-oxodecyl)amino]-.beta.-D-glucopyranosyl]-N15-(2,3-dihydroxypropyl)-42-O-.alpha.-D-mannopyranosyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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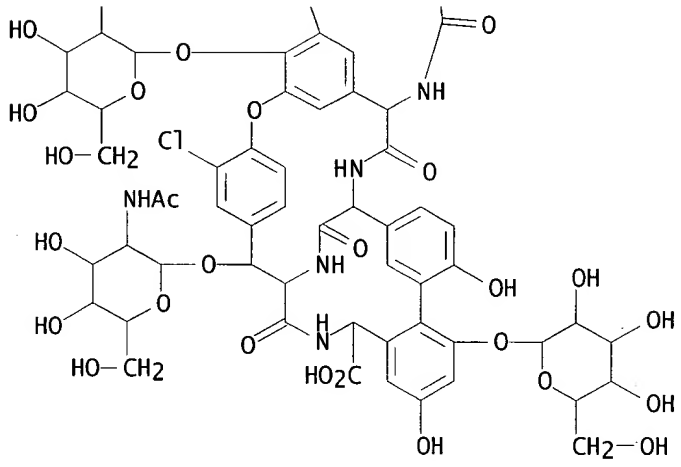

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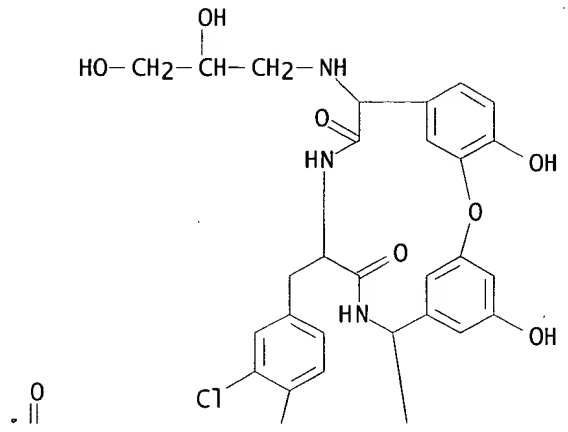

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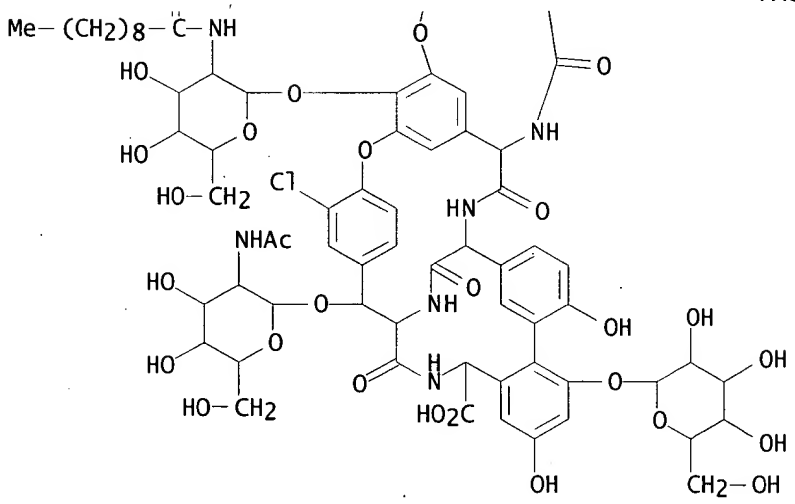

RN 128481-69-6 HCAPLUS
CN Ristomycin A aglycone, 34-O-[2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-22,31-dichloro-7-demethyl-64-O-demethyl-19-deoxy-56-O-[2-deoxy-2-[(8-methyl-1-oxononyl)amino]-.beta.-D-glucopyranosyl]-N15-(2,3-dihydroxypropyl)-42-O-.alpha.-D-mannopyranosyl- (9CI) (CA INDEX NAME)

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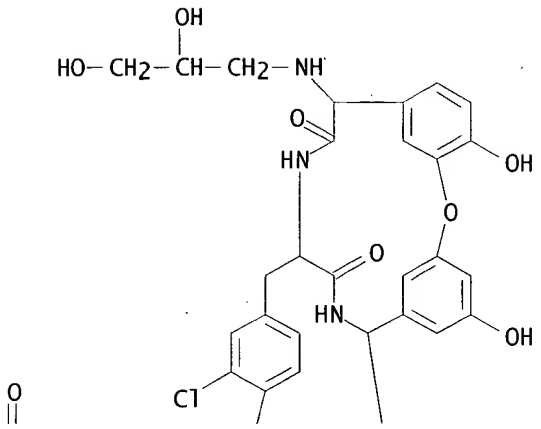

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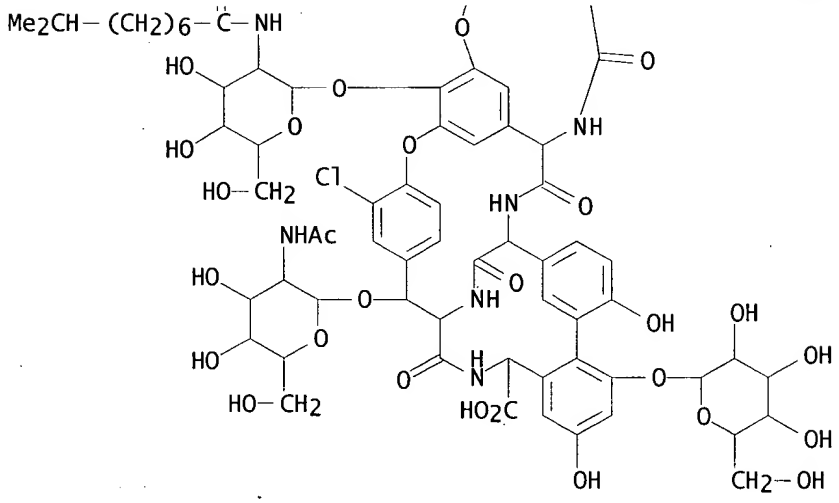

IC ICM C07K009-00
ICS C07K007-06; C07K001-00; A61K037-02
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1
ST teicoplanin deriv prepn antibiotic
IT Antibiotics
(teicoplanin derivs.)
IT **128465-28-1P** 128465-29-2P 128465-30-5P 128465-31-6P
128465-32-7P 128465-34-9P 128465-35-0P **128465-37-2P**
128465-38-3P 128465-39-4P 128481-54-9P 128481-55-0P 128481-56-1P
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128481-62-9P 128481-63-0P 128481-64-1P 128481-65-2P 128481-66-3P
128481-67-4P 128481-68-5P 128481-69-6P
128518-76-3P 128678-60-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as **antibiotic**)

IT 367-47-5 125969-54-2, (Dimethylamino)acetaldehyde hydrochloride
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with teicoplanin in presence of sodium borohydride)

IT 91032-26-7 91032-34-7 91032-36-9 91032-37-0 91032-38-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reductive alkylation of, with glyceraldehyde)